L Number	Hits	Search Text	DB	Time stamp
1	5342	("514/183,252.18,275,256").CCLS	USPAT	2004/07/26 09:40
2	1607	("544/330,332,328,329").CCLS	USPAT	2004/07/26 09:41
3	530	(("514/183,252.18,275,256").CCLS) and (("544/330,332,328,329").CCLS)	USPAT	2004/07/26 09:41
4	2	((("514/183,252.18,275,256").CCLS) and (("544/330,332,328,329").CCLS)) and VLA-4	USPAT	2004/07/26 09:41

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 22	STN Patent Forums to be held July 19-22, 2004
NEWS 8	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 9	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 10	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:51:16 ON 26 JUL 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:51:31 ON 26 JUL 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6
DICTIONARY FILE UPDATES: 23 JUL 2004 HIGHEST RN 715654-51-6

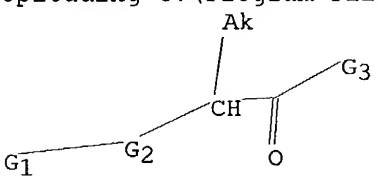
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

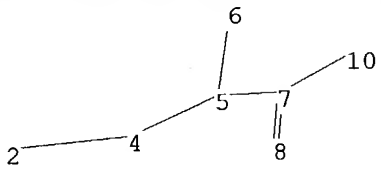
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10748089.str





chain nodes :
2 4 5 6 7 8 10
chain bonds :
2-4 4-5 5-6 5-7 7-8 7-10
exact/norm bonds :
2-4 4-5 5-6 7-8 7-10
exact bonds :
5-7

G1:Cb,Cy,Hy

G2:O,S,SO2,NH

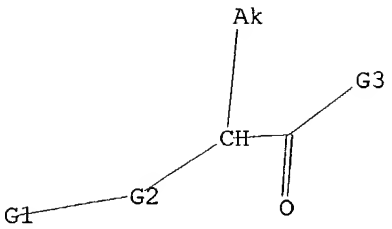
G3:OH,MeO,EtO,n-PrO,n-BuO,PhO

Match level :
2:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

Patel <7/26/2004>



G1 Cb,Cy,Hy

G2 O,S,SO2,NH

G3 OH,MeO,EtO,n-PrO,n-BuO,PhO

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 09:51:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 9.0% PROCESSED 257221 ITERATIONS 2714 ANSWERS

< 11.5% PROCESSED 329224 ITERATIONS 3376 ANSWERS

< 12.3% PROCESSED 351913 ITERATIONS 3819 ANSWERS

< 13.7% PROCESSED 391789 ITERATIONS 4116 ANSWERS

< 14.0% PROCESSED 400000 ITERATIONS 4150 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.01.20

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 29178

L2 4150 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	156.26	156.47

FILE 'CAPLUS' ENTERED AT 09:53:36 ON 26 JUL 2004
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FILE COVERS 1907 - 26 Jul 2004 VOL 141 ISS 5
FILE LAST UPDATED: 25 Jul 2004 (20040725/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 564 L2

=> s l3 and VLA-4
L4 6 L3 AND VLA-4

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:951018 CAPLUS
DN 140:16962
TI Preparation of heterocyclic amino acid compounds which inhibit leukocyte adhesion mediated by α4 integrins
IN Konradi, Andrei W.; Semko, Christopher M.; Xu, Ying-Zi; Stappenbeck, Frank; Stupi, Brian P.; Smith, Jenifer; Thorsett, Eugene D.
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099809	A1	20031204	WO 2003-US16804	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-383020PP	20020524
US 2004138243	A1	20040715	US 2003-447308	20030527
			US 2002-383020PP	20020524

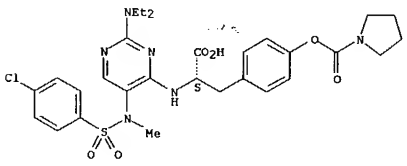
OS MARPAT 140:16962
IT **630123-17-0P 630123-19-2P 630123-21-6P**
630123-23-8P 630123-25-0P 630123-27-2P
630123-29-4P 630123-31-8P 630123-33-0P
630123-35-2P 630123-37-4P 630123-39-6P
630123-42-1P 630123-44-3P 630123-46-5P
630123-48-7P 630123-50-1P 630123-52-3P
630123-54-5P 630123-66-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)

RN 630123-17-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

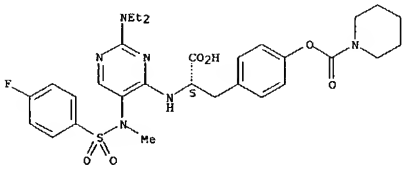
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-25-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

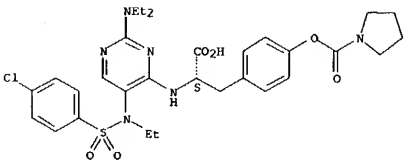
Absolute stereochemistry.



RN 630123-27-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

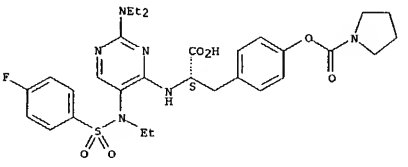
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



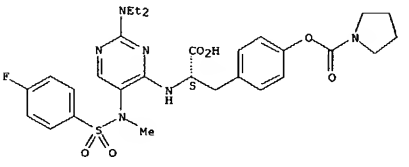
RN 630123-19-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



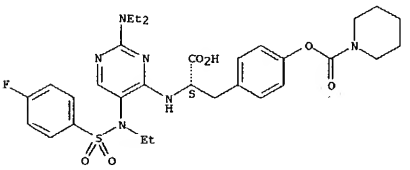
RN 630123-21-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



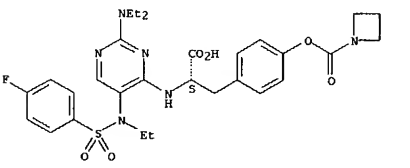
RN 630123-23-8 CAPLUS

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



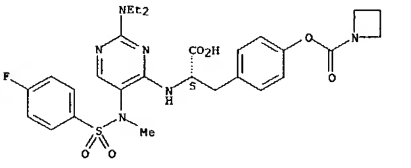
RN 630123-29-4 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-31-8 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

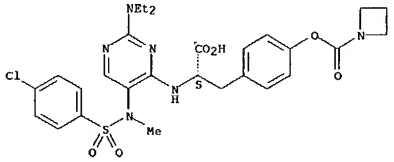
Absolute stereochemistry.



RN 630123-33-0 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

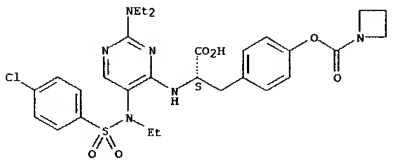
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 630123-35-2 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

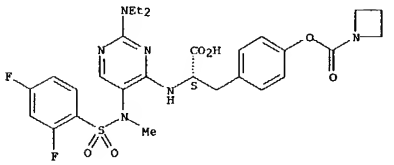
Absolute stereochemistry.



RN 630123-37-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

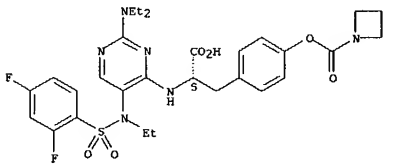
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



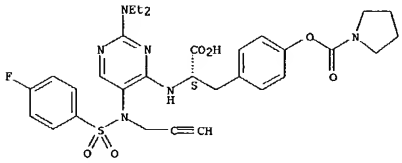
RN 630123-44-3 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



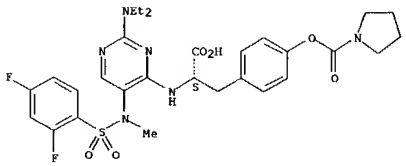
RN 630123-46-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



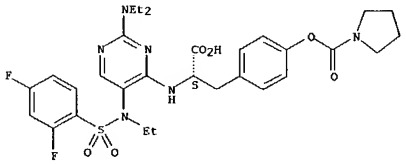
RN 630123-48-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630123-39-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

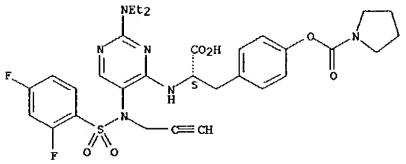


RN 630123-42-1 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

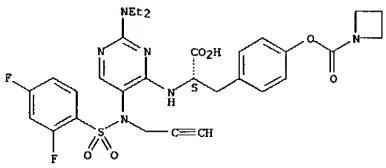
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



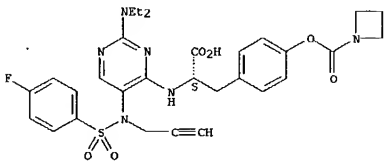
RN 630123-50-1 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



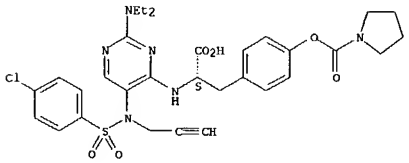
RN 630123-52-3 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



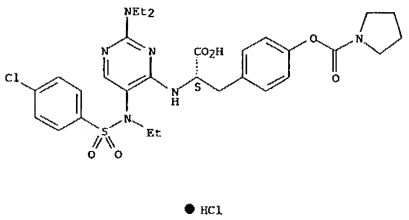
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 630123-54-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]-2-propynylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-66-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

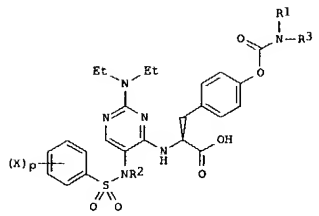
Absolute stereochemistry.



● HCl

GI

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

AB Disclosed are pyrimidinyl amino acid derivs. I (X is F, Cl, or Br; p is 0-3; NR1R3 are azetidinyl, pyrrolidinyl, pyrrolyl, 2,5-dihydro-1-pyrrolyl, piperidinyl, 1,2,3,6-tetrahydro-1-pyridinyl; R2 is alkyl, alkenyl, or alkylenecycloalkyl) which bind α4 integrins, preferably **VLA-4**, inhibit leukocyte adhesion, and are useful in the treatment of inflammatory diseases. Thus, I (NR1R3 = pyrrolyl; R2 = Et; Xp = 4-Cl) was prepared by reaction of tyrosine tert-Bu ester with 2,4-dichloro-5-nitropyrimidine and Et2NH, followed by carbamoylation, catalytic hydrogenation, sulfonylation, N-ethylation, and ester cleavage reactions. The product showed IC50 = 0.011 μg/mL in the fibronectin cell adhesion assay.
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:950802 CAPLUS
DN 140:16959
TI Preparation of heteroaryl amino acid compounds which inhibit leukocyte adhesion mediated by α4 integrins
IN Konradi, Andrei W.; Semko, Christopher M.; Xu, Ying-Zi; Stappenbeck, Frank; Stupi, Brian P.; Smith, Jenifer; Thorsett, Eugene D.
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099231	A2	20031204	WO 2003-US17150	20030527
WO 2003099231	A3	20040122		

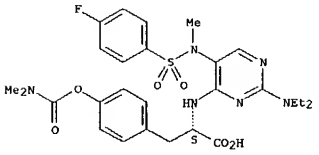
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2002-383244PP	20020524
US 2004142954	A1	20040722	US 2003-447208	20030527
			US 2002-383244PP	20020524

OS MARPAT 140:16959
IT **630117-83-8P 630117-86-1P 630117-89-4P**
630117-92-9P 630117-95-2P 630117-99-6P
630118-01-3P 630118-03-5P 630118-06-8P
630118-09-1P 630118-12-6P 630118-16-0P
630118-18-2P 630118-20-6P 630118-22-8P
630118-23-9P 630118-25-1P 630118-27-3P
630118-29-5P 630118-30-8P 630118-32-0P
630118-34-2P 630118-36-4P 630118-38-6P
630118-40-0P 630118-41-1P 630118-43-3P
630118-44-4P 630118-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)
RN 630117-83-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

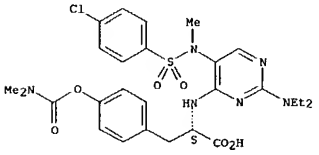
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



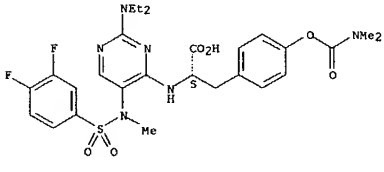
RN 630117-86-1 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630117-89-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

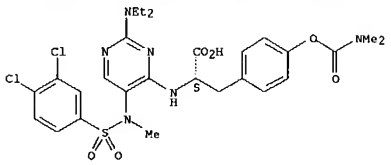
Absolute stereochemistry.



RN 630117-92-9 CAPLUS
CN L-Tyrosine, N-[5-[[(3,4-dichlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

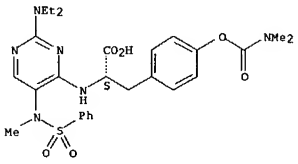
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



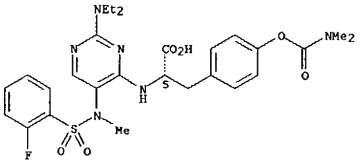
RN 630117-95-2 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[methyl(phenylsulfonyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630117-99-6 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

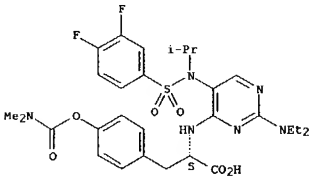


RN 630118-01-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

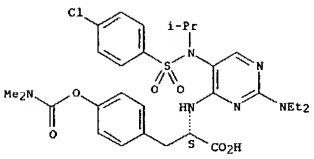
RN 630118-09-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl](1-methylethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-12-6 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl](1-methylethyl)amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

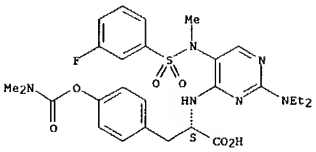


RN 630118-16-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

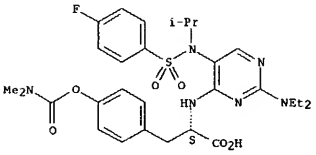
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



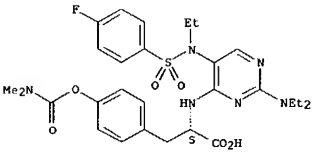
RN 630118-03-5 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](1-methylethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

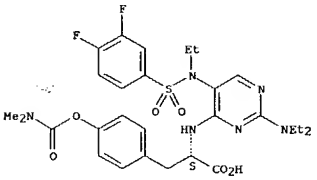


RN 630118-06-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

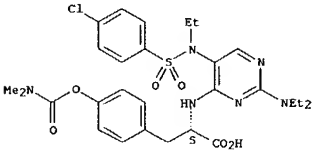


L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



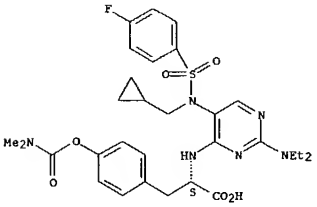
RN 630118-18-2 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



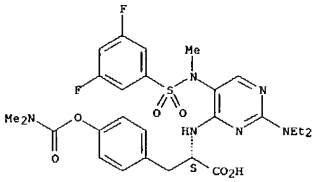
RN 630118-20-6 CAPLUS
CN L-Tyrosine, N-[5-[(cyclopropylmethyl)[(4-fluorophenyl)sulfonyl]amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



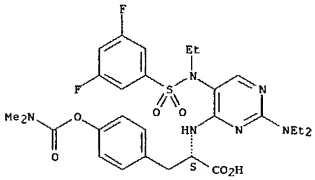
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 630118-22-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,5-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-23-9 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,5-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

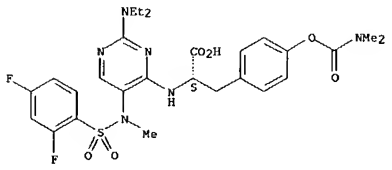
Absolute stereochemistry.



RN 630118-25-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

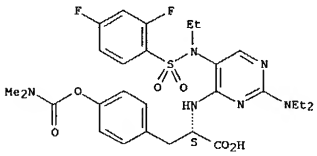
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



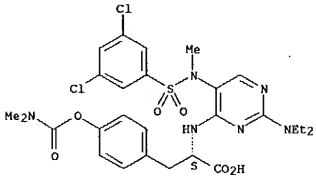
RN 630118-27-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-29-5 CAPLUS
CN L-Tyrosine, N-[5-[[(3,5-dichlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

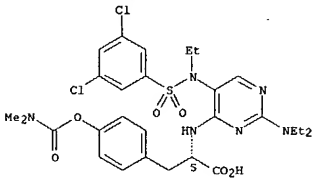
Absolute stereochemistry.



L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

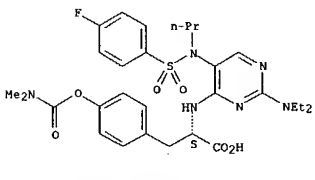
RN 630118-30-8 CAPLUS
CN L-Tyrosine, N-[5-[[(3,5-dichlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-32-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]propylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

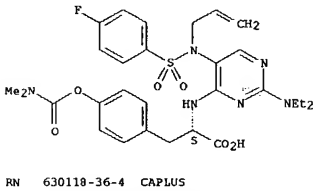
Absolute stereochemistry.



RN 630118-34-2 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propenylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

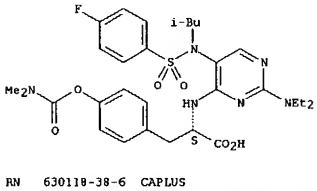
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



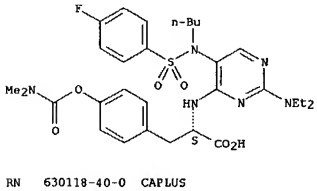
RN 630118-36-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-38-6 CAPLUS
CN L-Tyrosine, N-[5-[butyl[(4-fluorophenyl)sulfonyl]amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

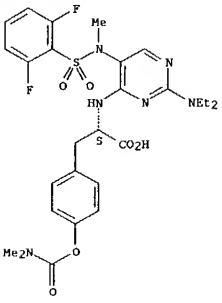
Absolute stereochemistry.



RN 630118-40-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,6-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

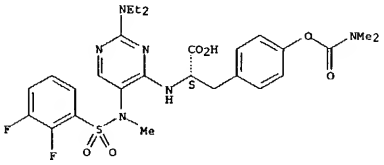
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630118-41-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,3-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

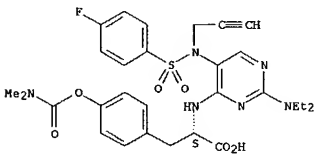
Absolute stereochemistry.



RN 630118-43-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

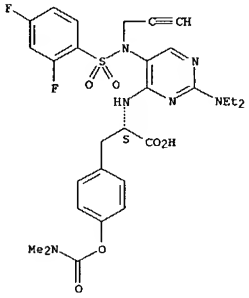
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630118-44-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

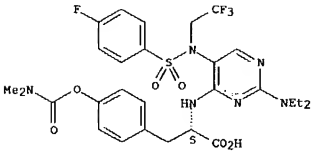
Absolute stereochemistry.



RN 630118-46-6 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](2,2,2-trifluoroethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

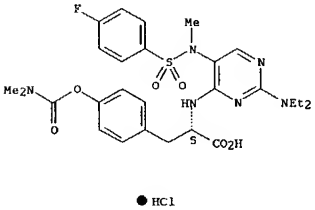
Absolute stereochemistry.

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **630118-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)
RN 630118-60-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester), monohydrochloride (9CI) (CA INDEX NAME)

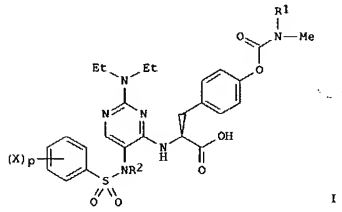
Absolute stereochemistry.



● HCl

GI

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



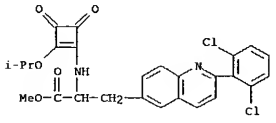
I

AB Disclosed are pyrimidinyl amino acid derivs. I (X is F, Cl, or Br; p is 0-3; R1 is Me or Et; R2 is alkyl, alkenyl, or alkylenecycloalkyl) which bind α4 integrins, preferably VLA-4, inhibit leukocyte adhesion, and are useful in the treatment of inflammatory diseases. Thus, I (R1 = R2 = Me; Xp = 4-F) was prepared by reaction of 2-amino-3-(4-hydroxyphenyl)propionic acid with 2,4-dichloro-5-nitropyrimidine and Et2NH, followed by dimethylcarbamoylation, catalytic hydrogenation, sulfonylation, N-methylation, and ester cleavage reactions. The product showed IC50 = 0.002 μg/mL in the fibronectin cell adhesion assay.

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:892751 CAPLUS
DN 139:381384
TI Preparation of 2,6-quinolinyl and 2,6-naphthyl(acylamino)propionic acids as **VLA-4** inhibitors
IN Lassoie, Marie-Agnes; Knerr, Laurent; Demaude, Thierry; De Laveleye, Francoise; Kogej, Thierry; Routier, Sylvain; Guillaumet, Gerald
PA UCB, S.A., Belg.
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

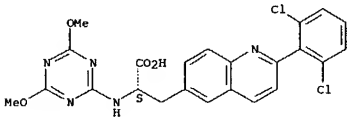
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003093237	A1	20031113	WO 2003-EP3909	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2002-9746	A 20020430

OS MARPAT 139:381384
IT **623145-12-0P 623145-19-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,6-quinolinyl and 2,6-naphthyl(acylamino)propionic acids as **VLA-4** inhibitors)
RN 623145-12-0 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[[2-(1-methylethoxy)-3,4-dioxo-1-cyclobuten-1-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

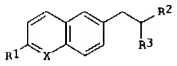


RN 623145-19-7 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[[3,4-dioxo-2-(propylamino)-1-cyclobuten-1-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry. Rotation (+).

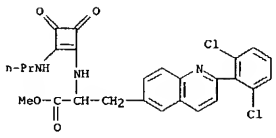


GI

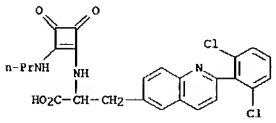


AB Title compds. I [X = N, CH; R1 = R1 = cycloalkyl, aryl, hewterocyclic, heterocyclylalkyl, substituted OH, norbornen-5-yl; R2 = (un)substituted NH2, OH, CONH2; R3 = tetrazolyl, CN, CH2OH, (un)substituted CO2H] were prepared for use in treating **VLA-4** dependent inflammatory diseases such as asthma, allergic rhinitis, sinusitis, conjunctivitis, food allergy, psoriasis, urticaria, pruritus, eczema, rheumatoid arthritis, inflammatory bowel disease, multiple sclerosis and atherosclerosis (no data). Thus, 4-nitrophenylalanine was esterified, N-protected , reduced to the amine, cyclized with 2,6-C12C6H3CHO and CH2:CHSPh, followed by elimination of PhSH to give I [X = N, R1 = 2,6-C12C6H3, R2 = NHBoc, R3 = CO2Me]. This compound was deprotected and acylated with 2,6-C12C6H3COCl, followed by ester hydrolysis to give I [X = N, R1 = 2,6=C12C6H3, R2 = 2,6-C12C6H3CONH, R3 = CO2H].
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

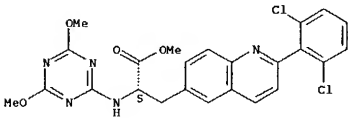


IT **623146-06-5P 623146-70-3P 623146-72-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,6-quinolinyl and 2,6-naphthyl(acylamino)propionic acids as **VLA-4** inhibitors)
RN 623146-06-5 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[[3,4-dioxo-2-(propylamino)-1-cyclobuten-1-yl]amino]- (9CI) (CA INDEX NAME)



RN 623146-70-3 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

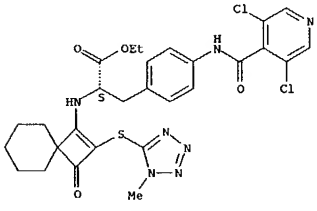
Absolute stereochemistry. Rotation (-).



RN 623146-72-5 CAPLUS
CN 6-Quinolinepropanoic acid, 2-(2,6-dichlorophenyl)-α-[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]-, (αS)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:435940 CAPLUS
DN 139:149503
TI Efficient Synthesis of 3-Aminocyclobut-2-en-1-ones: Squaramide Surrogates as Potent **VLA-4** Antagonists
AU Brand, Stephen; De Candole, Benjamin C.; Brown, Julien A.
CS Medicinal Chemistry, Celltech Group plc, Slough, SL1 4EN, UK
SO Organic Letters (2003), 5(13), 2343-2346
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:149503
IT **571153-55-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of phenylalanine-derived 3-aminocyclobut-2-en-1-ones as **VLA-4** antagonists)
RN 571153-55-4 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(1-methyl-1H-tetrazol-5-yl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

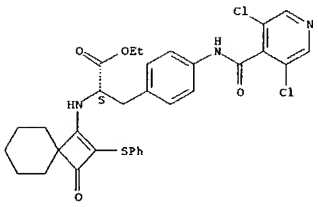
Absolute stereochemistry.



IT **571153-32-7P 571153-37-2P 571153-41-8P**
571153-51-0P 571154-30-6P 571154-42-2P
571154-47-7P 571154-51-3P 571154-58-0P
571154-62-6P 572874-72-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of phenylalanine-derived 3-aminocyclobut-2-en-1-ones as **VLA-4** antagonists)
RN 571153-32-7 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[3-oxo-2-(phenylthio)spiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

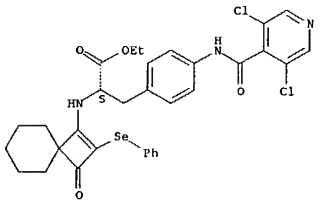
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 571153-37-2 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[3-oxo-2-(phenylseleno)spiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

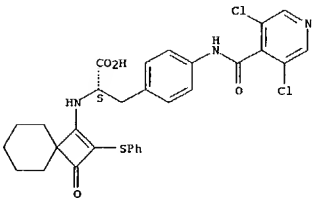
Absolute stereochemistry.



RN 571153-41-8 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(dimethylamino)methyl]-3-oxospiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

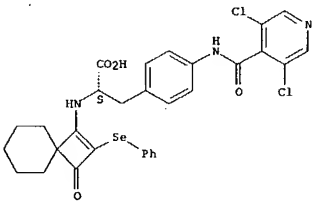
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 571154-42-2 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[3-oxo-2-(phenylseleno)spiro[3.5]non-1-en-1-yl]- (9CI) (CA INDEX NAME)

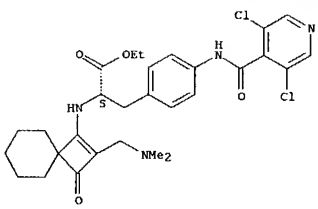
Absolute stereochemistry.



RN 571154-47-7 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(dimethylamino)methyl]-3-oxospiro[3.5]non-1-en-1-yl]- (9CI) (CA INDEX NAME)

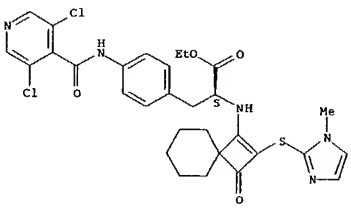
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 571153-51-0 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(1-methyl-1H-imidazol-2-yl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

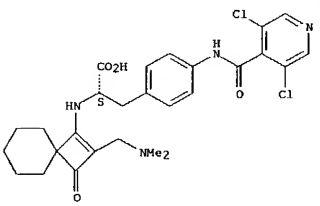
Absolute stereochemistry.



RN 571154-38-6 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[3-oxo-2-(phenylthio)spiro[3.5]non-1-en-1-yl]- (9CI) (CA INDEX NAME)

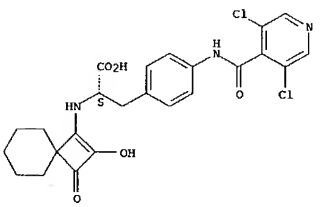
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 571154-51-3 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(2-hydroxy-3-oxospiro[3.5]non-1-en-1-yl)- (9CI) (CA INDEX NAME)

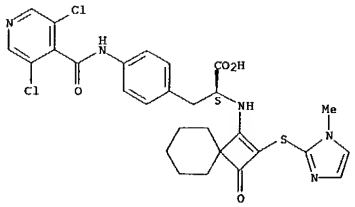
Absolute stereochemistry.



RN 571154-58-0 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(1-methyl-1H-imidazol-2-yl)thio]-3-oxospiro[3.5]non-1-en-1-yl]- (9CI) (CA INDEX NAME)

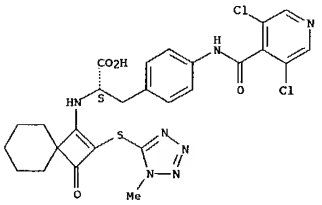
Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 571154-62-6 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[2-[(1-methyl-1H-tetrazol-5-yl)thio]-3-oxospiro[3.5]non-1-en-1-yl]- (9CI) (CA INDEX NAME)

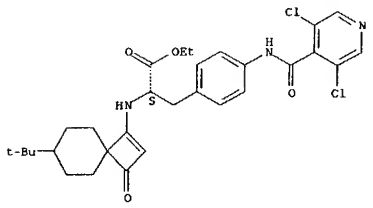
Absolute stereochemistry.



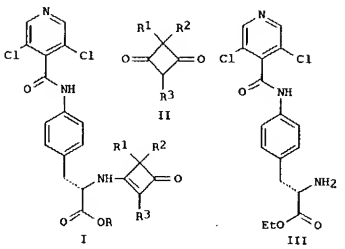
RN 572874-72-7 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[7-(1,1-dimethylethyl)-3-oxospiro[3.5]non-1-en-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



GI



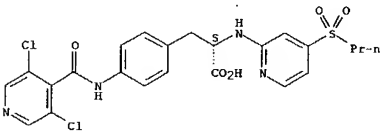
AB A novel series of uniquely functionalized 3-aminocyclobut-2-en-1-ones I [R = Et, R1 = Me, R2 = Me, Ph, CH2Ph, R3 = H; R = Et, R1R2 = (CH2)n, n = 4-6, R3 = H; R = Et, R1R2 = (CH2)2O(CH2)2, R3 = H; R = Et, R1 = R2 = Me, R3 = CH2Ph, Me, n-Pr, etc.; etc.] has been prepared by facile condensation of a variety of cyclobuta-1,3-diones II with a phenylalanine-derived primary amine III. These systems subsequently lend themselves to substitution at C-2 by reaction with a variety of electrophilic reagents including N-halosuccinimides, sulfenyl chlorides, and Eschenmoser's salt, to get new analogs I [R = Et, R1R2 = (CH2)5, R3 = Br, SPh, SePh, etc.]. Compds. I (R = H) from this novel series are potent antagonists of **VLA-4**.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

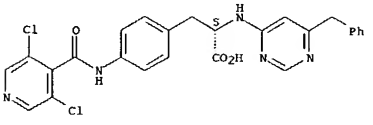
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:407972 CAPLUS
DN 138:49373
TI N-(Pyrimidin-4-yl) and N-(Pyridin-2-yl) phenylalanine derivatives as **VLA-4** integrin antagonists
AU Porter, John R.; Archibald, Sarah C.; Brown, Julien A.; Childs, Kirstie; Critchley, David; Head, John C.; Hutchinson, Brian; Parton, Ted A. H.; Robinson, Martyn K.; Shock, Anthony; Warrellow, Graham J.; Zomaya, Alex
CS Celltech R&D Ltd, Slough, SL1 4EN, UK
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1595-1598
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:49373
IT **479642-22-3P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationship of pyridine phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479642-22-3 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[4-(propylsulfonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **479642-16-5 479642-18-7 479642-19-8**
479642-20-1 479642-21-2 479642-23-4
479642-24-5
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationship of pyridine phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479642-16-5 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[6-(phenylmethyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

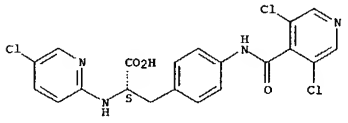
Absolute stereochemistry.



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

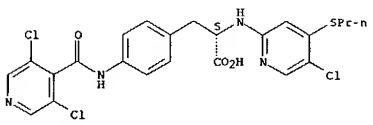
RN 479642-18-7 CAPLUS
CN L-Phenylalanine, N-(5-chloro-2-pyridinyl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



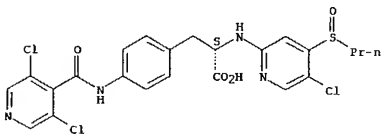
RN 479642-19-8 CAPLUS
CN L-Phenylalanine, N-[5-chloro-4-(propylthio)-2-pyridinyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



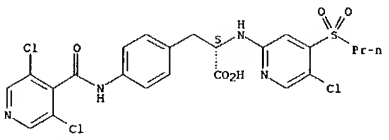
RN 479642-20-1 CAPLUS
CN L-Phenylalanine, N-[5-chloro-4-(propylsulfinyl)-2-pyridinyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



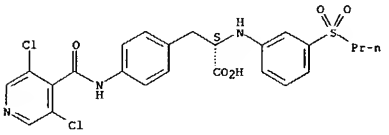
RN 479642-21-2 CAPLUS
CN L-Phenylalanine, N-[5-chloro-4-(propylsulfonyl)-2-pyridinyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



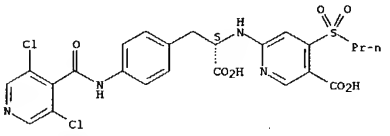
RN 479642-23-4 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[3-(propylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 479642-24-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[[(1S)-1-carboxy-2-[4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]phenyl]ethyl]amino]-4-(propylsulfonyl)- (9CI) (CA INDEX NAME)

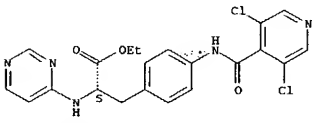
Absolute stereochemistry.



IT **479642-14-3DP**, derivs. **479642-17-6DP**, alkyl derivs.
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship of pyridine phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479642-14-3 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-4-pyrimidinyl-, ethyl ester (9CI) (CA INDEX NAME)

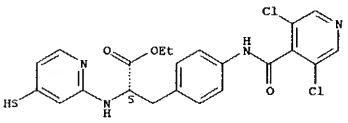
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



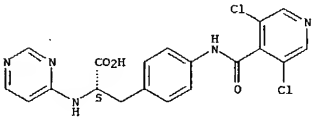
RN 479642-17-6 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(4-mercapto-2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **479642-15-4DP**, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(structure-activity relationship of pyridine phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479642-15-4 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)

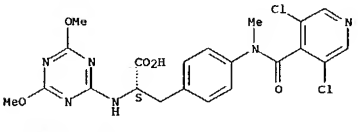
Absolute stereochemistry.



AB The SAR studies to optimize both potency and rate of clearance in the rat for a series of pyrimidine and pyridine based **VLA-4** antagonists are described.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

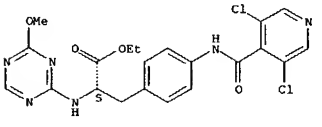
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:407971 CAPLUS
DN 138:66143
TI Discovery and evaluation of N-(triazin-1,3,5-yl) phenylalanine derivatives as **VLA-4** integrin antagonists
AU Porter, John R.; Archibald, Sarah C.; Brown, Julien A.; Childs, Kirstie; Critchley, David; Head, John C.; Hutchinson, Brian; Parton, Ted A. H.; Robinson, Martyn K.; Shock, Anthony; Warrellow, Graham J.; Zomaya, Alex
CS Celltech R&D Ltd, Slough, SL1 4EN, UK
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1591-1594
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:66143
IT **479667-32-8**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL (Biological study)
(discovery and structure-activity relationship of N-(triazin-1,3,5-yl) phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479667-32-8 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]methylamino]-N-(4,6-dimethoxy-1,3,5-triazin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **479667-31-7D**, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(discovery and structure-activity relationship of N-(triazin-1,3,5-yl) phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479667-31-7 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(4-methoxy-1,3,5-triazin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

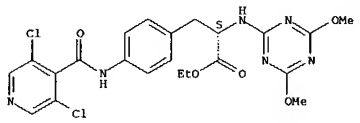
Absolute stereochemistry.



IT **479667-30-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Reactant or reagent)
(discovery and structure-activity relationship of N-(triazin-1,3,5-yl) phenylalanine derivs. as **VLA-4** integrin antagonists)
RN 479667-30-6 CAPLUS
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(4,6-dimethoxy-1,3,5-triazin-2-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Structure-activity relationship (SAR) studies aimed at improving the rate of clearance of a series of **VLA-4** integrin antagonists by the introduction of a 1,3,5-triazine as an amide isostere are described.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

     (FILE 'HOME' ENTERED AT 09:51:16 ON 26 JUL 2004)

     FILE 'REGISTRY' ENTERED AT 09:51:31 ON 26 JUL 2004
L1              STRUCTURE UPLOADED
L2          4150 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:53:36 ON 26 JUL 2004
L3           564 S L2
L4             6 S L3 AND VLA-4

=> s l3 and inflammation
L5           49 L3 AND INFLAMMATION

=> s l5 and diazine
L6            0 L5 AND DIAZINE

=> s l5 and triazine
L7            0 L5 AND TRIAZINE

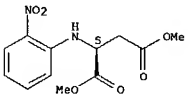
=> d l5 fbib hitstr abs total
```

L5 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:531363 CAPLUS
TI Preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and **inflammation**.
IN Su, Dai-shi; Bock, Mark G.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004054584	A1	20040701	WO 2003-US39058	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-433146PP	20021213
US 2004132733	A1	20040708	US 2003-614539	20030707
			US 2002-433146PP	20021213

IT **714568-86-2P 714569-12-7P 714569-47-8P 714569-91-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and **inflammation**.)
RN 714568-86-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

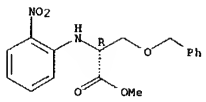
Absolute stereochemistry.



RN 714569-12-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

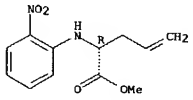
Absolute stereochemistry.

L5 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



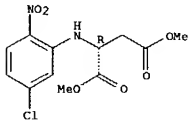
RN 714569-47-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



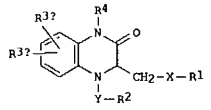
RN 714569-91-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



GI

L5 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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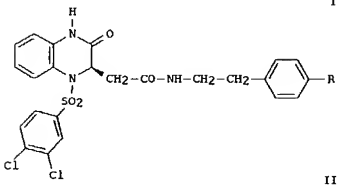


II

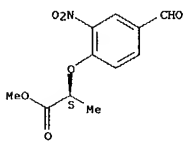
AB Title compds. I [X = (CH2)mCONRb, (CH2)mNRbCO, (CH2)mCO2, etc.; m = 0-2; Rb = H, alkyl; Y = CO, CO2, SO2, etc.; R1 = (un)substituted (CH2)n-phenyl; n = 0-10; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3a, R3b = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of ethylene diamine and cyanophenyl II [R = CN], e.g., prepared from di-Me D-aspartate in 5-steps, afforded dihydro-1H-imidazol II [R = C=NCH2CH2NH-] in 51% yield. In human bradykinin B1-B2 receptor binding assays, compds. I exhibited affinity for the B1 receptor at least 10-fold, and preferably over 100-fold, over that for the B2 receptor (sic). Compds. I are claimed useful in the treatment or prevention of symptoms such as pain and **inflammation** associated with the bradykinin B1 pathway.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:513546 CAPLUS
DN 141:71552
TI Preparation of benzoxazin-3-ones and derivatives as inhibitors of PI3K kinase for treating **inflammations**, cardiovascular diseases and cancers
IN Barvian, Nicole Chantel; Kolz, Christine Nylund; Para, Kimberly Suzanne; Patt, William Chester; Visnick, Melean
PA Warner-Lambert Company Llc, USA
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004052373	A1	20040624	WO 2003-IB5451	20031125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-431528PP	20021206
US 2004121996	A1	20040624	US 2003-730680	20031208
			US 2002-431528PP	20021206

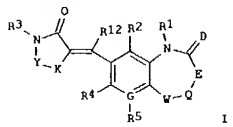
IT **711021-51-1P**, (S)-2-(4-Formyl-2-nitrophenoxy)propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoxazinones as PI3K inhibitors for treating
inflammations, cardiovascular diseases and cancers)
RN 711021-51-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



GI

L5 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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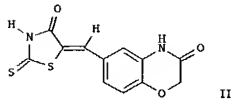
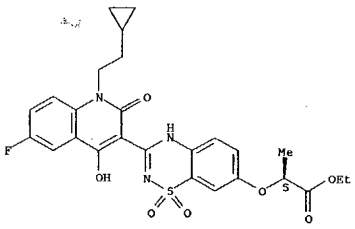


II

AB Title compds. I [wherein W = O, S, NH and derivs.; Q, E = independently (CH2)n; n = 0-1; R1 = H, carbonyl/cyclo/alkylcyclo/alkyl, alkylenealkoxy, alkyleneheteroaryl, etc.; R2 = H, CF3, CH3; R3 = H, CH2CO2H, Ph, CH3, alkyl, alkenyl; Y = C(:O), C(:S); K = NH, O, CH2, S; G = N, C; R4 = H, F, CF3, CH3; R5 = H, alkoxy, alkyl, NO2, NH2 and derivs., etc.; and their pharmaceutically acceptable salts] were prepared as inhibitors of phosphatidylinositol-3 (PI3K) kinase for treating **inflammation**, cardiovascular diseases and cancers. For example, II was prepared from 4-hydroxy-3-nitrobenzaldehyde and Et bromoacetate via condensation of rhodanine with benzo[1,4]oxazine carboxaldehyde. In an in vitro assay, selected II inhibited PI3K with IC50 values in the range of 0.002 to 0.29 μM. I are useful for treating rheumatoid arthritis, ankylosing spondylitis, osteoarthritis, **inflammation**, and autoimmune diseases.

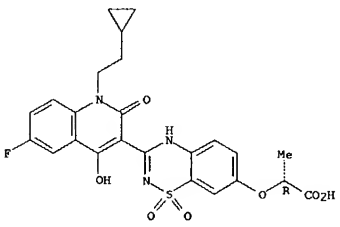
L5 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
infection associd. diseases)
RN 709041-92-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 709041-96-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



AB The present invention relates to compds. that inhibit an RNA-containing virus
hepatitis C virus (HCV) and methods of making and using the same.

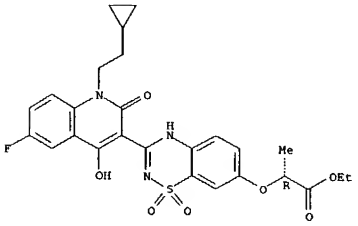
L5 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:513502 CAPLUS
DN 141:59738
TI Anti-infectives compounds and use for treating hepatitis C virus infection associated diseases
IN Chai, Deping; Duffy, Kevin J.; Fitch, Duke M.; Shaw, Antony N.; Tedesco, Rosanna; Wiggall, Kenneth J.; Zimmerman, Michael N.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004052312	A2	20040624	WO 2003-US39982	20031211

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-432413PP 20021211

IT **709041-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(anti-infectives compds. and use for treating hepatitis C virus infection associated diseases)
RN 709041-91-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



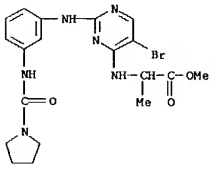
IT **709041-92-9P 709041-96-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(anti-infectives compds. and use for treating hepatitis C virus

L5 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:467870 CAPLUS
DN 141:38625
TI Preparation of Chk-, pdk- and akt-inhibitory pyrimidines
IN Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim, Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf; Briem, Hans; Esperling, Peter; Huwe, Peter; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars; Kosemund, Dirk; Eckle, Emil; Feldman, Richard; Phillips, Gary
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004048343	A1	20040610	WO 2003-EP13443	20031128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 2002-26607 A 20021128

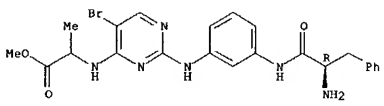
IT **702678-03-3P 702678-80-6P 702678-83-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Chk-, pdk- and akt-inhibitory pyrimidines)
RN 702678-03-3 CAPLUS
CN Alanine, N-[5-bromo-2-[[3-[[(1-pyrrolidinylcarbonyl)amino]phenyl]amino]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 702678-80-6 CAPLUS
CN Alanine, N-[2-[[3-[[(2R)-2-amino-1-oxo-3-phenylpropyl]amino]phenyl]amino]-5-bromo-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

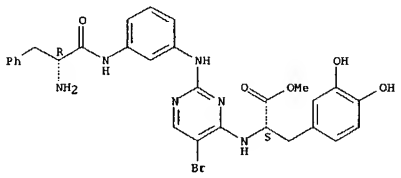
Absolute stereochemistry.

L5 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

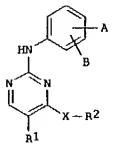


RN 702678-83-9 CAPLUS
CN L-Tyrosine, N-[2-[[3-[[(2R)-2-amino-1-oxo-3-phenylpropyl]amino]phenyl]amino]-5-bromo-4-pyrimidinyl]-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The title compds. [I; A, B = CN, halo, H, OH, etc.; X = O, (un)substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un)substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1-ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given.

L5 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
The pharmaceutical compn. comprising the compds. I is claimed.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

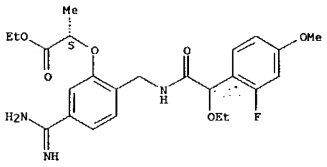
L5 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:467862 CAPLUS
DN 141:38441
TI Preparation of N-(carbamimidoylbenzyl)benzeneacetamides and pyridineacetamides as inhibitors of the formation of coagulation factors Xa, IXa, and thrombin induced by factor VIIa and tissue factor
IN Banner, David William; Gobbi, Luca Claudio; Groebke, Zbinden Katrin; Obst, Ulrike; Stahl, Christoph Martin
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004048335	A2	20040610	WO 2003-EP13087	20031121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2002-26365	A 20021125
US 2004122057	A1	20040624	US 2003-720790	20031121
			EP 2002-26365	A 20021125

IT **701265-88-5P 701265-90-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticoagulant; preparation of N-(carbamimidoylbenzyl)benzeneacetamides and pyridineacetamides as coagulation factor inhibitors)
RN 701265-88-5 CAPLUS
CN Propanoic acid, 2-[5-(aminoiminomethyl)-2-[[[ethoxy(2-fluoro-4-methoxyphenyl)acetyl]amino]methyl]phenoxy]-, ethyl ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

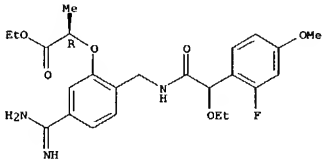
L5 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 701265-90-9 CAPLUS
CN Propanoic acid, 2-[5-(aminoiminomethyl)-2-[[[ethoxy(2-fluoro-4-methoxyphenyl)acetyl]amino]methyl]phenoxy]-, ethyl ester, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

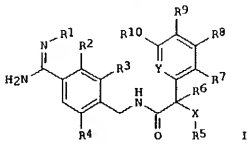
Absolute stereochemistry.



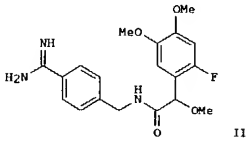
● HCl

GI

L5 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB Title compds. I [wherein X = O, S, NR12, SO2; Y = N, CR11; R1 = H, OH, NH2, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbamoyl, alkanoyl, arylcarbonyl; R2-R4 = independently H, halo, OH, carboxyalkylamino, carbamoylalkylamino, hydroxycycloalkyloxy, (hetero)aryl(oxy), (hetero)aryl(alkyl)amino, etc.; R5 = (cyclo)alkyl; or if X = O or NR12, R5 may be H; R6 = H, (fluoro)alkyl; R7-R11 = independently H, OH, halo, NO2, CHO, or (un)substituted amino, fluoroalkyl, alkoxy, (hetero)aryl(oxy), heterocyclylalkyl, carbamoyl, cycloalkyl(alkoxy), etc.; or R8 and R9 or R8 and R7 are bound to each other to form a ring together with the C's to which they are attached; R12 = H, alkyl(carbonyl); and pharmaceutically acceptable salts thereof] were prepared as inhibitors of the formation of coagulation factors Xa, IXa, and thrombin induced by factor VIIa and tissue factor. For example, 6-fluoroveratraldehyde was converted to (2-fluoro-4,5-dimethoxyphenyl)methoxyacetic acid, which was coupled with 4-aminomethylbenzonitrile to give N-(4-cyanobenzyl)-2-(2-fluoro-4,5-dimethoxyphenyl)-2-methoxyacetamide. Reaction of the nitrile with dry HCl gas in CHCl3/EtOH afforded the amidine II•HCl. The latter suppressed the amidolytic activity of the factor VIIa/tissue factor complex with Ki of 2.21 μM. Thus, I and their pharmaceutical compns. are useful for the treatment and/or prophylaxis of arterial and venous thrombosis, deep vein thrombosis, pulmonary embolism, unstable angina pectoris, cardiac infarction, stroke due to atrial fibrillation, **inflammation**, arteriosclerosis, and/or tumors (no data).

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:453169 CAPLUS

DN 141:7439

TI Preparation of amino acids derivatives containing biphenyl unit as activators, in particular as agonists of PPARγ receptors, and their use in cosmetic or pharmaceutical compositions

IN Clary, Laurence; Bouix-Peter, Claire; Rivier, Michel; Collette, Pascal; Jomard, Andre

PA Galderma Research & Development, S.N.C., Fr.

SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				FR 2002-14465 A	20021119
				US 2003-454310PP	20030314
	FR 2847251	A1	20040521	FR 2002-14465	20021119

PATENT FAMILY INFORMATION:

FAN 2004:411319					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2847251	A1	20040521	FR 2002-14465	20021119
	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				FR 2002-14465 A	20021119
				US 2003-454310PP	20030314

IT **697247-62-4P**, (S)-2-(2-Benzoylphenylamino)-3-{3'-[[(methyl)(octanoyl)amino]methyl]biphenyl-4-yl}propionic acid
697247-63-5P, (R)-2-(2-Benzoylphenylamino)-3-[3'-[[(methyl)(octanoyl)amino]methyl]biphenyl-4-yl]propionic acid
697247-64-6P, (S)-2-(2-Benzoylphenylamino)-3-[4'-fluoro-3'-[[(methyl)(octanoyl)amino]methyl]biphenyl-4-yl]propionic acid

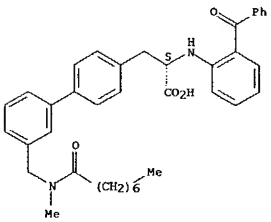
L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

697247-65-7P, (S)-2-(2-Benzoylphenylamino)-3-[2'-fluoro-5'-[[(methyl)(octanoyl)amino]methyl]biphenyl-4-yl]propionic acid
697247-66-8P, (S)-2-(2-Benzoylphenylamino)-3-[3'-[[[3-(hydrazinocarbonyl)propionyl](methyl)amino]methyl]biphenyl-4-yl]propionic acid **697247-67-9P**, 2-(2-Benzoylphenylamino)-3-[3'-[[methyl(5-oxohexanoyl)amino]methyl]biphenyl-4-yl]propionic acid **697247-72-6P**, 3-[3'-(1-Methyl-3-(naphthalen-2-yl)ureido]biphenyl-4-yl]-2-phenylaminopropionic acid **697247-73-7P**, Methyl (S)-2-[[1-carboxy-2-[3'-[1-methyl-3-(naphthalen-2-yl)ureido]biphenyl-4-yl]ethyl]amino]benzoate **697247-74-8P**, (S)-2-[[1-Carboxy-2-[3'-[1-methyl-3-(naphthalen-2-yl)ureido]biphenyl-4-yl]ethyl]amino]benzoic acid **697247-75-9P**, 2-[[1-Carboxy-2-[3'-(3-heptyl-1-methylureido)biphenyl-4-yl]ethyl]amino]benzoic acid **697247-76-0P**, Methyl 2-[[1-carboxy-2-[3'-(3-heptyl-1-methylureido)biphenyl-4-yl]ethyl]amino]benzoate **697247-77-1P**, 3-[3'-(3-Heptyl-1-methylureido)biphenyl-4-yl]-2-(2-methoxyphenylamino)propionic acid **697247-78-2P**, (S)-2-(2-Methoxyphenylamino)-3-[3'-[1-methyl-3-(naphthalen-2-yl)ureido]biphenyl-4-yl]propionic acid **697247-82-8P**, 2-(S)-(2-Benzoylphenylamino)-3-[3'-(1-methyl-3-pentylureido)biphenyl-4-yl]propionic acid **697247-83-9P**, 2-(S)-(2-Benzoylphenylamino)-3-[3'-(1-methyl-3-pentylthioureido)biphenyl-4-yl]propionic acid **697247-84-0P**, 2-(S)-(2-Benzoylphenylamino)-3-[3'-(3-hexyl-1-methylthioureido)biphenyl-4-yl]propionic acid **697247-86-2P**, 2-(2-Benzoylphenylamino)-3-[3-fluoro-3'-(3-heptyl-1-methylureido)biphenyl-4-yl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPARγ agonist; prepn. of amino acids derivs. contg. biphenyl unit as agonists of PPAR receptors and their use in cosmetic or pharmaceutical compns.)

RN 697247-62-4 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[methyl(1-oxooctyl)amino]methyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

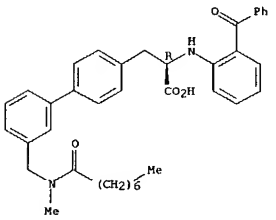


RN 697247-63-5 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[methyl(1-oxooctyl)amino]methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

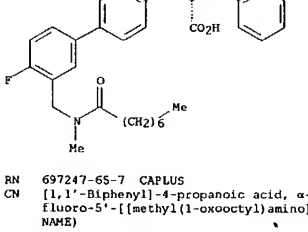
L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 697247-64-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-4'-fluoro-3'-[[methyl(1-oxooctyl)amino]methyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

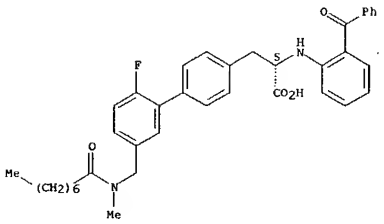


RN 697247-65-7 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-2'-fluoro-5'-[[methyl(1-oxooctyl)amino]methyl]-, (αS)- (9CI) (CA INDEX NAME)

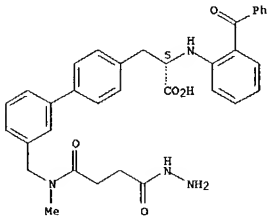
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



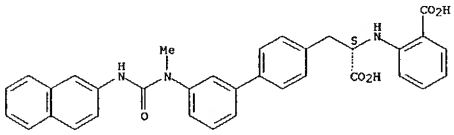
RN 697247-66-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(4-hydrazino-1,4-dioxobutyl)methylamino]methyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

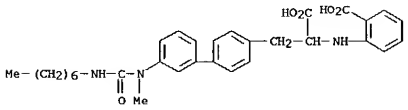


RN 697247-67-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(1,5-dioxohexyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

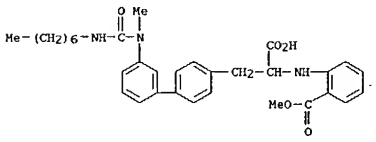
L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



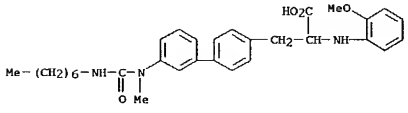
RN 697247-75-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-carboxyphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]- (9CI) (CA INDEX NAME)



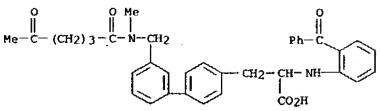
RN 697247-76-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[[(heptylamino)carbonyl]methylamino]-α-[[2-(methoxycarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



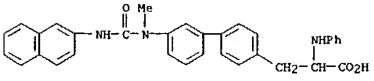
RN 697247-77-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[[(heptylamino)carbonyl]methylamino]-α-[(2-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

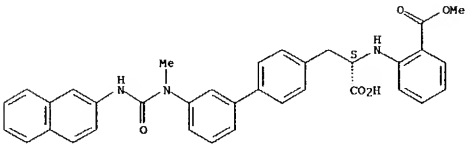


RN 697247-72-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-α-(phenylamino)- (9CI) (CA INDEX NAME)



RN 697247-73-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[2-(methoxycarbonyl)phenyl]amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



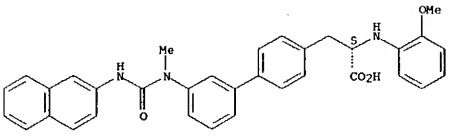
RN 697247-74-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-carboxyphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

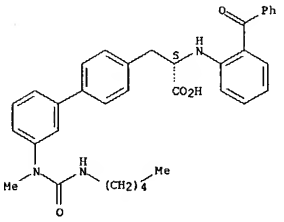
RN 697247-78-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-methoxyphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 697247-82-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(pentylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

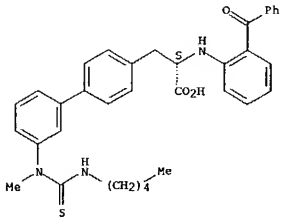
Absolute stereochemistry.



RN 697247-83-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(pentylamino)thioxomethyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

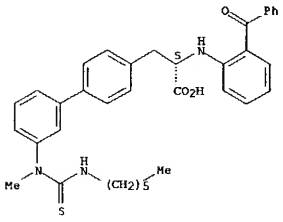
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



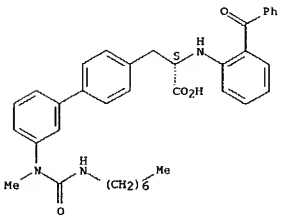
RN 697247-84-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(hexylamino)thioxomethyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



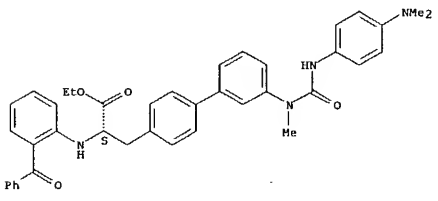
RN 697247-86-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3-fluoro-3'-[[(heptylamino)carbonyl]methylamino]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692257-87-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(dimethylamino)phenyl]amino]carbonyl]methylamino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

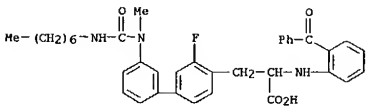
Absolute stereochemistry.



RN 692258-13-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

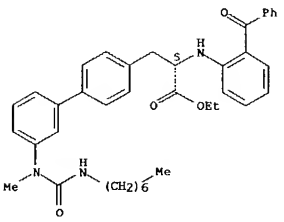
L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **692257-80-0P 692257-85-5P 692257-87-7P**
692258-13-2P 692258-18-7P 692258-23-4P, Ethyl (S)-2-[[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-(ethoxycarbonyl)ethyl]amino]benzoate **692258-26-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PPARγ agonist; preparation of amino acids derivs. containing biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

RN 692257-80-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

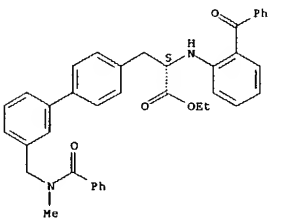
Absolute stereochemistry.



RN 692257-85-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

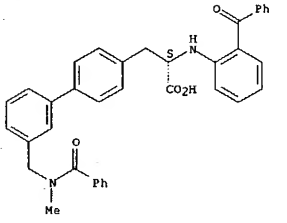
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-18-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

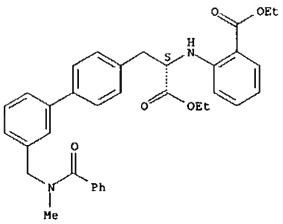
Absolute stereochemistry.



RN 692258-23-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-(ethoxycarbonyl)phenyl]amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

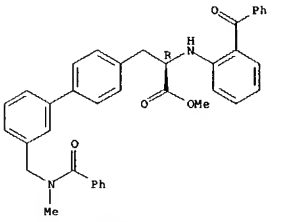
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-26-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



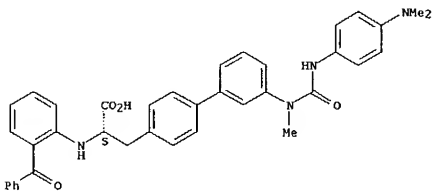
IT **692257-88-8P 692257-89-9P 692258-24-5P**, (S)-2-[[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-(ethoxycarbonyl)ethyl]amino]benzoic acid **692258-25-6P**, (S)-2-[[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-carboxyethyl]amino]benzoic acid **692258-31-4P 692258-39-2P 692258-79-0P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(4-dimethylaminobenzoyl)(methyl)amino]-1,1'-biphenyl-4-yl]propionic acid **692258-80-3P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(methyl)[(naphthalen-2-yl)carbonyl]amino]-1,1'-biphenyl-4-yl]propionic acid **692258-81-4P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(methyl)(octanoyl)amino]-1,1'-biphenyl-4-yl]propionic acid **692258-87-0P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-benzyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid **692258-88-1P**,

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Ethyl (S)-4-[3-[4'-[2-(2-Benzoylphenylamino)-2-carboxyethyl]-1,1'-biphenyl-3-yl]-3-methylureido]benzoate **692258-89-2P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(2-phenylethyl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-90-5P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-butoxyphenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid **692258-91-6P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-1-yl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-92-7P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(1,1'-biphenyl-4-yl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid **692258-93-8P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(4-phenoxyphenyl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-94-9P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-heptyloxyphenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPARγ agonist; prepn. of amino acids derivs. contg. biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

RN 692257-88-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(dimethylamino)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

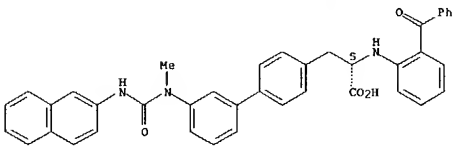
Absolute stereochemistry.



RN 692257-89-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

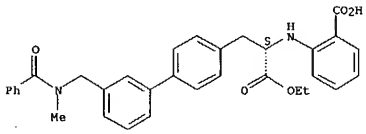
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



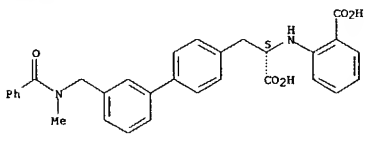
RN 692258-24-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-carboxyphenyl)amino]-, monoethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-25-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-carboxyphenyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

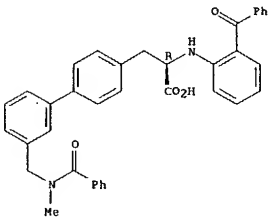
Absolute stereochemistry.



RN 692258-31-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, (αR)- (9CI) (CA INDEX NAME)

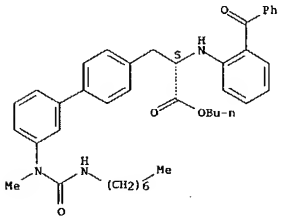
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



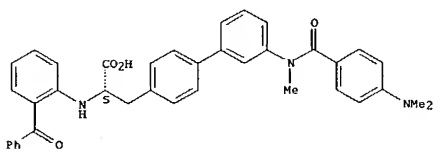
RN 692258-39-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, butyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-79-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[4-(dimethylamino)benzoyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

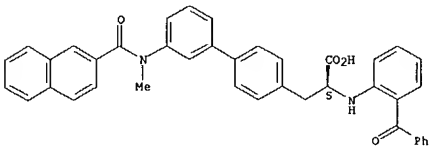
Absolute stereochemistry.



L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

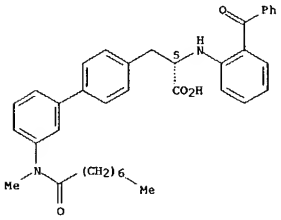
RN 692258-80-3 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl(2-naphthalenylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-81-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl(1-oxooctyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

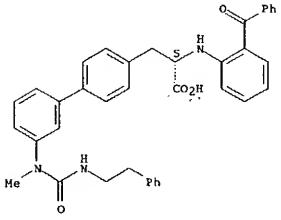
Absolute stereochemistry.



RN 692258-87-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(phenylmethyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

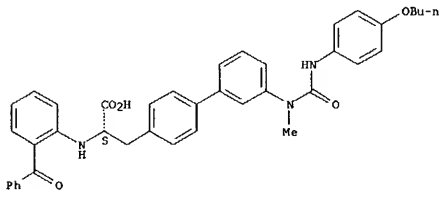
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



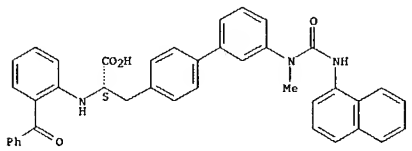
RN 692258-90-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[(4-butoxyphenyl)amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

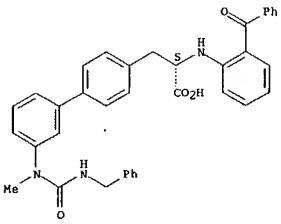


RN 692258-91-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(1-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

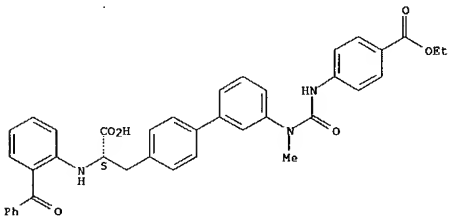


L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-88-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(ethoxycarbonyl)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



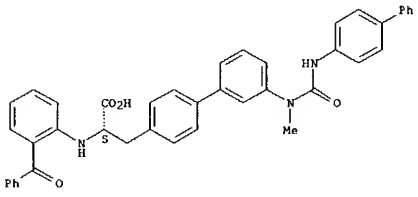
RN 692258-89-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(2-phenylethyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

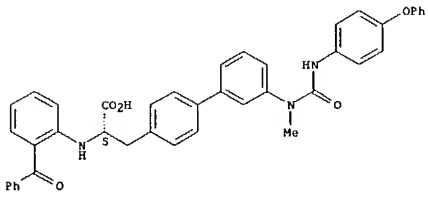
RN 692258-92-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[([1,1'-biphenyl]-4-ylamino)carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-93-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(4-phenoxyphenyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

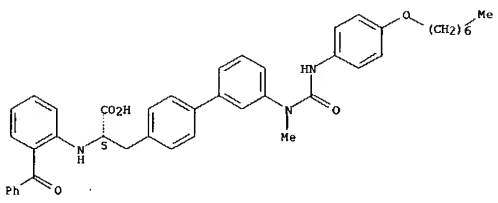
Absolute stereochemistry.



RN 692258-94-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(heptyloxy)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

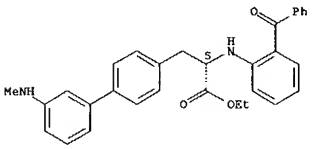
Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **692257-84-4P 692257-90-2P 692257-92-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amino acids derivs. containing biphenyl unit as
agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)
RN 692257-84-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-(methylamino)-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



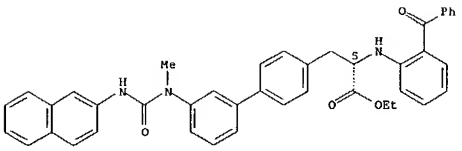
RN 692257-90-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, ethyl ester, (αS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

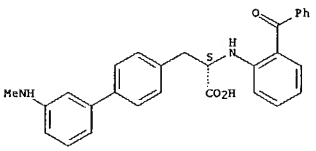
= 8 nM. I showed selective affinity for PPARγ receptors, compared to PPARα and PPARβ receptors.

L5 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692257-92-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-(methylamino)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted Ph, R6C:CHR5,FMOC, BOC, benzyl, and trifluoromethyl N-protected α-amino acids, etc.; R2 = (un)substituted oxadiazole, C(:O)R9, (un)substituted 5-membered heterocyclyl containing O, N, and/or S; R3 = H, halo, alkyl, OH and derivs., NO2, NH2 and derivs., etc.; R4 = aryl/alkyl, hetero/aryl, heterocyclyl, 9-fluorenylmethyl; R5 = H, ar/alkyl, hetero/aryl, heterocyclyl, etc.; R6 = H, alkyl; R9 = OH and derivs., hetero/aryl, aralkyl, heerocyclyl, NH2 and derivs., etc.; A = (CH2)z-(NR13)y-(CO)x-(D)w-; D = O, S, NH and derivs., CH2; x, y, z = independently 0 or 1; w = 0-6; R15 = H, C1-7 alkyl; their optical and geometrical isomers, and their salts] were prepared as PPARγ agonists. I are useful in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metabolism), or in cosmetic compns.
For example, II was prepared, in 98% yield, by acylation of dibenzylamine with (S)-2-(2-Benzoylphenylamino)-3-(3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid (preparation given). II displayed an apparent Kd

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:428912 CAPLUS
DN 141:7437
TI Preparation of phenyl or heteroaryl amino acid derivatives as prostacyclin receptor (IP) antagonists
IN Murata, Toshiki; Umeda, Masaomi; Yoshikawa, Satoru; Urbahns, Klaus; Gupta, Jang; Sakurai, Osamu
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004043926	A1	20040527	WO 2003-EP11976	20031029

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2002-25024 A 20021111
EP 2003-11397 A 20030520

OS MARPAT 141:7437
IT **693790-96-4P 693790-98-6P 693791-00-3P**
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L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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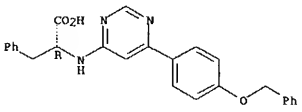
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph or heteroaryl amino acid derivs. as prostacyclin receptor (IP) antagonists)

RN 693790-96-4 CAPLUS
CN D-Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

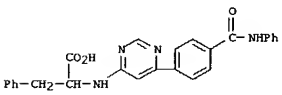
Absolute stereochemistry. Rotation (+).



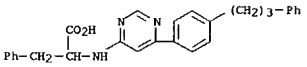
RN 693790-98-6 CAPLUS
CN D-Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

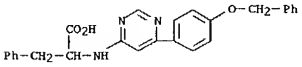
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



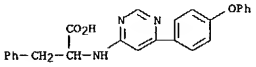
RN 693791-03-6 CAPLUS
CN Phenylalanine, N-[6-[4-(3-phenylpropyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



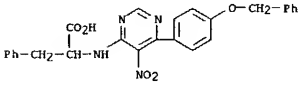
RN 693791-04-7 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-05-8 CAPLUS
CN Phenylalanine, N-[6-(4-phenoxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

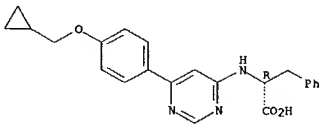


RN 693791-06-9 CAPLUS
CN Phenylalanine, N-[5-nitro-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



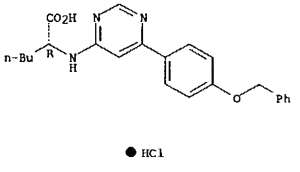
RN 693791-07-0 CAPLUS

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693791-00-3 CAPLUS
CN D-Norleucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

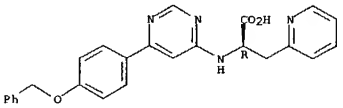
Absolute stereochemistry. Rotation (+).



● HCl

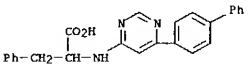
RN 693791-01-4 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



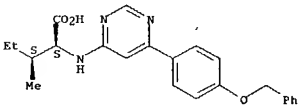
RN 693791-02-5 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylamino)carbonyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Phenylalanine, N-(6-[1,1'-biphenyl]-4-yl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

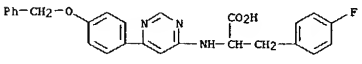


RN 693791-08-1 CAPLUS
CN Isoleucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

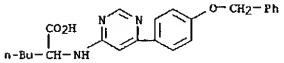
Relative stereochemistry.



RN 693791-09-2 CAPLUS
CN Phenylalanine, 4-fluoro-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

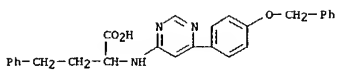


RN 693791-10-5 CAPLUS
CN Norleucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

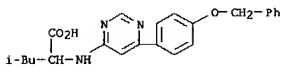


RN 693791-11-6 CAPLUS
CN Benzenebutanoic acid, α-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

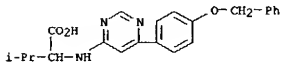
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



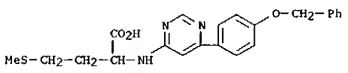
RN 693791-12-7 CAPLUS
CN Leucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



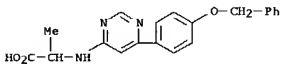
RN 693791-13-8 CAPLUS
CN Valine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-14-9 CAPLUS
CN Methionine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

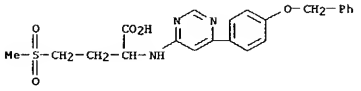


RN 693791-15-0 CAPLUS
CN Alanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

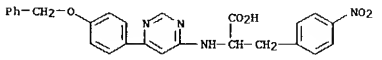


RN 693791-17-2 CAPLUS

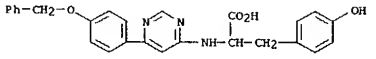
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693791-22-9 CAPLUS
CN Phenylalanine, 4-nitro-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

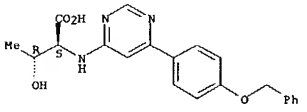


RN 693791-23-0 CAPLUS
CN Tyrosine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

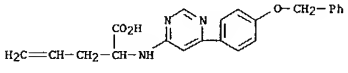


RN 693791-24-1 CAPLUS
CN Threonine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

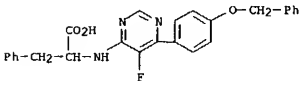
Relative stereochemistry.



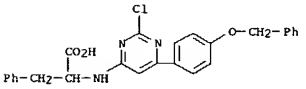
RN 693791-25-2 CAPLUS
CN 4-Pentenoic acid, 2-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



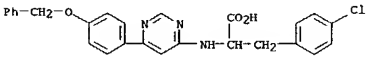
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Phenylalanine, N-[5-fluoro-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



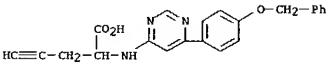
RN 693791-18-3 CAPLUS
CN Phenylalanine, N-[2-chloro-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-19-4 CAPLUS
CN Phenylalanine, 4-chloro-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

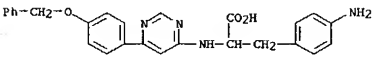


RN 693791-20-7 CAPLUS
CN 4-Pentynoic acid, 2-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

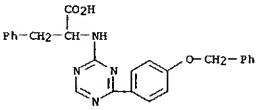


RN 693791-21-8 CAPLUS
CN Butanoic acid, 4-(methylsulfonyl)-2-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

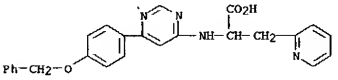
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 693791-26-3 CAPLUS
CN Phenylalanine, 4-amino-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



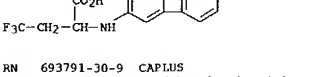
RN 693791-27-4 CAPLUS
CN Phenylalanine, N-[4-[4-(phenylmethoxy)phenyl]-1,3,5-triazin-2-yl]- (9CI) (CA INDEX NAME)



RN 693791-28-5 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

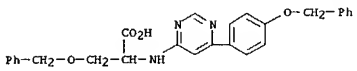


RN 693791-29-6 CAPLUS
CN Butanoic acid, 4,4,4-trifluoro-2-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

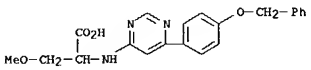


RN 693791-30-9 CAPLUS
CN Serine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

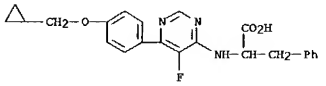
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



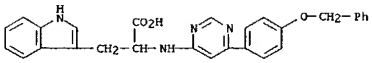
RN 693791-31-0 CAPLUS
CN Serine, O-methyl-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-33-2 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-5-fluoro-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

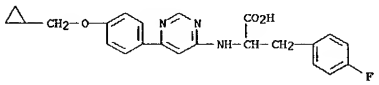


RN 693791-34-3 CAPLUS
CN Tryptophan, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

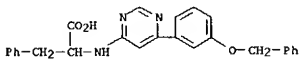


RN 693791-35-4 CAPLUS
CN Phenylalanine, N-[2-amino-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

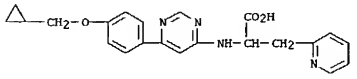
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



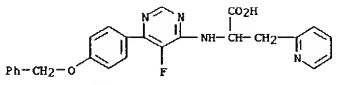
RN 693791-40-1 CAPLUS
CN Phenylalanine, N-[6-[3-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



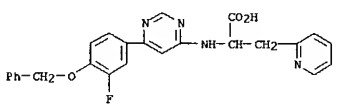
RN 693791-41-2 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



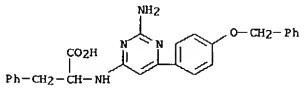
RN 693791-42-3 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[5-fluoro-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



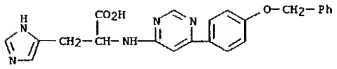
RN 693791-43-4 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[3-fluoro-4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



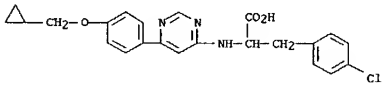
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



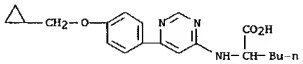
RN 693791-36-5 CAPLUS
CN Histidine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-37-6 CAPLUS
CN Phenylalanine, 4-chloro-N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



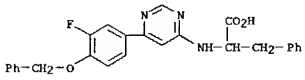
RN 693791-38-7 CAPLUS
CN Norleucine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



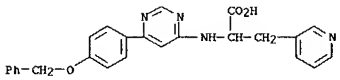
RN 693791-39-8 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 693791-44-5 CAPLUS
CN Phenylalanine, N-[6-[3-fluoro-4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

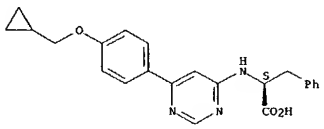


RN 693791-45-6 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



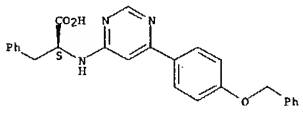
RN 693791-46-7 CAPLUS
CN L-Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

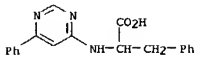


RN 693791-47-8 CAPLUS
CN L-Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

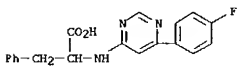
Absolute stereochemistry.



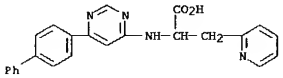
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 693791-48-9 CAPLUS
CN Phenylalanine, N-(6-phenyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



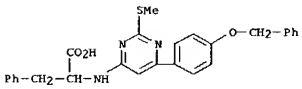
RN 693791-49-0 CAPLUS
CN Phenylalanine, N-[6-(4-fluorophenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-50-3 CAPLUS
CN 2-Pyridinepropanoic acid, α-[(6-[1,1'-biphenyl]-4-yl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)

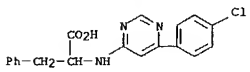


RN 693791-51-4 CAPLUS
CN Phenylalanine, N-[2-(methylthio)-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

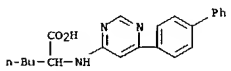


RN 693791-52-5 CAPLUS
CN Phenylalanine, N-[6-(4-chlorophenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

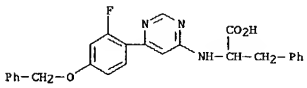
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



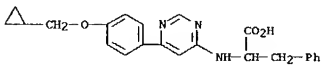
RN 693791-53-6 CAPLUS
CN Norleucine, N-(6-[1,1'-biphenyl]-4-yl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 693791-54-7 CAPLUS
CN Phenylalanine, N-[6-[2-fluoro-4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

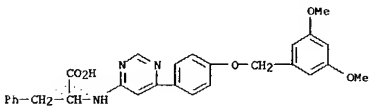


RN 693791-56-9 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

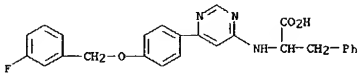


RN 693791-58-1 CAPLUS
CN Phenylalanine, N-[6-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

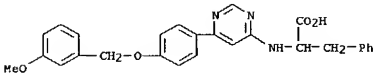
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



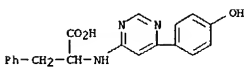
RN 693791-59-2 CAPLUS
CN Phenylalanine, N-[6-[4-[(3-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



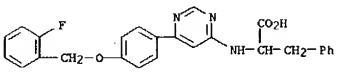
RN 693791-60-5 CAPLUS
CN Phenylalanine, N-[6-[4-[(3-methoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



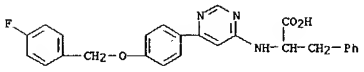
RN 693791-61-6 CAPLUS
CN Phenylalanine, N-[6-(4-hydroxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



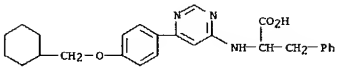
RN 693791-62-7 CAPLUS
CN Phenylalanine, N-[6-[4-[(2-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



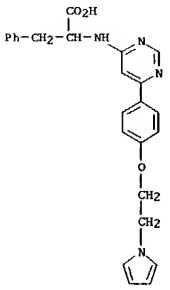
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 693791-63-8 CAPLUS
CN Phenylalanine, N-[6-[4-[(4-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-64-9 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclohexylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

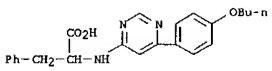


RN 693791-65-0 CAPLUS
CN Phenylalanine, N-[6-[4-[2-(1H-pyrrol-1-yl)ethoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

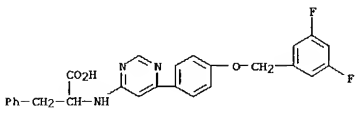


RN 693791-67-2 CAPLUS
CN Phenylalanine, N-[6-(4-butoxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

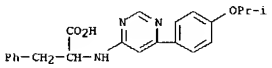
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



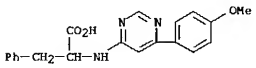
RN 693791-68-3 CAPLUS
CN Phenylalanine, N-[6-[4-[(3,5-difluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-69-4 CAPLUS
CN Phenylalanine, N-[6-[4-(1-methylethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

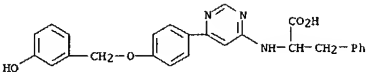


RN 693791-70-7 CAPLUS
CN Phenylalanine, N-[6-(4-methoxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

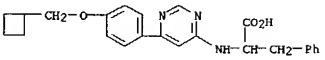


RN 693791-71-8 CAPLUS
CN Phenylalanine, N-[6-[4-[(3-hydroxyphenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

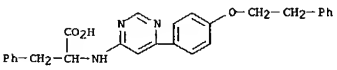
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



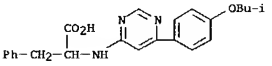
RN 693791-72-9 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclobutylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



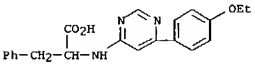
RN 693791-73-0 CAPLUS
CN Phenylalanine, N-[6-[4-(2-phenylethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-74-1 CAPLUS
CN Phenylalanine, N-[6-[4-(2-methylpropoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

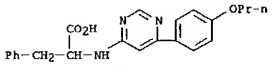


RN 693791-75-2 CAPLUS
CN Phenylalanine, N-[6-(4-ethoxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

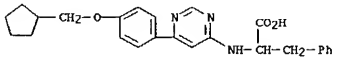


L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

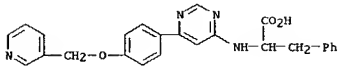
RN 693791-76-3 CAPLUS
CN Phenylalanine, N-[6-(4-propoxyphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-77-4 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopentylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

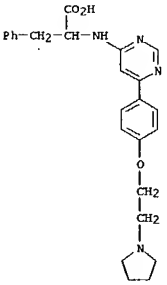


RN 693791-78-5 CAPLUS
CN Phenylalanine, N-[6-[4-(3-pyridinylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

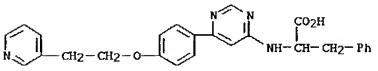


RN 693791-79-6 CAPLUS
CN Phenylalanine, N-[6-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

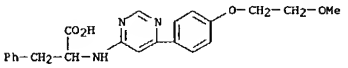
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



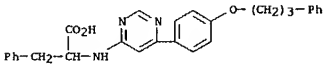
RN 693791-80-9 CAPLUS
CN Phenylalanine, N-[6-[4-[2-(3-pyridinyl)ethoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



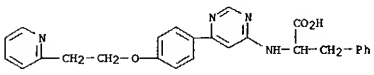
RN 693791-81-0 CAPLUS
CN Phenylalanine, N-[6-[4-(2-methoxyethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



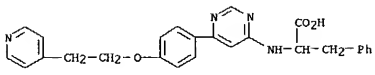
RN 693791-82-1 CAPLUS
CN Phenylalanine, N-[6-[4-(3-phenylpropoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



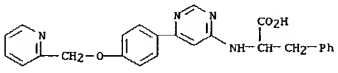
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 693791-83-2 CAPLUS
CN Phenylalanine, N-[6-[4-[2-(2-pyridinyl)ethoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



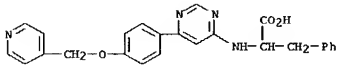
RN 693791-84-3 CAPLUS
CN Phenylalanine, N-[6-[4-[2-(4-pyridinyl)ethoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-85-4 CAPLUS
CN Phenylalanine, N-[6-[4-(2-pyridinylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

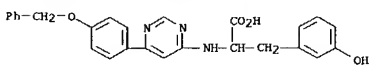


RN 693791-86-5 CAPLUS
CN Phenylalanine, N-[6-[4-(4-pyridinylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

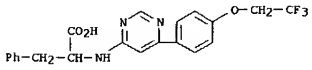


RN 693791-87-6 CAPLUS
CN Phenylalanine, 3-hydroxy-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

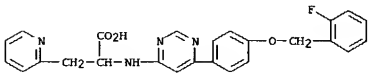
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



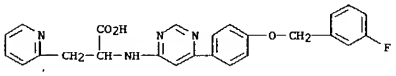
RN 693791-88-7 CAPLUS
CN Phenylalanine, N-[6-[4-(2,2,2-trifluoroethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



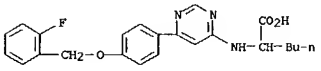
RN 693791-89-8 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-[(2-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



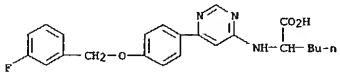
RN 693791-90-1 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-[(3-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



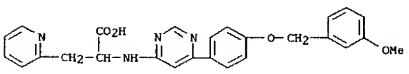
RN 693791-91-2 CAPLUS
CN Norleucine, N-[6-[4-[(2-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



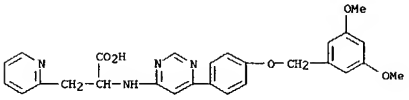
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 693791-92-3 CAPLUS
CN Norleucine, N-[6-[4-[(3-fluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



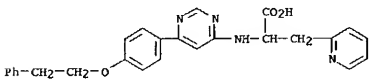
RN 693791-93-4 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-[(3-methoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 693791-94-5 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



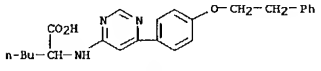
RN 693791-95-6 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(2-phenylethoxy)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



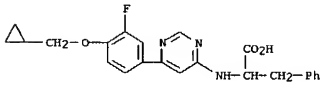
RN 693791-96-7 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-[(3,5-difluorophenyl)methoxy]phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

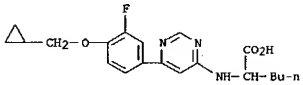
RN 693791-97-8 CAPLUS
CN Norleucine, N-[6-[4-(2-phenylethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693791-98-9 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopropylmethoxy)-3-fluorophenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

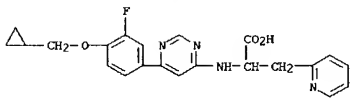


RN 693791-99-0 CAPLUS
CN Norleucine, N-[6-[4-(cyclopropylmethoxy)-3-fluorophenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

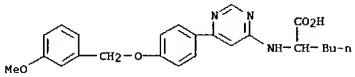


RN 693792-00-6 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(cyclopropylmethoxy)-3-fluorophenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

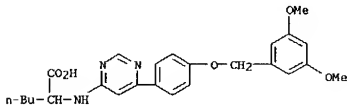
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



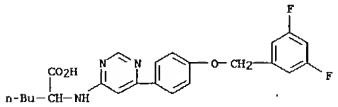
RN 693792-01-7 CAPLUS
CN Norleucine, N-[6-[4-[(3-methoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-02-8 CAPLUS
CN Norleucine, N-[6-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

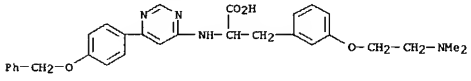


RN 693792-03-9 CAPLUS
CN Norleucine, N-[6-[4-[(3,5-difluorophenyl)methoxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

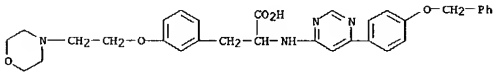


RN 693792-04-0 CAPLUS
CN Phenylalanine, N-[2-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

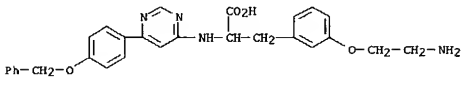
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



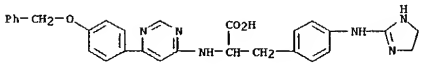
RN 693792-09-5 CAPLUS
CN Phenylalanine, 3-[2-(4-morpholinyl)ethoxy]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-10-8 CAPLUS
CN Phenylalanine, 3-(2-aminoethoxy)-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



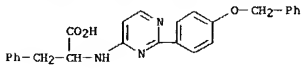
RN 693792-11-9 CAPLUS
CN Phenylalanine, 4-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



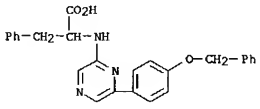
RN 693792-12-0 CAPLUS
CN Phenylalanine, N-[6-[4-[(1E)-2-phenylethenyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

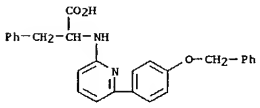
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



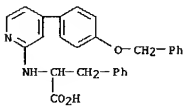
RN 693792-05-1 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]pyrazinyl]- (9CI) (CA INDEX NAME)



RN 693792-06-2 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

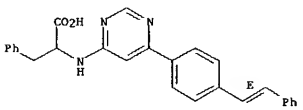


RN 693792-07-3 CAPLUS
CN Phenylalanine, N-[4-[4-(phenylmethoxy)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

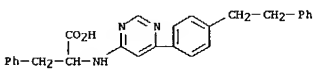


RN 693792-08-4 CAPLUS
CN Phenylalanine, 3-[2-(dimethylamino)ethoxy]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

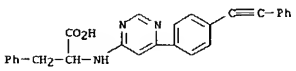
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693792-13-1 CAPLUS
CN Phenylalanine, N-[6-[4-(2-phenylethyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

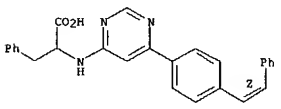


RN 693792-14-2 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylethynyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



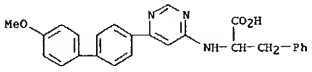
RN 693792-15-3 CAPLUS
CN Phenylalanine, N-[6-[4-[(1Z)-2-phenylethenyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

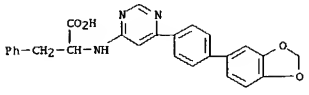


RN 693792-16-4 CAPLUS
CN Phenylalanine, N-[6-(4'-methoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

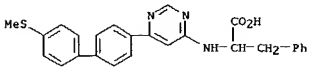
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



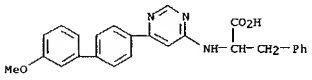
RN 693792-17-5 CAPLUS
CN Phenylalanine, N-[6-[4-(1,3-benzodioxol-5-yl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-18-6 CAPLUS
CN Phenylalanine, N-[6-[4'-(methylthio)[1,1'-biphenyl]-4-yl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

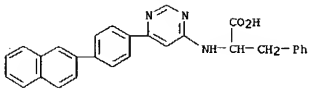


RN 693792-19-7 CAPLUS
CN Phenylalanine, N-(6-(3'-methoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

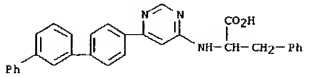


RN 693792-20-0 CAPLUS
CN Phenylalanine, N-[6-[4-(2-naphthalenyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

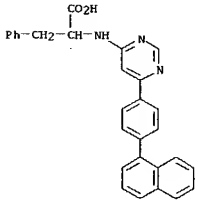
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



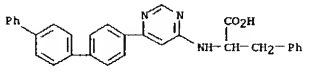
RN 693792-21-1 CAPLUS
CN Phenylalanine, N-(6-[1,1':3',1''-terphenyl]-4-yl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 693792-22-2 CAPLUS
CN Phenylalanine, N-[6-[4-(1-naphthalenyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

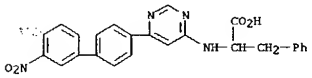


RN 693792-23-3 CAPLUS
CN Phenylalanine, N-(6-[1,1':4',1''-terphenyl]-4-yl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

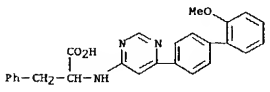


L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

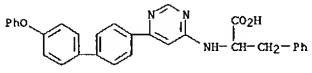
RN 693792-24-4 CAPLUS
CN Phenylalanine, N-[6-(3'-nitro[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



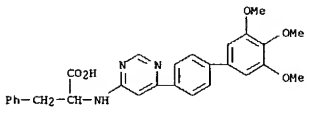
RN 693792-25-5 CAPLUS
CN Phenylalanine, N-[6-(2'-methoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-26-6 CAPLUS
CN Phenylalanine, N-[6-(4'-phenoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

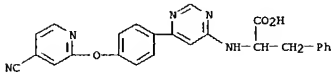


RN 693792-27-7 CAPLUS
CN Phenylalanine, N-[6-(3',4',5'-trimethoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

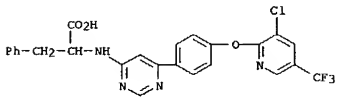


RN 693792-28-8 CAPLUS
CN Phenylalanine, N-[6-[4-[(4-cyano-2-pyridinyl)oxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

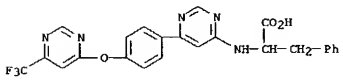
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



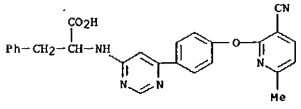
RN 693792-29-9 CAPLUS
CN Phenylalanine, N-[6-[4-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-30-2 CAPLUS
CN Phenylalanine, N-[6-[4-[[6-(trifluoromethyl)-4-pyrimidinyl]oxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

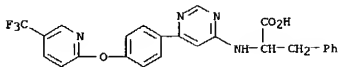


RN 693792-31-3 CAPLUS
CN Phenylalanine, N-[6-[4-[(3-cyano-6-methyl-2-pyridinyl)oxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

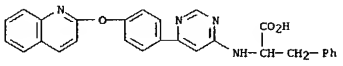


RN 693792-32-4 CAPLUS
CN Phenylalanine, N-[6-[4-[[5-(trifluoromethyl)-2-pyridinyl]oxy]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

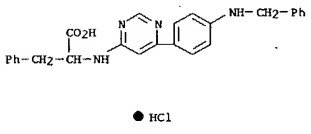
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



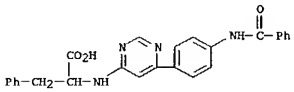
RN 693792-33-5 CAPLUS
CN Phenylalanine, N-[6-[4-(2-quinolinyloxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-34-6 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylmethyl)amino]phenyl]-4-pyrimidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

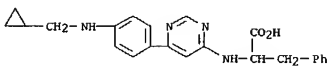


RN 693792-35-7 CAPLUS
CN Phenylalanine, N-[6-[4-(benzoylamino)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

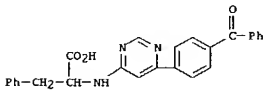


RN 693792-36-8 CAPLUS
CN Phenylalanine, N-[6-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

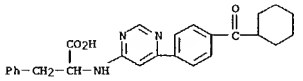
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



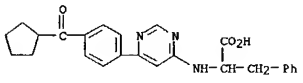
RN 693792-41-5 CAPLUS
CN Phenylalanine, N-[6-(4-benzoylphenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



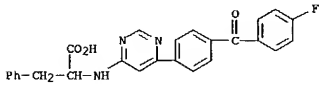
RN 693792-42-6 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclohexylcarbonyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



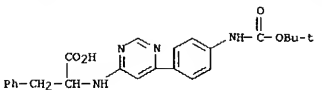
RN 693792-43-7 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopentylcarbonyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



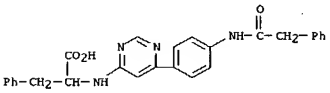
RN 693792-44-8 CAPLUS
CN Phenylalanine, N-[6-[4-(4-fluorobenzoyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



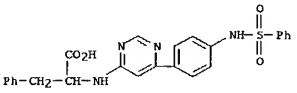
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



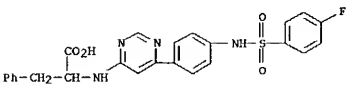
RN 693792-37-9 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylacetyl)amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-38-0 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylsulfonyl)amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



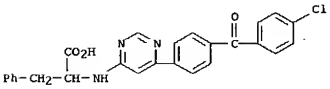
RN 693792-39-1 CAPLUS
CN Phenylalanine, N-[6-[4-[[(4-fluorophenyl)sulfonyl]amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



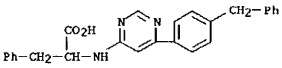
RN 693792-40-4 CAPLUS
CN Phenylalanine, N-[6-[4-[(cyclopropylmethyl)amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

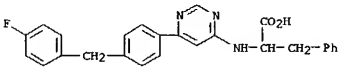
RN 693792-45-9 CAPLUS
CN Phenylalanine, N-[6-[4-(4-chlorobenzoyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



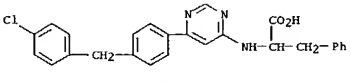
RN 693792-46-0 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-47-1 CAPLUS
CN Phenylalanine, N-[6-[4-[(4-fluorophenyl)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

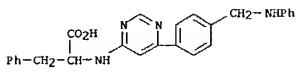


RN 693792-48-2 CAPLUS
CN Phenylalanine, N-[6-[4-[(4-chlorophenyl)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

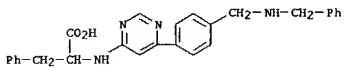


RN 693792-49-3 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylamino)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

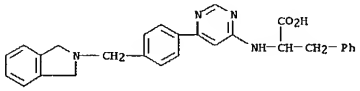
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



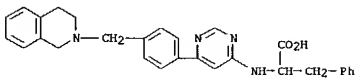
RN 693792-50-6 CAPLUS
CN Phenylalanine, N-[6-[4-[[(phenylmethyl)amino]methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 693792-51-7 CAPLUS
CN Phenylalanine, N-[6-[4-[(1,3-dihydro-2H-isoindol-2-yl)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

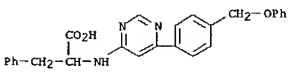


RN 693792-52-8 CAPLUS
CN Phenylalanine, N-[6-[4-[(3,4-dihydro-2(1H)-isoquinolinyl)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

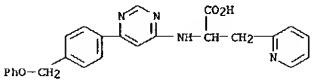


RN 693792-53-9 CAPLUS
CN Phenylalanine, N-[6-[4-(phenoxymethyl)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

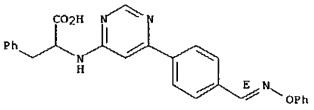


RN 693792-54-0 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(phenoxymethyl)phenyl]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

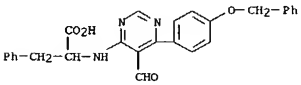


RN 693792-55-1 CAPLUS
CN Phenylalanine, N-[6-[4-[(E)-(phenoxyimino)methyl]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

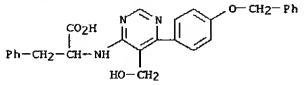


RN 693792-56-2 CAPLUS
CN Phenylalanine, N-[5-formyl-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

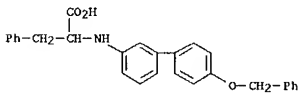


RN 693792-57-3 CAPLUS
CN Phenylalanine, N-[5-(hydroxymethyl)-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

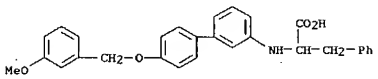
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



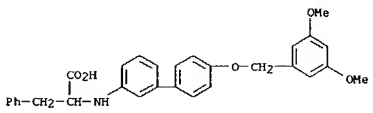
RN 693792-58-4 CAPLUS
CN Phenylalanine, N-[4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



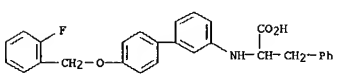
RN 693792-59-5 CAPLUS
CN Phenylalanine, N-[4'-[(3-methoxyphenyl)methoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 693792-60-8 CAPLUS
CN Phenylalanine, N-[4'-[(3,5-dimethoxyphenyl)methoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

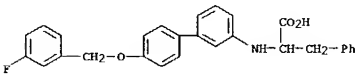


RN 693792-61-9 CAPLUS
CN Phenylalanine, N-[4'-[(2-fluorophenyl)methoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

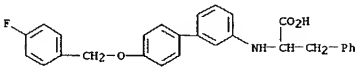


L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

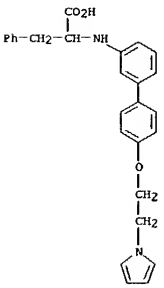
RN 693792-62-0 CAPLUS
CN Phenylalanine, N-[4'-[(3-fluorophenyl)methoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



RN 693792-63-1 CAPLUS
CN Phenylalanine, N-[4'-[(4-fluorophenyl)methoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

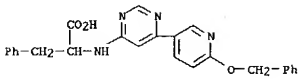


RN 693792-64-2 CAPLUS
CN Phenylalanine, N-[4'-[2-(1H-pyrrol-1-yl)ethoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

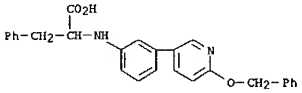


RN 693792-65-3 CAPLUS
CN Phenylalanine, N-[6-[6-(phenylmethoxy)-3-pyridinyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

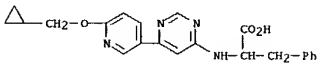
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



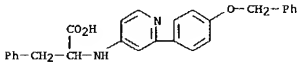
RN 693792-66-4 CAPLUS
CN Phenylalanine, N-[3-[6-(phenylmethoxy)-3-pyridinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 693792-67-5 CAPLUS
CN Phenylalanine, N-[6-[6-(cyclopropylmethoxy)-3-pyridinyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

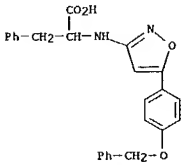


RN 693792-71-1 CAPLUS
CN Phenylalanine, N-[2-[4-(phenylmethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

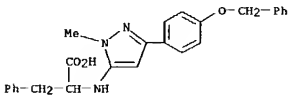


RN 693792-72-2 CAPLUS
CN Phenylalanine, N-[5-[4-(phenylmethoxy)phenyl]-3-isoxazolyl]- (9CI) (CA INDEX NAME)

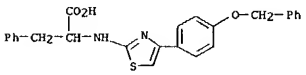
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



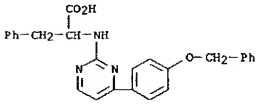
RN 693792-73-3 CAPLUS
CN Phenylalanine, N-[1-methyl-3-[4-(phenylmethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 693792-74-4 CAPLUS
CN Phenylalanine, N-[4-[4-(phenylmethoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



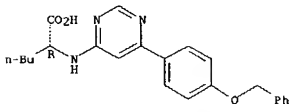
RN 693792-75-5 CAPLUS
CN Phenylalanine, N-[4-[4-(phenylmethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

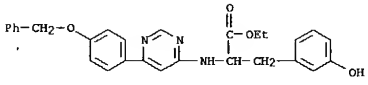
RN 694520-54-2 CAPLUS
CN D-Norleucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

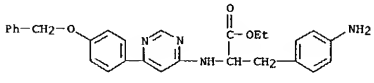


IT **693792-87-9 693792-90-4 693793-02-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of Ph or heteroaryl amino acid derivs. as prostacyclin receptor
(IP) antagonists)

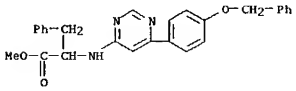
RN 693792-87-9 CAPLUS
CN Phenylalanine, 3-hydroxy-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 693792-90-4 CAPLUS
CN Phenylalanine, 4-amino-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 693793-02-1 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

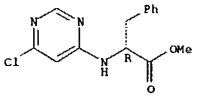


L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **693792-77-7P 693792-78-8P 693792-79-9P**
693792-80-2P 693792-81-3P 693792-82-4P
693792-83-5P 693792-84-6P 693792-85-7P
693792-86-8P 693792-88-0P 693792-89-1P
693792-91-5P 693792-92-6P 693792-93-7P
693792-94-8P 693792-95-9P 693792-96-0P
693792-97-1P 693793-00-9P 693793-01-0P
693793-03-2P 693793-04-3P 693793-05-4P
693793-06-5P 693793-07-6P 693793-08-7P
693793-09-8P 693793-10-1P 693793-11-2P
693793-12-3P 693793-13-4P 693793-14-5P
693793-15-6P 693793-16-7P 693793-17-8P
693793-18-9P 693793-19-0P 693793-20-3P
693793-21-4P 693793-22-5P 693793-32-7P
693793-37-2P 693793-38-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Ph or heteroaryl amino acid derivs. as prostacyclin receptor
(IP) antagonists)

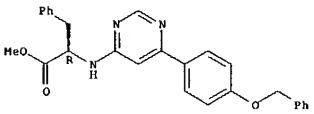
RN 693792-77-7 CAPLUS
CN D-Phenylalanine, N-(6-chloro-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 693792-78-8 CAPLUS
CN D-Phenylalanine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

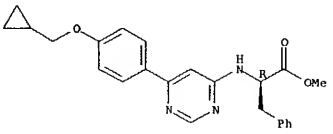
Absolute stereochemistry.



RN 693792-79-9 CAPLUS
CN D-Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

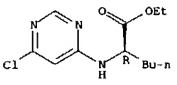
Absolute stereochemistry.

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



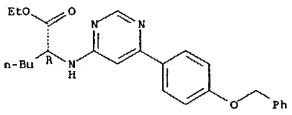
RN 693792-80-2 CAPLUS
CN D-Norleucine, N-(6-chloro-4-pyrimidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

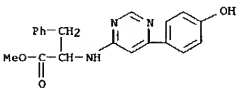


RN 693792-81-3 CAPLUS
CN D-Norleucine, N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

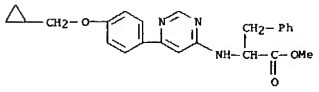


RN 693792-82-4 CAPLUS
CN Phenylalanine, N-[6-(4-hydroxyphenyl)-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

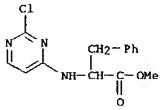


L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

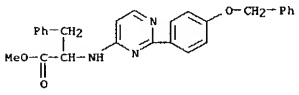
RN 693792-83-5 CAPLUS
CN Phenylalanine, N-[6-[4-(cyclopropylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



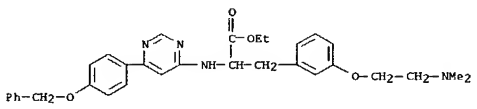
RN 693792-84-6 CAPLUS
CN Phenylalanine, N-(2-chloro-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 693792-85-7 CAPLUS
CN Phenylalanine, N-[2-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



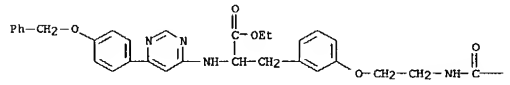
RN 693792-86-8 CAPLUS
CN Phenylalanine, 3-[2-(dimethylamino)ethoxy]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 693792-88-0 CAPLUS
CN Phenylalanine, 3-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethoxy]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

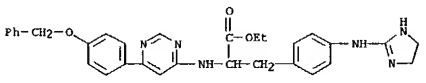
PAGE 1-A



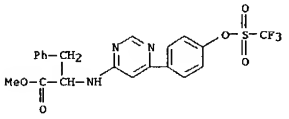
PAGE 1-B

—OBu-t

RN 693792-89-1 CAPLUS
CN Phenylalanine, 4-[(4,5-dihydro-1H-imidazol-2-yl)amino]-N-[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



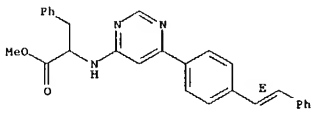
RN 693792-91-5 CAPLUS
CN Phenylalanine, N-[6-[4-[[(trifluoromethyl)sulfonyl]oxy]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



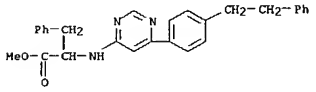
RN 693792-92-6 CAPLUS
CN Phenylalanine, N-[6-[4-[(1E)-2-phenylethenyl]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

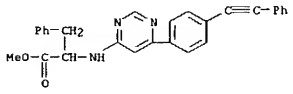
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693792-93-7 CAPLUS
CN Phenylalanine, N-[6-[4-(2-phenylethyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

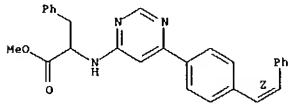


RN 693792-94-8 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylethynyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



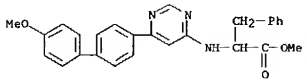
RN 693792-95-9 CAPLUS
CN Phenylalanine, N-[6-[4-[(1Z)-2-phenylethenyl]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

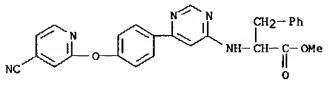


RN 693792-96-0 CAPLUS
CN Phenylalanine, N-[6-(4'-methoxy[1,1'-biphenyl]-4-yl)-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

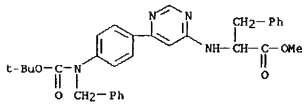
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



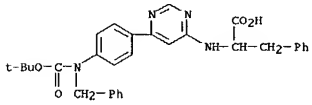
RN 693792-97-1 CAPLUS
CN Phenylalanine, N-[6-[4-[(4-cyano-2-pyridinyl)oxy]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 693793-00-9 CAPLUS
CN Phenylalanine, N-[6-[4-[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

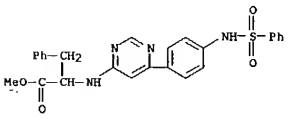


RN 693793-01-0 CAPLUS
CN Phenylalanine, N-[6-[4-[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]phenyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

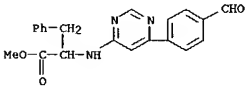


RN 693793-03-2 CAPLUS
CN Phenylalanine, N-[6-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

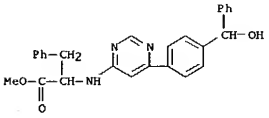
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



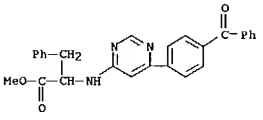
RN 693793-07-6 CAPLUS
CN Phenylalanine, N-[6-(4-formylphenyl)-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 693793-08-7 CAPLUS
CN Phenylalanine, N-[6-[4-(hydroxyphenylmethyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

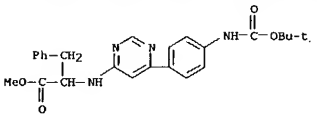


RN 693793-09-8 CAPLUS
CN Phenylalanine, N-[6-(4-benzoylphenyl)-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

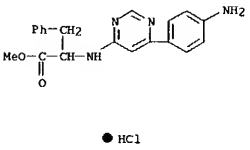


RN 693793-10-1 CAPLUS
CN Phenylalanine, N-[6-[4-(phenylmethyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

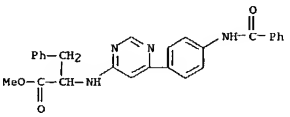
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693793-04-3 CAPLUS
CN Phenylalanine, N-[6-(4-aminophenyl)-4-pyrimidinyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

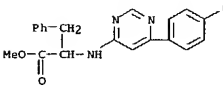


RN 693793-05-4 CAPLUS
CN Phenylalanine, N-[6-[4-(benzoylamino)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

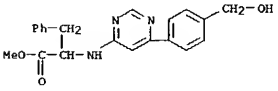


RN 693793-06-5 CAPLUS
CN Phenylalanine, N-[6-[4-[(phenylsulfonyl)amino]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

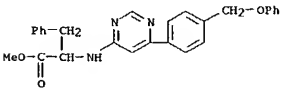
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 693793-11-2 CAPLUS
CN Phenylalanine, N-[6-[4-(hydroxymethyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

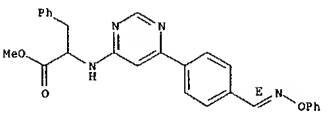


RN 693793-12-3 CAPLUS
CN Phenylalanine, N-[6-[4-(phenoxymethyl)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



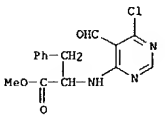
RN 693793-13-4 CAPLUS
CN Phenylalanine, N-[6-[4-[(E)-(phenoxyimino)methyl]phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

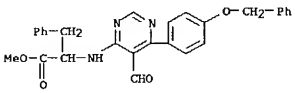


RN 693793-14-5 CAPLUS
CN Phenylalanine, N-(6-chloro-5-formyl-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)

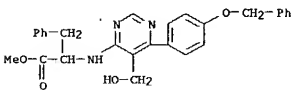
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



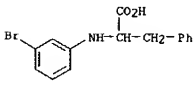
RN 693793-15-6 CAPLUS
CN Phenylalanine, N-[5-formyl-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 693793-16-7 CAPLUS
CN Phenylalanine, N-[5-(hydroxymethyl)-6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

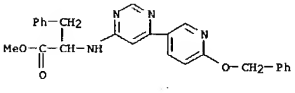


RN 693793-17-8 CAPLUS
CN Phenylalanine, N-(3-bromophenyl)- (9CI) (CA INDEX NAME)

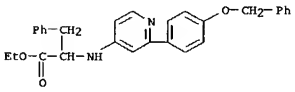


RN 693793-18-9 CAPLUS
CN Phenylalanine, N-(3-bromophenyl)-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

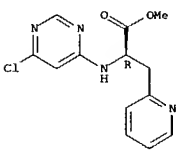


RN 693793-32-7 CAPLUS
CN Phenylalanine, N-[2-[4-(phenylmethoxy)phenyl]-4-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



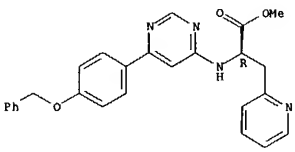
RN 693793-37-2 CAPLUS
CN 2-Pyridinepropanoic acid, α-[(6-chloro-4-pyrimidinyl)amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



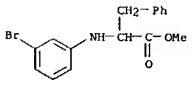
RN 693793-38-3 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[6-[4-(phenylmethoxy)phenyl]-4-pyrimidinyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

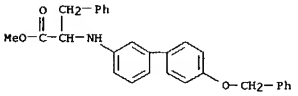


GI

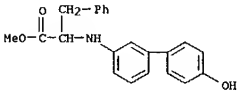
L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



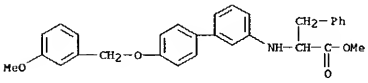
RN 693793-19-0 CAPLUS
CN Phenylalanine, N-[4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 693793-20-3 CAPLUS
CN Phenylalanine, N-(4'-hydroxy[1,1'-biphenyl]-3-yl)-, methyl ester (9CI) (CA INDEX NAME)

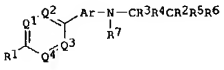


RN 693793-21-4 CAPLUS
CN Phenylalanine, N-[4'-[(3-methoxyphenyl)methoxy][1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 693793-22-5 CAPLUS
CN Phenylalanine, N-[6-[6-(phenylmethoxy)-3-pyridinyl]-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

AB The invention relates to amino acid derivs. I [Ar is (un)substituted phenylene or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from O, N and S; Q is CH, CR10 or N (R10 is halo, cyano, amino, nitro, formyl, hydroxymethyl, methylthio, alkyl, haloalkyl, alkoxy or phenylalkoxy); R1 is OR11 (R11 is alkoxyalkylene, a mono- or bicyclic ring, alkyl, etc.), CH2NHR11, COR11, CONHR11, SR11, SOR11, SO2R11, NHR11, NHCO2R11, NHCOR11, NHSO2R11, H, OH, halo, a mono- or bicyclic ring, alkyl, etc.; R2 is H, OH, amino, alkyl, cycloalkyl, alkylthio, alkylsulfonyl, aryl, heteroaryl, etc.; R3 is H, alkyl or haloalkyl; R4 is carboxy, tetrazolyl or N-hydroxyaminocarbonyl; R5 is H, alkoxy, aryl, heteroaryl, alkyl or haloalkyl; R6 is H, alkyl or haloalkyl] which have prostacyclin receptor (IP) antagonistic activity and can be used for the prophylaxis and treatment of diseases such urol. diseases or disorder or pain. Thus, N-[6-[4-(benzyloxy)phenyl]pyrimidin-4-yl]-D-phenylalanine was prepared by substitution reaction of 4,6-dichloropyrimidine with D-phenylalanine Me ester hydrochloride, followed by arylation with 4-(benzyloxy)phenylboronic acid and saponification IP binding/cAMP data for > 100 synthesized compds. are tabulated (IC50 values are classified as A < 0.1 μM ≤ B < 1 μM ≤ C).

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:411319 CAPLUS
DN 140:423945
TI Preparation of amino acids derivatives containing biphenyl unit as activators, in particular as agonists of PPARγ receptors, and their use in cosmetic or pharmaceutical compositions
IN Clary, Laurence; Bouix, Peter Claire; Rivier, Michel; Collette, Pascal; Jomard, Andre
PA Galderma Research & Development, Fr.
SO Fr. Demande, 65 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2847251	A1	20040521	FR 2002-14465	20021119
	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				FR 2002-14465 A	20021119
				US 2003-454310PP	20030314

PATENT FAMILY INFORMATION:
FAN 2004:453169

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
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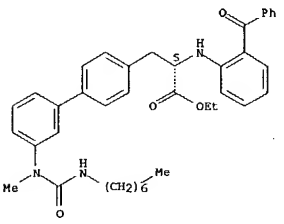
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				FR 2002-14465 A	20021119
				US 2003-454310PP	20030314
	FR 2847251	A1	20040521	FR 2002-14465	20021119

OS MARPAT 140:423945
IT **692257-80-0P**, Ethyl (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionate **692257-85-5P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid **692257-87-7P**, Ethyl (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-dimethylaminophenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionate **692258-13-2P**, Ethyl (S)-3-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphen-4-yl]-2-(2-benzoylphenylamino)propionate **692258-18-7P**, (S)-3-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-2-(2-benzoylphenylamino)propionic acid **692258-23-4P**, Ethyl (S)-2-{[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-(ethoxycarbonyl)ethyl]amino}benzoate **692258-26-7P**, Methyl (R)-3-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-2-(2-benzoylphenylamino)propionate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PPARγ agonist; prepn. of amino acids derivs. contg. biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 692257-80-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

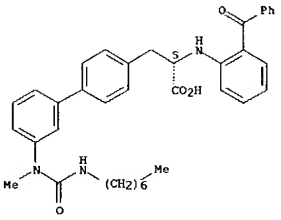
Absolute stereochemistry.



RN 692257-85-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

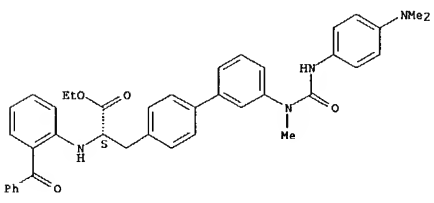
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692257-87-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(dimethylamino)phenyl]amino]carbonyl]methylamino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

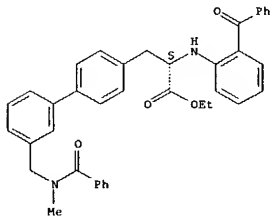
Absolute stereochemistry.



RN 692258-13-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

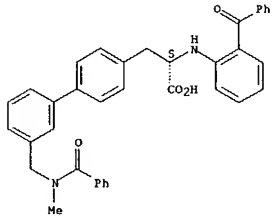
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-18-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

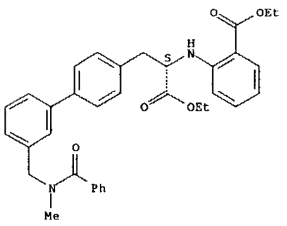
Absolute stereochemistry.



RN 692258-23-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-(ethoxycarbonyl)phenyl]amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

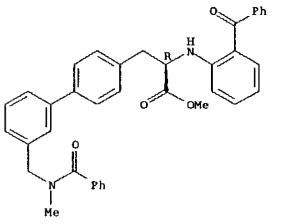
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-26-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

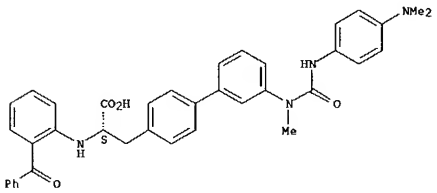


IT **692257-88-8P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-dimethylaminophenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid **692257-89-9P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-2-yl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-24-5P**, (S)-2-[[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-(ethoxycarbonyl)ethyl]amino]benzoic acid **692258-25-6P**, (S)-2-[[2-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-1-carboxyethyl]amino]benzoic acid **692258-31-4P**, (R)-3-[3'-[[(Benzoyl)(methyl)amino]methyl]-1,1'-biphenyl-4-yl]-2-(2-benzoylphenylamino)propionic acid **692258-39-2P**, Butyl (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionate **692258-79-0P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(4-dimethylaminobenzoyl)(methyl)amino]-1,1'-biphenyl-4-yl]propionic acid

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

692258-80-3P, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(methyl)[(naphthalen-2-yl)carbonyl]amino]-1,1'-biphenyl-4-yl]propionic acid **692258-81-4P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[(methyl)(octanoyl)amino]-1,1'-biphenyl-4-yl]propionic acid **692258-87-0P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-benzyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid **692258-88-1P**, Ethyl (S)-4-[3-[4'-[2-(2-Benzoylphenylamino)-2-carboxyethyl]-1,1'-biphenyl-3-yl]-3-methylureido]benzoate **692258-89-2P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(2-phenylethyl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-90-5P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-butoxyphenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid **692258-91-6P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-1-yl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-92-7P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(1,1'-biphenyl-4-yl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid **692258-93-8P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(4-phenoxyphenyl)ureido]-1,1'-biphenyl-4-yl]propionic acid **692258-94-9P**, (S)-2-(2-Benzoylphenylamino)-3-[3'-[3-(4-heptyloxyphenyl)-1-methylureido]-1,1'-biphenyl-4-yl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPARγ agonist; prepn. of amino acids derivs. contg. biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)
RN 692257-88-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(dimethylamino)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

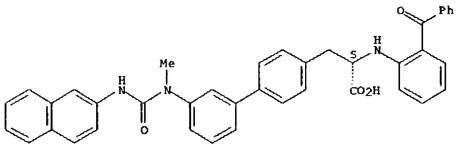
Absolute stereochemistry.



RN 692257-89-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

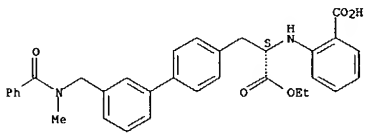
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



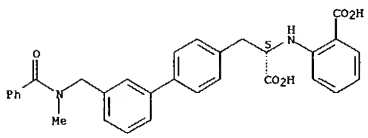
RN 692258-24-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-carboxyphenyl)amino]-, monoethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-25-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-carboxyphenyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

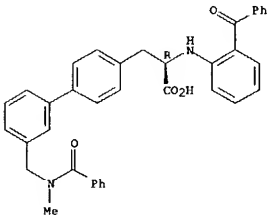
Absolute stereochemistry.



RN 692258-31-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, 3'-[(benzoylmethylamino)methyl]-α-[(2-benzoylphenyl)amino]-, (αR)- (9CI) (CA INDEX NAME)

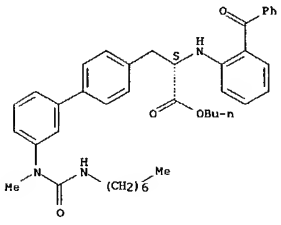
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



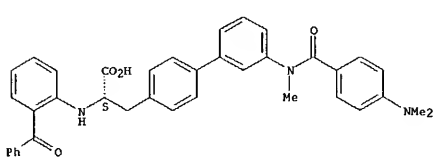
RN 692258-39-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[(heptylamino)carbonyl]methylamino]-, butyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-79-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[4-(dimethylamino)benzoyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

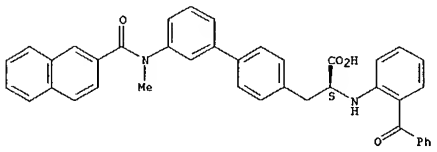
Absolute stereochemistry.



L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

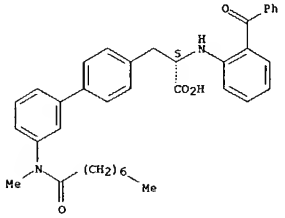
RN 692258-80-3 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl(2-naphthalenylcarbonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-81-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl(1-oxooctyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

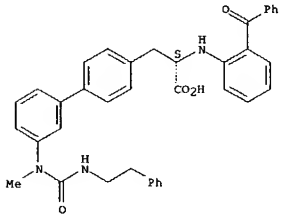
Absolute stereochemistry.



RN 692258-87-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(phenylmethyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

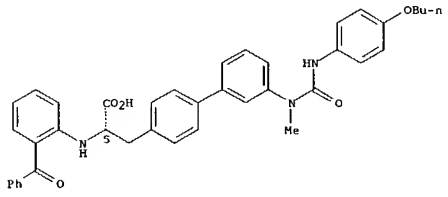
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



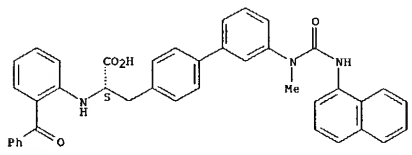
RN 692258-90-5 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[(4-butoxyphenyl)amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

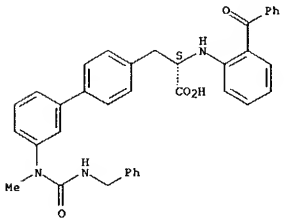


RN 692258-91-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(1-naphthalenylamino)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

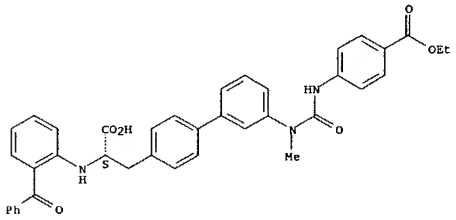


L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692258-88-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(ethoxycarbonyl)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



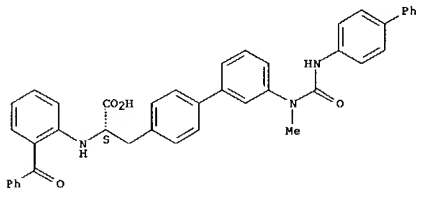
RN 692258-89-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(2-phenylethyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

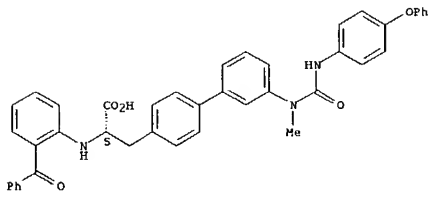
RN 692258-92-7 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[([1,1'-biphenyl]-4-ylamino)carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692258-93-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[[(4-phenoxyphenyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

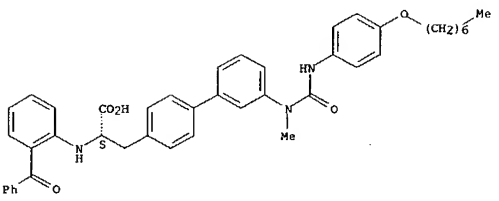
Absolute stereochemistry.



RN 692258-94-9 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[[[[4-(heptyloxy)phenyl]amino]carbonyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

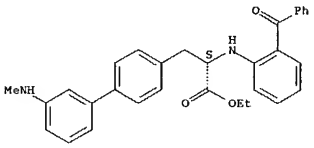


IT **692257-84-4P 692257-90-2P**, Ethyl (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-2-yl)ureido]-1,1'-biphenyl-4-yl]propionate **692257-92-4P**, (S)-2-(2-Benzoylphenylamino)-3-(3'-methylamino-1,1'-biphenyl-4-yl)propionic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amino acids derivs. containing biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

RN 692257-84-4 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-(methylamino)-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 692257-90-2 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(2-naphthalenylamino)carbonyl]amino]-, ethyl ester, (αS)- (9CI) (CA INDEX NAME)

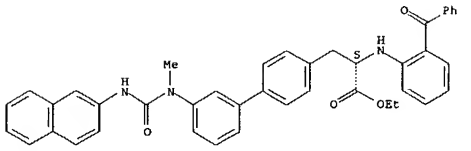
Absolute stereochemistry.

L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

I showed selective affinity for PPARγ receptors, compared to PPARα and PPARβ receptors.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

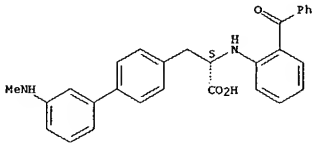
L5 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 692257-92-4 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-(methylamino)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted Ph, R6C:CHR5,FMOC, BOC, benzyl, and trifluoromethyl N-protected α-amino acids, etc.; R2 = (un)substituted oxadiazole, C(:O)R9, (un)substituted 5-membered heterocyclyl containing O, N, and/or S; R3 = H, halo, alkyl, OH and derivs., NO2, NH2 and derivs., etc.; R4 = aryl/alkyl, hetero/aryl, heterocyclyl, 9-fluorenylmethyl; R5 = H, ar/alkyl, hetero/aryl, heterocyclyl, etc.; R6 = H, alkyl; R9 = OH and derivs., hetero/aryl, aralkyl, heterocyclyl, NH2 and derivs., etc.; A = (CH2)z-(NR13)y-(CO)x-(D)w-; D = O, S, NH and derivs., CH2; x, y, z = independently 0 or 1; w = 0-6; their optical and geometrical isomers, and their salts] were prepared as PPARγ agonists. I are useful in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metabolism), or in cosmetic compns. For example, II was prepared, in 98% yield, by acylation of dibenzylamine with (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid (preparation given). II displayed an apparent Kd = 8 nM.

L5 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:392321 CAPLUS

DN 140:406826

TI Preparation of N-benzylpiperazine derivatives as chemokine receptor CCR1 antagonists useful as immunomodulatory agents

IN Blumberg, Laura C.; Brown, Matthew F.; Gaweco, Anderson S.; Gladue, Ronald P.; Hayward, Matthew M.; Lundquist, Gregory D.; Poss, Christopher S.; Shavnya, Andrei

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 58 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004092529	A1	20040513	US 2003-686993	20031016
			US 2002-422590PP	20021030

PATENT FAMILY INFORMATION:

FAN 2004:387265

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004039376	A1	20040513	WO 2003-IB4612	20031020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-422590PP 20021030

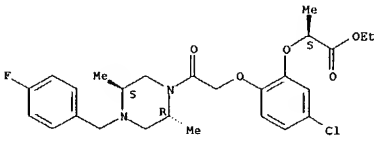
OS MARPAT 140:406826

IT **519171-86-9P**, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-Dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid ethyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 519171-86-9 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



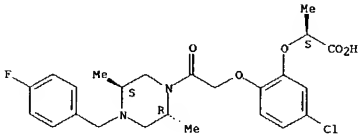
L5 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **519171-85-8P**, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-Dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 519171-85-8 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

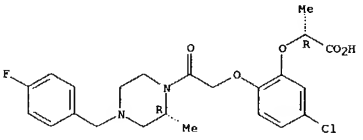


IT **519173-58-1P**, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
519173-60-5P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 519173-58-1 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519173-60-5 CAPLUS

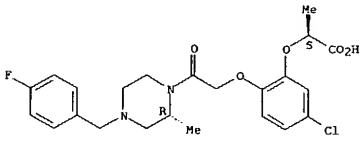
L5 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions assocd. with leptin prodn., sequelae assocd. with cancer, cancer metastasis, diseases or conditions related to prodn. of cytokines at inflammatory sites, and tissue damage caused by **inflammation** induced by infectious agents, wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amt. of the compd. I or a pharmaceutically acceptable form thereof. The compds. I are potent and selective inhibitors of MIP-1α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). [2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetic acid was condensed with methanesulfonamide in CH2Cl2 at room temp. for 18 h using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride to give N-[[2-[3-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxo-propyl]-5-methylphenoxy]acetyl]methanesulfonamide. All the compds. I inhibited MIP-1α (and the related chemokines shown to interact with CCR1) induced chemotaxis of THP-1 cells and human leukocytes with IC50 of <10 μM.

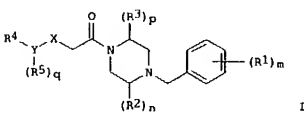
L5 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB The present invention relates to compds. of the formula (I) and the pharmaceutically acceptable forms thereof [m = 0-5; n, p = 0-2; q = 0-4; X = O, S, CH2, (un)substituted NH; Y = C6-10 aryl, C2-9 heteroaryl; R1 = H, HO, halo, C1-8 alkyl, C1-8 alkoxy, HO-C1-8 alkyl, cyano, NH2, H2N-C1-8 alkyl, CO2H, C1-8 alkyl-CO, C1-8 alkyl-CO-C1-8 alkyl, CONH2, or H2NCO-C1-8 alkyl; R2, R3 = H, oxo, C1-8 alkyl, C3-8 cycloalkyl-C1-8 alkyl, C6-10 aryl, C6-10 aryl-C1-8 alkyl, HO-C1-8 alkyl, C1-8 alkyl-O-C1-8 alkyl, H2N-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, C2-9 heterocyclyl-C1-8 alkyl, C3-8 cycloalkyl-NH-C1-8 alkyl, C1-8 alkyl-CO-NH-C1-8 alkyl-O-CO-NH-C1-8 alkyl, H2NCO-NH-C1-8 alkyl, C1-8 alkyl-SO2NH-C1-8 alkyl, C2-9 heteroaryl-C1-8 alkyl, H2NCO, H2NCO-C1-8 alkyl; R4 = (HO2C)(H2N)-C1-8 alkyl, (HO2C)[(C1-8 alkyl)NH]-C1-8 alkyl, (HO2C)[(C1-8 alkyl)2N]-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl)N, (HO2C-C1-8 alkyl)(C1-8 alkyl)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-CO)N, etc.; R5 = H, HO, halo, cyano, CO2H, H2N, C1-8 alkyl-NH, (C1-8 alkyl)2N, C1-8 alkyl, C1-8 alkyl-O, HO-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, etc.]. Moreover, the present invention is also directed at pharmaceutical compns. comprising the compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal. Particularly, disclosed is a method of treating or preventing a

L5 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:390211 CAPLUS

DN 140:406638

TI Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.

IN Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R. H.; Lenter, Martin; Wieland, Heike-Andrea

PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.

SO PCT Int. Appl., 276 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004039764	A1	20040513	WO 2003-EP11933	20031028

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2002-10250743A 20021031

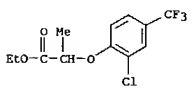
DE 10250743	A1	20040519	DE 2002-10250743	20021031

OS MARPAT 140:406638

IT **689300-64-9P 689300-65-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

RN 689300-64-9 CAPLUS

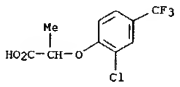
CN Propanoic acid, 2-[2-chloro-4-(trifluoromethyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 689300-65-0 CAPLUS

CN Propanoic acid, 2-[2-chloro-4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB R1R2NXYZNR3COWABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N, CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions], were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me [2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylamino]phenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:387265 CAPLUS

DN 140:391297

TI Preparation of piperazine derivatives as CCR1 antagonists

IN Blumberg, Laura Cook; Brown, Matthew Frank; Gaweco, Anderson See; Gladue, Ronald Paul; Hayward, Matthew Merrill; Lundquist, Gregory Dean; Poss, Christopher Stanley; Shavnya, Andre

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039376	A1	20040513	WO 2003-IB4612	20031020
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-422590PP	20021030

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN	2004:392321				
PI	US 2004092529	A1	20040513	US 2003-686993	20031016
				US 2002-422590PP	20021030

OS MARPAT 140:391297

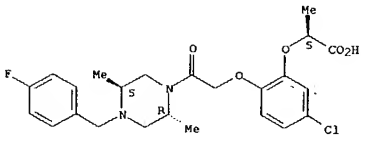
IT **519171-85-8P**, (2S)-2-[5-Chloro-2-[2-(4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
519173-58-1P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
519173-60-5P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
688031-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 519171-85-8 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

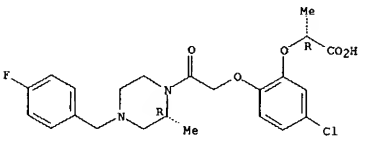
L5 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 519173-58-1 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2R)- (9CI) (CA INDEX NAME)

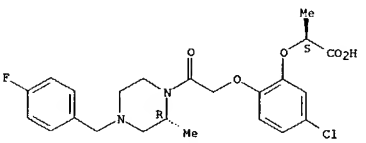
Absolute stereochemistry.



RN 519173-60-5 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

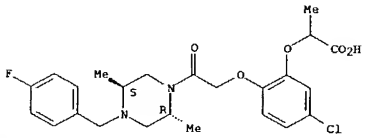


RN 688031-92-7 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

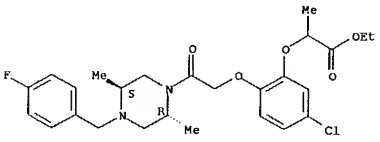


IT **688031-93-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 688031-93-8 CAPLUS

CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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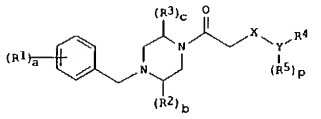
L5 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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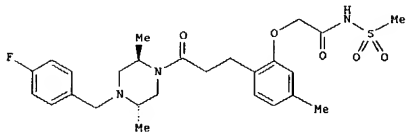
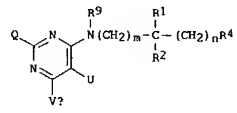


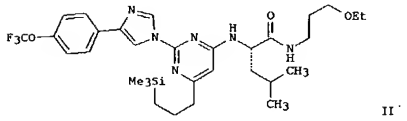
II

AB Title compds. I [a = 0-5; b,c = 0-2; p = 0-4; X = O, S, CH2, (un)substituted amino; Y = (hetero)aryl; R1 = H, OH, halo, alkyl, alkoxy, etc.; R2-3 = H, oxo, (cyclo)alkyl, aryl, etc.; R4 = alkyl, etc.; R5 = H, OH, halo, CN, etc.] are prepared For instance, (2R,5S)-1-(4-fluorobenzyl)-2,5-dimethylpiperazine (preparation given) is reacted with 7-methylchroman-2-one (PhMe, reflux 48 h), the resulting propanone treated with bromoacetic acid Me ester (THF, NaH) and the ester saponified to give II. All example compds. have IC50 < 10 μM in the chemotaxis assay. I are useful for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal.

L5 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB Pyrimidine compds. I [Q is hydroxyalkyl, (un)substituted aryl or heterocyclyl, R12O2C(CH2)0-6, R11R12NCO, R11CONR12, R11C(:NH)NR12, R12CO, R11O2CNR12, R11NHCONR12 or HetB-Y-HetA-, where R11 is H, (un)substituted alkyl, cycloalkyl or aryl, R12 is H or alkyl, HetA and HetB are aryl or heterocyclyl and Y is CH2, a bond or O; U is H, halo, hydrocarbyl or substituted alkyl; Va is R3, OR3 or SR3, where R3 is substituted alkyl, arylalkyl, heteroaryloxyalkyl, etc.; R1 is alkyl, cycloalkyl, aryl, heterocyclyl, arylalkyl or heterocyclylalkyl; R2 is H or alkyl; R4 is a carbamoyl, carboxy, acylamino or amino group, aryloxy, heterocyclyloxy, etc.; R9 is H, alkyl or aryl; m, n are 0 or 1] were prepared for treatment of diseases and conditions related to inappropriate interleukin-8 receptor activity. Thus, compound II was prepared via substitution reactions of 3-(trimethylsilyl)propyl bromide, 2,4-dichloropyrimidine, L-leucine 3-ethoxypropylamide hydrochloride, and 4-[4-(trifluoromethoxy)phenyl]-1H-imidazole.

L5 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:372874 CAPLUS

DN 140:375487

TI Preparation of pyrimidine amino acid derivatives as interleukin-8 (IL-8) receptor antagonists

IN Erickson, Shawn David; Baldwin, John J.; Dolle, Roland Ellwood; Inglese, James; Ohlmeyer, Michael H. J.; Ho, Koc-kan; Bohnstedt, Adolph C.; Kultgen, Steven G.; Conti, Paolo Giovanni Martino; Leysen, Dirk; Van der Louw, Jaap

PA USA

SO U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S. Ser. No. 167,232, abandoned.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004087601	A1	20040506	US 2003-340398	20030110
			US 1999-144160PP	19990715
			US 2000-616496 B1	20000714
			US 2002-167232 B2	20020611

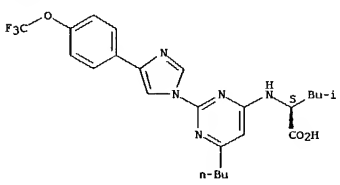
OS MARPAT 140:375487

IT **684221-22-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

RN 684221-22-5 CAPLUS

CN L-Leucine, N-[6-butyl-2-[4-[4-(trifluoromethoxy)phenyl]-1H-imidazol-1-yl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

L5 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:291975 CAPLUS

DN 140:315088

TI Endothelin antagonists for treating Alzheimer's disease and dementias of vascular origin

IN Gulati, Anil

PA The Board of Trustees of the University of Illinois, USA

SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

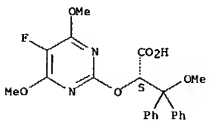
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004028634	A1	20040408	WO 2003-US28212	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-413539PP	20020925
US 2004092427	A1	20040513	US 2003-659579	20030910
			US 2002-413539PP	20020925

IT **531491-64-2 531491-65-3 531491-71-1 531491-72-2 531491-73-3 531491-74-4 531491-84-6 531491-85-7 531491-86-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin antagonists for treating Alzheimer's disease and vascular dementia)

RN 531491-64-2 CAPLUS

CN Benzenepropanoic acid, α-[(5-fluoro-4,6-dimethoxy-2-pyrimidinyl)oxy]-β-methoxy-β-phenyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

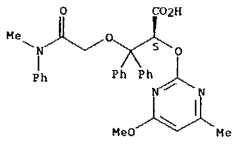


RN 531491-65-3 CAPLUS

CN Benzenepropanoic acid, α-[(4-methoxy-6-methyl-2-pyrimidinyl)oxy]-β-[2-(methylphenylamino)-2-oxoethoxy]-β-phenyl-, (αS)- (9CI) (CA INDEX NAME)

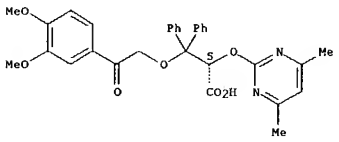
Absolute stereochemistry.

L5 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



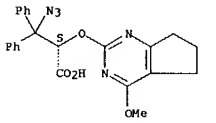
RN 531491-71-1 CAPLUS
CN Benzenepropanoic acid, β-[2-(3,4-dimethoxyphenyl)-2-oxoethoxy]-α-[(4,6-dimethyl-2-pyrimidinyl)oxy]-β-phenyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 531491-72-2 CAPLUS
CN Benzenepropanoic acid, β-azido-α-[(6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-yl)oxy]-β-phenyl-, (αS)- (9CI) (CA INDEX NAME)

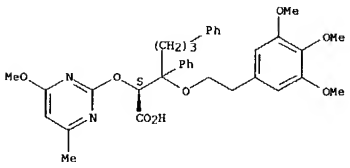
Absolute stereochemistry.



RN 531491-73-3 CAPLUS
CN Benzenehexanoic acid, α-[(4-methoxy-6-methyl-2-pyrimidinyl)oxy]-β-phenyl-β-[2-(3,4,5-trimethoxyphenyl)ethoxy]-, (αS)- (9CI) (CA INDEX NAME)

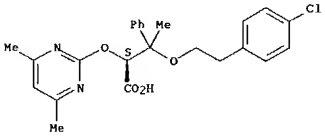
Absolute stereochemistry.

L5 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



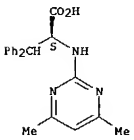
RN 531491-74-4 CAPLUS
CN Benzenepropanoic acid, β-[2-(4-chlorophenyl)ethoxy]-α-[(4,6-dimethyl-2-pyrimidinyl)oxy]-β-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 531491-84-6 CAPLUS
CN L-Phenylalanine, N-(4,6-dimethyl-2-pyrimidinyl)-β-phenyl- (9CI) (CA INDEX NAME)

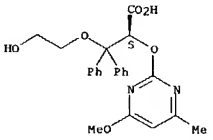
Absolute stereochemistry.



RN 531491-85-7 CAPLUS
CN Benzenepropanoic acid, β-(2-hydroxyethoxy)-α-[(4-methoxy-6-methyl-2-pyrimidinyl)oxy]-β-phenyl-, (αS)- (9CI) (CA INDEX

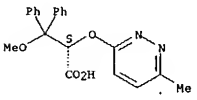
L5 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



RN 531491-86-8 CAPLUS
CN Benzenepropanoic acid, β-methoxy-α-[(6-methyl-3-pyridazinyl)oxy]-β-phenyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A composition and method of treating Alzheimer's disease or a dementia of vascular origin are disclosed. The composition and method utilize an endothelin antagonist as the active agent to treat Alzheimer's desease or a dementia of vascular origin in mammals, including humans.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

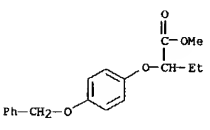
L5 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:100986 CAPLUS
DN 140:157460
TI PPARα-selective chromane and chromene compounds for the treatment of dyslipidemia and other lipid disorders, and preparation thereof
IN Desai, Ranjit C.; Sahoo, Soumya
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004010992	A1	20040205	WO 2003-US23499	20030725

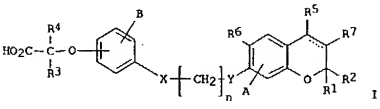
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-399518PP 20020730

OS MARPAT 140:157460
IT **653563-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(PPARα-selective chromane and chromene compds. for treatment of lipid disorders, preparation, and use with other agents)
RN 653563-74-7 CAPLUS
CN Butanoic acid, 2-[4-(phenylmethoxy)phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



GI



I

L5 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB A class of chromane and chromene compds. I [R1, R2, R4 = (un)substituted C1-3 alkyl; R3, R5, R7 = H, (un)substituted C1-3 alkyl; R6 = H, Cl, Me, CF3; A, B = H, Cl, F, Me, CF3; X, Y = O, S; n = 2, 3; dashed line = optional double bond], and pharmaceutically acceptable salts thereof, are useful as therapeutic compds., particularly in the treatment and control of hyperlipidemia, hypercholesterolemia, dyslipidemia, and other lipid disorders, and in delaying the onset of or reducing the risk of conditions and sequelae that are associated with these diseases, such as atherosclerosis. Compound preparation is included.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:60508 CAPLUS

DN 140:94295

TI Preparation of phenylalanine enamide derivatives containing a spiro[3.5]non-1-ene ring for use as integrin inhibitors

IN Brown, Julien Alistair; Bailey, Stuart; Brand, Stephen

PA Celltech R & D Limited, UK

SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004007494	A1	20040122	WO 2003-GB3104	20030716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2002-16571 A 20020717

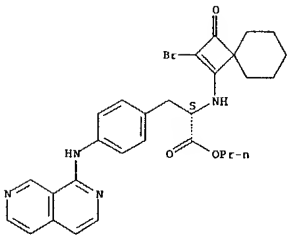
IT **644975-62-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine spirononenone derivs. for use as integrin inhibitors)

RN 644975-62-2 CAPLUS

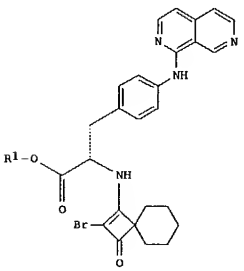
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



GI



I

AB Phenylalanine enamide derivs. I [R1 = iso-Pr, Pr, Me3CCH2, CH2CH2OH or -OMe, CH2CH2OCH2CH2OH or -OMe, 2-morpholinoethyl, 2-(4-methyl-1-piperazinyl)ethyl, 2-tetrahydropyranylmethyl] or their salts, solvates and N-oxides were prepared as potent and selective inhibitors of α4 integrins. The compds. are useful in modulating cell adhesion and in particular are of use in the prophylaxis and treatment of diseases or disorders including **inflammation** in which the extravasation of leukocytes plays a role. Thus, I (R1 = CH2CH2OH) was prepared by condensation of Et (2S)-2-amino-3-[4-(2,7-naphthyridin-1-ylamino)phenyl]propanoate (preparation given) with 1-oxo-3-hydroxyspiro[3.5]none-2-ene, followed by bromination, saponification, and esterification with ethylene glycol. Compds. I have IC50 values of ≤ 1 μM and ≤ 5 μM in the α4β1 and α4β7 assays, resp.

L5 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60307 CAPLUS
DN 140:94293
TI Preparation of phenylalanine enamide derivatives containing a spiro[3.5]non-1-ene ring for use as integrin inhibitors
IN Brown, Julien Alistair; Bailey, Stuart; Brand, Stephen
PA Celltech R & D Limited, UK
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

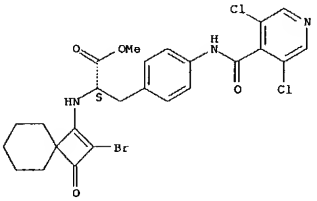
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004006918	A1	20040122	WO 2003-GB3100	20030716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2002-16568 A 20020717

IT **644967-50-0P 644967-51-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine spirononenone derivs. for use as integrin inhibitors)
RN 644967-50-0 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

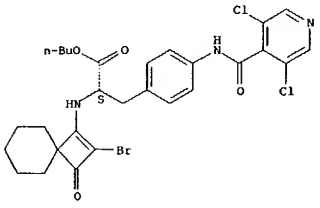
Absolute stereochemistry.



RN 644967-51-1 CAPLUS

L5 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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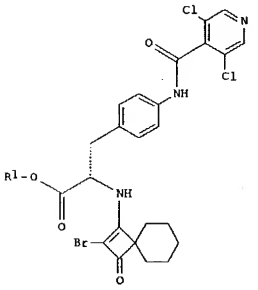


I

AB Phenylalanine enamide derivs. I [R1 = Me, Bu, CH2CH2OH or -OMe, CH2CH2OCH2CH2OH or -OMe, 2-morpholinoethyl, 2-(4-methyl-1-piperazinyl)ethyl] or their salts, solvates and N-oxides were prepared as potent and selective inhibitors of α4 integrins. The compds. are of use in modulating cell adhesion and in particular are of use in the

L5 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
prophylaxis and treatment of diseases or disorders including **inflammation** in which the extravasation of leukocytes plays a role. Thus, I (R1 = CH2CH2OH) was prepd. by condensation of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (prepn. given) with 1-oxo-3-hydroxyspiro[3.5]none-2-ene, followed by bromination, sapon., and esterification with ethylene glycol. The product has an IC50 value of 4 nM in the α4β1 assay.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

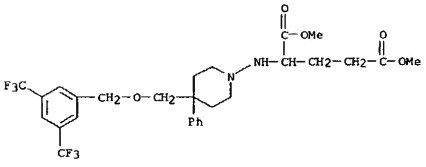
L5 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:41271 CAPLUS
DN 140:93933
TI Preparation of 1-amido-4-phenyl-4-benzyloxymethylpiperidine derivatives and related compounds as neurokinin-1 (NK-1) antagonists for the treatment of emesis, depression, anxiety and cough
IN Shih, Neng-Yang; Wang, Steven; Reichard, Gregory A.; Xiao, Dong; Wang, Cheng
PA Schering Corporation, USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004004722	A1	20040115	WO 2003-US20783	20030702

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

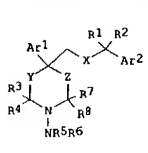
US 2002-393708PP 20020703
US 2004072867 A1 20040415 US 2003-612176 20030702
US 2002-393708PP 20020703

OS MARPAT 140:93933
IT **643756-86-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidophenylbenzyloxymethyl piperidine derivs. as neurokinin-1 antagonists)
RN 643756-86-9 CAPLUS
CN Glutamic acid, N-[4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-piperidinyl]-, dimethyl ester (9CI) (CA INDEX NAME)

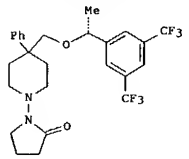


GI

L5 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB The title compds. of formula I [Ar1, Ar2 = (substituted) Ph, (substituted) heteroaryl; R1, R3 = H, alkyl, oxo; R2, R4 = H, (substituted) CONH2, etc.; R5, R6 = H, alkyl, cycloalkyl, aryl, etc.; R5R6 = heterocyclo ring, etc.; R7, R8 = H, alkyl, oxo; X = O, S, (substituted) NH, SO, SO2; Y = (CH2)m; Z = (CH2)n; m, n = 0-3 (m+n = 0-4)] are prepared as NK1 antagonists. The compds. are useful for treating disorders, symptoms or diseases, including emesis, depression, anxiety and cough. Thus, II was prepared, and had Ki of 0.3 nM in NK1 binding assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:991516 CAPLUS

DN 140:42208

TI Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists

IN Chaturvedula, Prasad V.; Chen, Ling; Civiello, Rita; Conway, Charles Mark; Degnan, Andrew P.; Dubowchik, Gene M.; Han, Xiaojun; Karageorge, George N.; Luo, Guanglin; Macor, John E.; Poindexter, Graham; Vig, Shikha

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 309 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003104236	A1	20031218	WO 2003-US16576	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-386138PP	20020605
			US 2002-388617PP	20020613
			US 2002-389870PP	20020619
			US 2002-393200PP	20020701
			US 2002-413534PP	20020925
US 2004063735	A1	20040401	US 2003-445523	20030527
			US 2002-386138PP	20020605
			US 2002-388617PP	20020613
			US 2002-389870PP	20020619
			US 2002-393200PP	20020701
			US 2002-413534PP	20020925

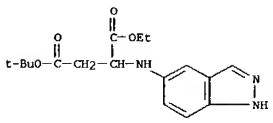
OS MARPAT 140:42208

IT **635713-22-3P 635713-23-4P 635713-24-5P**
635713-25-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)

RN 635713-22-3 CAPLUS

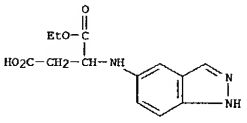
CN L-Aspartic acid, N-1H-indazol-5-yl-, 4-(1,1-dimethylethyl) 1-ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



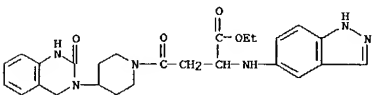
RN 635713-23-4 CAPLUS

CN L-Aspartic acid, N-1H-indazol-5-yl-, 1-ethyl ester (9CI) (CA INDEX NAME)



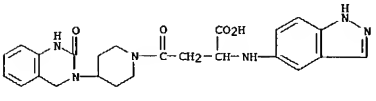
RN 635713-24-5 CAPLUS

CN 1-Piperidinebutanoic acid, 4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-α-(1H-indazol-5-ylamino)-γ-oxo-, ethyl ester (9CI) (CA INDEX NAME)



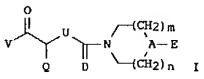
RN 635713-25-6 CAPLUS

CN 1-Piperidinebutanoic acid, 4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-α-(1H-indazol-5-ylamino)-γ-oxo- (9CI) (CA INDEX NAME)

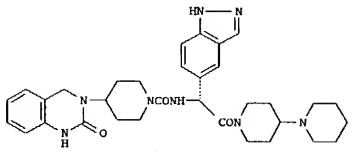


GI

L5 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB Diazaheterocycles I [m, n = 0-2; m + n = 2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic **inflammation**, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). Thus, the indazole II was prepared from 1H-indazole-5-carboxaldehyde and had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:991509 CAPLUS
DN 140:42192
TI Preparation of purinone derivatives as dipeptidylpeptidase IV (DPP-IV) inhibitors
IN Yoshikawa, Seiji; Emori, Eita; Matsuura, Fumiyoshi; Richard, Clark; Ikuta, Hironori; Kira, Kazunobu; Yasuda, Nobuyuki; Nagakura, Tadashi; Yamazaki, Kazuto
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

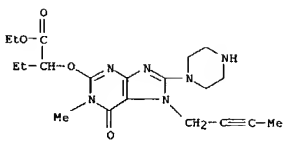
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003104229	A1	20031218	WO 2003-JP7010	20030603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			JP 2002-166069 A	20020606
			JP 2002-209373 A	20020718
			JP 2002-307750 A	20021023
US 2004116328	A1	20040617	US 2003-457002	20030606
			JP 2002-166069 A	20020606
			JP 2002-209373 A	20020718
			JP 2002-307750 A	20021023

OS MARPAT 140:42192
IT **635715-57-0P 635715-58-1P 635715-60-5P**
635716-09-5P 635716-13-1P 635716-15-3P
635716-65-3P 635716-75-5P 635717-96-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinone derivs. as dipeptidylpeptidase IV inhibitors)
RN 635715-57-0 CAPLUS
CN Butanoic acid, 2-[[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]oxy]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635715-56-9
CMF C20 H28 N6 O4

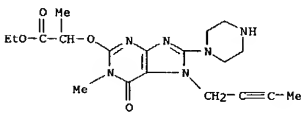
L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 635715-58-1 CAPLUS
CN Propanoic acid, 2-[[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

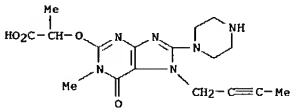


RN 635715-60-5 CAPLUS
CN Propanoic acid, 2-[[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]oxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635715-59-2
CMF C17 H22 N6 O4

L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



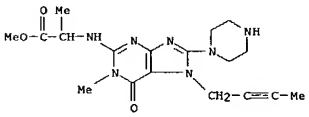
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 635716-09-5 CAPLUS
CN Alanine, N-[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635716-08-4
CMF C18 H25 N7 O3



CM 2

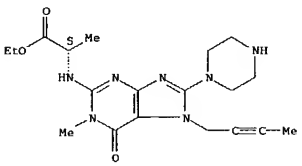
CRN 76-05-1
CMF C2 H F3 O2

L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 635716-13-1 CAPLUS
CN L-Alanine, N-[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635716-12-0
CMF C19 H27 N7 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

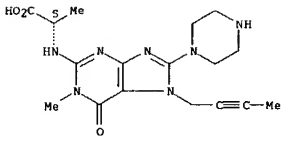
RN 635716-15-3 CAPLUS
CN L-Alanine, N-[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635716-14-2
CMF C17 H23 N7 O3

Absolute stereochemistry.

L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



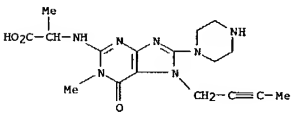
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 635716-65-3 CAPLUS
CN Alanine, N-[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

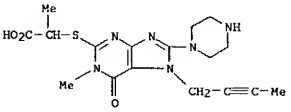
CRN 635716-64-2
CMF C17 H23 N7 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

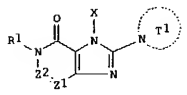
L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

GI



I

AB The title compds. I [wherein T1 is an optionally substituted, monocyclic or bicyclic, 4- to 12-membered, heterocyclic group containing one or two nitrogen atoms in the ring; X is optionally substituted C1-6 alkyl, etc.; Z1 and Z2 each independently is nitrogen, CR2; and R1 and R2 each independently is hydrogen, optionally substituted C1-6 alkyl, optionally substituted C1-6 alkoxy, etc.] are prepared Compds. of this invention in vitro showed IC50 values of 0.001 μM to 1.48 μM against dipeptidylpeptidase IV.

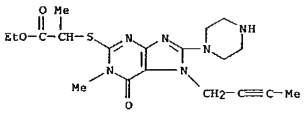
RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 635716-75-5 CAPLUS
CN Propanoic acid, 2-[[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]thio]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635716-74-4
CMF C19 H26 N6 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 635717-96-3 CAPLUS
CN Propanoic acid, 2-[[7-(2-butynyl)-6,7-dihydro-1-methyl-6-oxo-8-(1-piperazinyl)-1H-purin-2-yl]thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 635717-95-2
CMF C17 H22 N6 O3 S

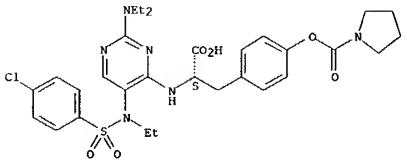
L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:951018 CAPLUS
DN 140:16962
TI Preparation of heterocyclic amino acid compounds which inhibit leukocyte adhesion mediated by α4 integrins
IN Konradi, Andrei W.; Semko, Christopher M.; Xu, Ying-Zi; Stappenbeck, Frank; Stupi, Brian P.; Smith, Jenifer; Thorsett, Eugene D.
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099809	A1	20031204	WO 2003-US16804	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-383020PP	20020524
US 2004138243	A1	20040715	US 2003-447308	20030527
			US 2002-383020PP	20020524

OS MARPAT 140:16962
IT **630123-17-0P 630123-19-2P 630123-21-6P**
630123-23-8P 630123-25-0P 630123-27-2P
630123-29-4P 630123-31-8P 630123-33-0P
630123-35-2P 630123-37-4P 630123-39-6P
630123-42-1P 630123-44-3P 630123-46-5P
630123-48-7P 630123-50-1P 630123-52-3P
630123-54-5P 630123-66-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)
RN 630123-17-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[(5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]amino)ethyl]phenyl ester (9CI) (CA INDEX NAME)

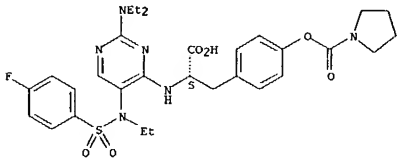
Absolute stereochemistry.

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



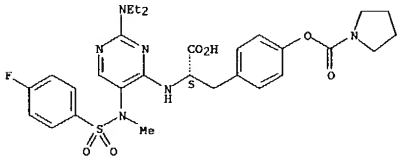
RN 630123-19-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



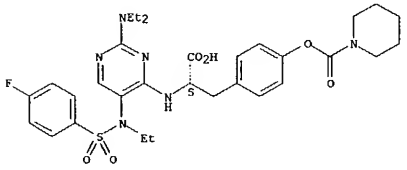
RN 630123-21-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



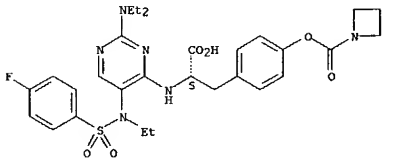
RN 630123-23-8 CAPLUS

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



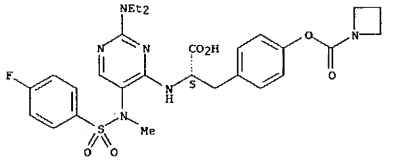
RN 630123-29-4 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-31-8 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

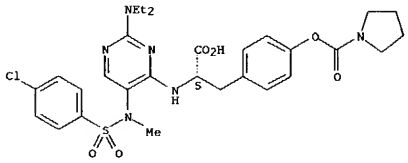


RN 630123-33-0 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

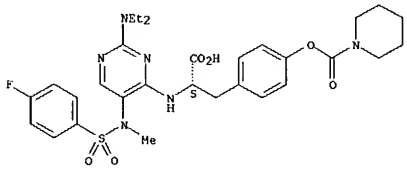
RN 630123-25-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-25-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

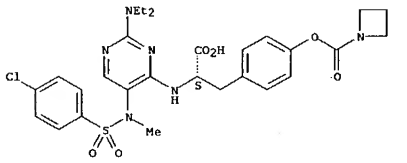


RN 630123-27-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

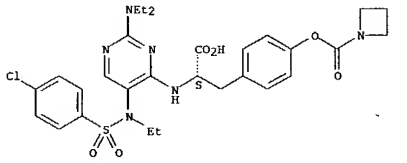
L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



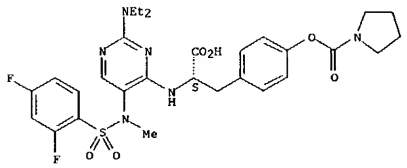
RN 630123-35-2 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-37-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

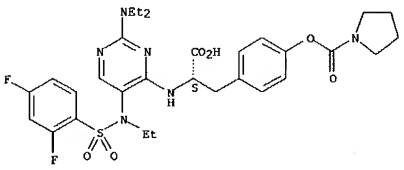
Absolute stereochemistry.



RN 630123-39-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-

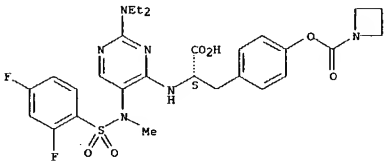
L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]amino]ethyl]pheny
l ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-42-1 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-
[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



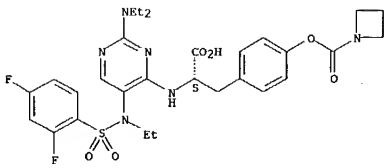
RN 630123-44-3 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-
[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]amino]ethyl]pheny
l ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

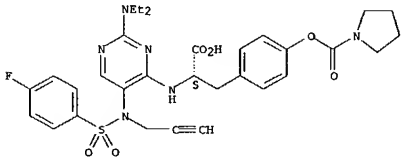
RN 630123-46-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-
[[(4-fluorophenyl)sulfonyl]-2-propynylamino]-4-
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

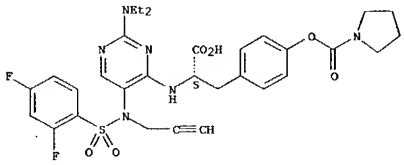
Absolute stereochemistry.



RN 630123-48-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-
[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

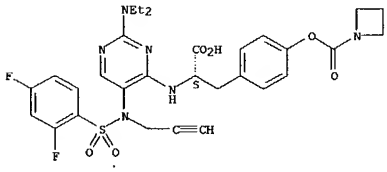




L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

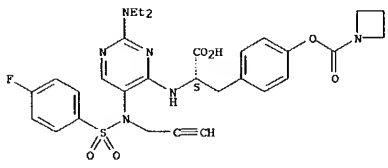
RN 630123-50-1 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-
[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630123-52-3 CAPLUS
CN 1-Azetidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[2-(diethylamino)-5-[[(4-
fluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]amino]ethyl]phenyl
ester (9CI) (CA INDEX NAME)

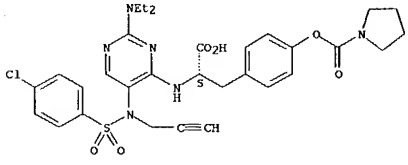
Absolute stereochemistry.



RN 630123-54-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-
chlorophenyl)sulfonyl]-2-propynylamino]-2-(diethylamino)-4-
pyrimidinyl]amino]ethyl]phenyl ester (9CI) (CA INDEX NAME)

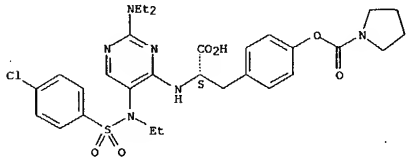
Absolute stereochemistry.

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630123-66-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-[(2S)-2-carboxy-2-[[5-[[(4-
chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-
pyrimidinyl]amino]ethyl]phenyl ester, monohydrochloride (9CI) (CA INDEX
NAME)

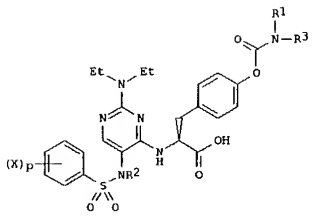
Absolute stereochemistry.



● HCl

GI

L5 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

AB Disclosed are pyrimidinyl amino acid derivs. I (X is F, Cl, or Br; p is 0-3; NR1R3 are azetidinyl, pyrrolidinyl, pyrrolyl, 2,5-dihydro-1-pyrrolyl, piperidinyl, 1,2,3,6-tetrahydro-1-pyridinyl; R2 is alkyl, alkenyl, or alkylenecycloalkyl) which bind α4 integrins, preferably VLA-4, inhibit leukocyte adhesion, and are useful in the treatment of inflammatory diseases. Thus, I (NR1R3 = pyrrolyl; R2 = Et; Xp = 4-Cl) was prepared by reaction of tyrosine tert-Bu ester with 2,4-dichloro-5-nitropyrimidine and Et2NH, followed by carbamoylation, catalytic hydrogenation, sulfonylation, N-ethylation, and ester cleavage reactions. The product showed IC50 = 0.011 μg/mL in the fibronectin cell adhesion assay.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:950802 CAPLUS
DN 140:16959
TI Preparation of heteroaryl amino acid compounds which inhibit leukocyte adhesion mediated by α4 integrins
IN Konradi, Andrei W.; Semko, Christopher M.; Xu, Ying-Zi; Stappenbeck, Frank; Stupi, Brian P.; Smith, Jenifer; Thorsett, Eugene D.
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

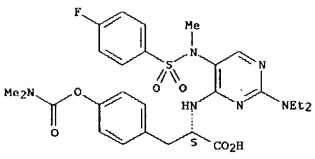
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099231	A2	20031204	WO 2003-US17150	20030527
WO 2003099231	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-383244PP	20020524
US 2004142954	A1	20040722	US 2003-447208	20030527
			US 2002-383244PP	20020524

OS MARPAT 140:16959
IT **630117-83-8P 630117-86-1P 630117-89-4P**
630117-92-9P 630117-95-2P 630117-99-6P
630118-01-3P 630118-03-5P 630118-06-8P
630118-09-1P 630118-12-6P 630118-16-0P
630118-18-2P 630118-20-6P 630118-22-8P
630118-23-9P 630118-25-1P 630118-27-3P
630118-29-5P 630118-30-8P 630118-32-0P
630118-34-2P 630118-36-4P 630118-38-6P
630118-40-0P 630118-41-1P 630118-43-3P
630118-44-4P 630118-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)

RN 630117-83-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

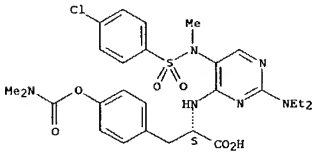
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



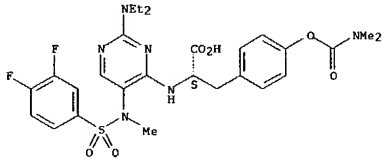
RN 630117-86-1 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630117-89-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

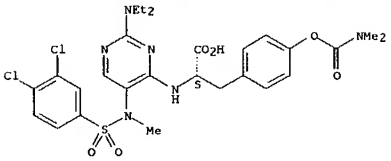
Absolute stereochemistry.



RN 630117-92-9 CAPLUS
CN L-Tyrosine, N-[5-[[(3,4-dichlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

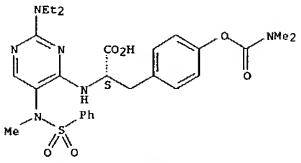
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



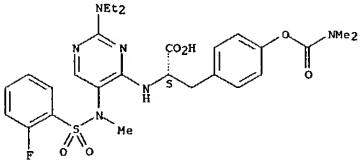
RN 630117-95-2 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[methyl(phenylsulfonyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630117-99-6 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

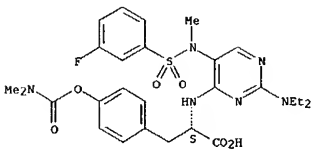
Absolute stereochemistry.



RN 630118-01-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

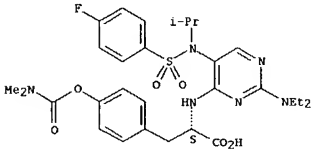
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



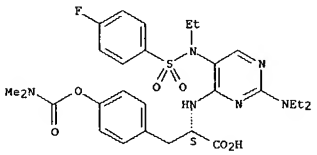
RN 630118-03-5 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](1-methylethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



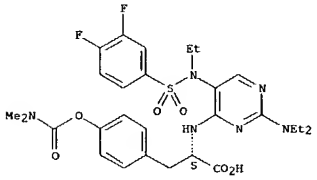
RN 630118-06-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[ethyl[(4-fluorophenyl)sulfonyl]amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



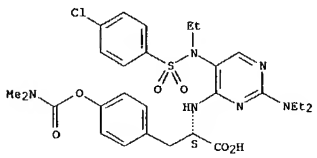
RN 630118-09-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl](1-

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



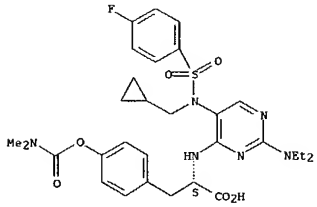
RN 630118-18-2 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



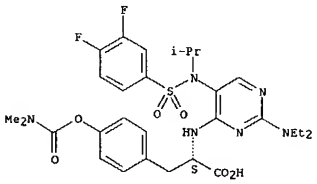
RN 630118-20-6 CAPLUS
CN L-Tyrosine, N-[5-[(cyclopropylmethyl)[(4-fluorophenyl)sulfonyl]amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



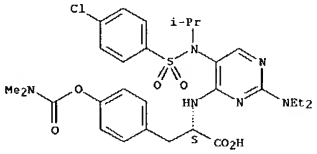
L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methylethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-12-6 CAPLUS
CN L-Tyrosine, N-[5-[[(4-chlorophenyl)sulfonyl](1-methylethyl)amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

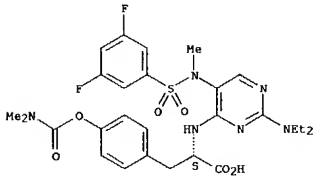


RN 630118-16-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

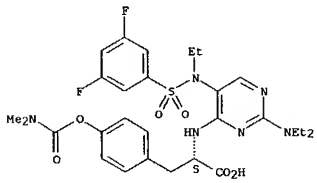
L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 630118-22-8 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,5-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-23-9 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(3,5-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

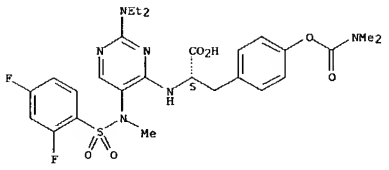
Absolute stereochemistry.



RN 630118-25-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

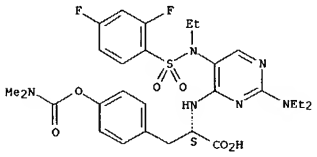
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



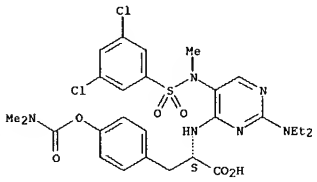
RN 630118-27-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]ethylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

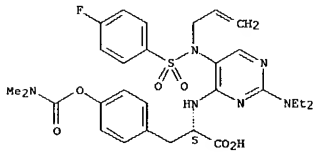


RN 630118-29-5 CAPLUS
CN L-Tyrosine, N-[5-[[(3,5-dichlorophenyl)sulfonyl]methylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

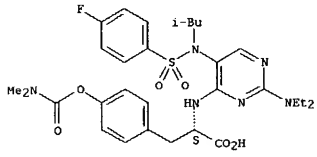


L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



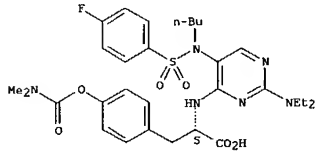
RN 630118-36-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-38-6 CAPLUS
CN L-Tyrosine, N-[5-[butyl[(4-fluorophenyl)sulfonyl]amino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



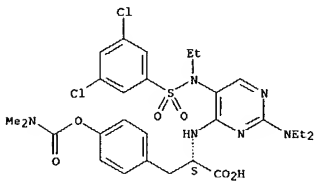
RN 630118-40-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,6-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

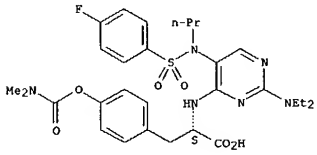
RN 630118-30-8 CAPLUS
CN L-Tyrosine, N-[5-[[(3,5-dichlorophenyl)sulfonyl]ethylamino]-2-(diethylamino)-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 630118-32-0 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]propylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

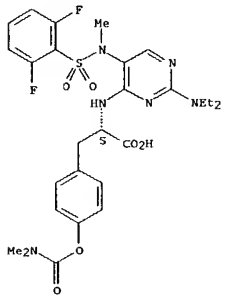
Absolute stereochemistry.



RN 630118-34-2 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propenylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

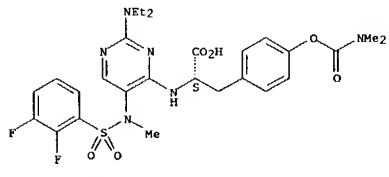
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630118-41-1 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,3-difluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

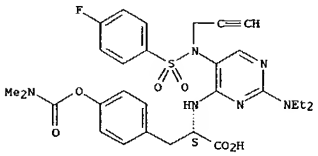
Absolute stereochemistry.



RN 630118-43-3 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

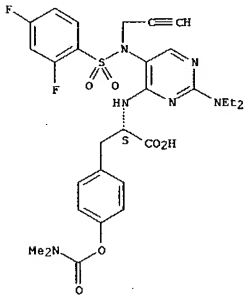
Absolute stereochemistry.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 630118-44-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(2,4-difluorophenyl)sulfonyl]-2-propynylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

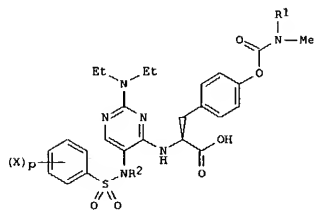
Absolute stereochemistry.



RN 630118-46-6 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl](2,2,2-trifluoroethyl)amino]-4-pyrimidinyl]-, dimethylcarbamate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

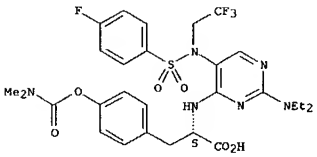
L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

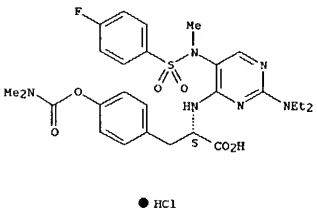
AB Disclosed are pyrimidinyl amino acid derivs. I (X is F, Cl, or Br; p is 0-3; R1 is Me or Et; R2 is alkyl, alkenyl, or alkylenecycloalkyl) which bind α4 integrins, preferably VLA-4, inhibit leukocyte adhesion, and are useful in the treatment of inflammatory diseases. Thus, I (R1 = R2 = Me; Xp = 4-F) was prepared by reaction of 2-amino-3-(4-hydroxyphenyl)propionic acid with 2,4-dichloro-5-nitropyrimidine and Et2NH, followed by dimethylcarbamoylation, catalytic hydrogenation, sulfonylation, N-methylation, and ester cleavage reactions. The product showed IC50 = 0.002 μg/mL in the fibronectin cell adhesion assay.

L5 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **630118-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidinyl amino acid compds. which inhibit leukocyte adhesion mediated by α4 integrins)
RN 630118-60-4 CAPLUS
CN L-Tyrosine, N-[2-(diethylamino)-5-[[(4-fluorophenyl)sulfonyl]methylamino]-4-pyrimidinyl]-, dimethylcarbamate (ester), monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



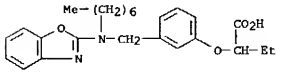
● HCl

GI

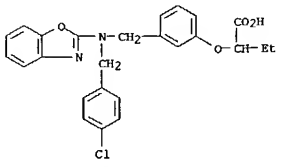
L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:922669 CAPLUS
DN 139:395923
TI Preparation of benzoxazoles as PPARα agonists
IN Yamazaki, Yukiyoshi; Toma, Tsutomu; Nishikawa, Masahiro; Ozawa, Hidefumi; Okuda, Ayumu; Abe, Kazutoyo; Oda, Soichi
PA Kowa Co., Ltd., Japan
SO U.S., 63 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6653334	B1	20031125	US 2002-329547	20021227
EP 1433786	A1	20040630	EP 2003-29917	20031229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			US 2002-329547 A	20021227

OS MARPAT 139:395923
IT **627095-61-8P 627095-62-9P 627095-63-0P**
627095-64-1P 627095-65-2P 627095-66-3P
627095-67-4P 627095-68-5P 627095-69-6P
627095-71-0P 627095-72-1P 627095-73-2P
627095-74-3P 627095-75-4P 627095-76-5P
627095-77-6P 627095-78-7P 627095-79-8P
627095-80-1P 627095-81-2P 627095-82-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazoles as PPARα agonists)
RN 627095-61-8 CAPLUS
CN Butanoic acid, 2-[3-[[(2-benzoxazolylheptylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

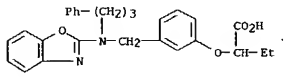


RN 627095-62-9 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl[(4-chlorophenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

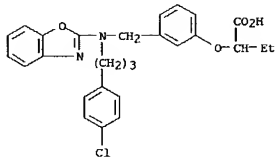


L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

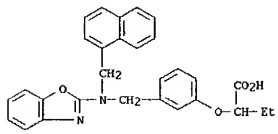
RN 627095-63-0 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl(3-phenylpropyl)amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 627095-64-1 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl[3-(4-chlorophenyl)propyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

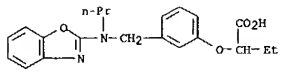


RN 627095-65-2 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl(1-naphthalenylmethyl)amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

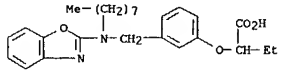


RN 627095-66-3 CAPLUS
CN Butanoic acid, 2-[3-[(2-benzoxazolylpropylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

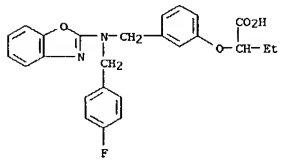
L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 627095-67-4 CAPLUS
CN Butanoic acid, 2-[3-[(2-benzoxazolyloctylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

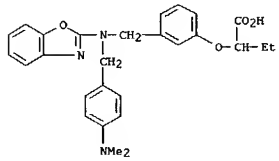


RN 627095-68-5 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl[(4-fluorophenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

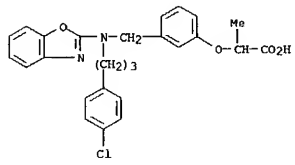


RN 627095-69-6 CAPLUS
CN Butanoic acid, 2-[3-[[2-benzoxazolyl[[4-(dimethylamino)phenyl]methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

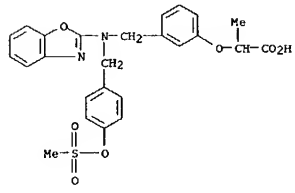
L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 627095-71-0 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[3-(4-chlorophenyl)propyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

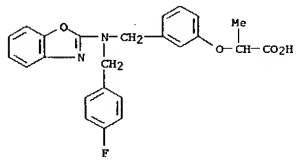


RN 627095-72-1 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[[4-[(methylsulfonyl)oxy]phenyl]methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

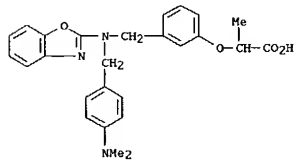


RN 627095-73-2 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[(4-fluorophenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

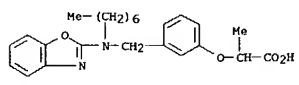
L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 627095-74-3 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[[4-(dimethylamino)phenyl]methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

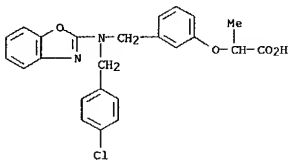


RN 627095-75-4 CAPLUS
CN Propanoic acid, 2-[3-[(2-benzoxazolylheptylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

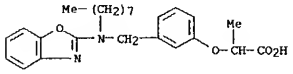


RN 627095-76-5 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[(4-chlorophenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

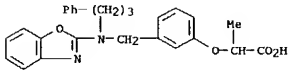
L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



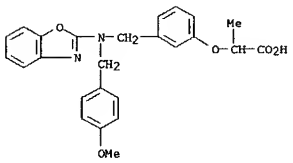
RN 627095-77-6 CAPLUS
CN Propanoic acid, 2-[3-[(2-benzoxazolyloctylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)



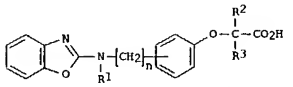
RN 627095-78-7 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl(3-phenylpropyl)amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 627095-79-8 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[(4-methoxyphenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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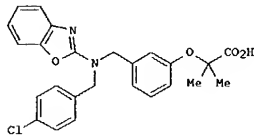


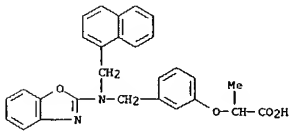
II

AB The title compds. [I; R1 = H, alkyl, arylalkyl, etc.; R2, R3 = H, Me, Et; n = 1-3] and their salts, which selectively activate PPARα, and are useful in preventing and/or treating hyperlipidemia, arteriosclerosis, diabetes, **inflammation** and heart diseases, were prepared E.g., a 4-step synthesis of II (starting from 3-hydroxybenzaldehyde and Et 2-bromoisobutyrate) which showed EC50 of 0.001 μM, 0.2 μM and >10 μM with respect to hPPARα, hPPARγ and hPPARδ, resp., was given. Pharmaceutical composition comprising the compound I is claimed.

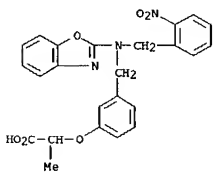
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

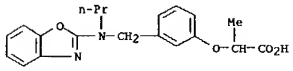
RN 627095-80-1 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl(1-naphthalenylmethyl)amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 627095-81-2 CAPLUS
CN Propanoic acid, 2-[3-[[2-benzoxazolyl[(2-nitrophenyl)methyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 627095-82-3 CAPLUS
CN Propanoic acid, 2-[3-[(2-benzoxazolylpropylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)



GI

L5 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:892758 CAPLUS
DN 139:395948
TI Preparation of sulfonylquinoxalone acetamide derivatives and related compounds as bradykinin antagonists
IN Grant, Francine; Bartulis, Sarah; Brogley, Louie; Dappan, Michael S.; Kasar, Ramesh; Khan, Amin; Neitzel, Martin; Pleiss, Michael A.; Thorsett, Eugene D.; Tucker, John; Ye, Michael; Hawkinson, John
PA Elan Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 391 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

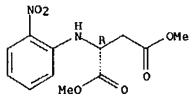
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003093245	A1	20031113	WO 2003-US13805	20030502

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-378206PP 20020503

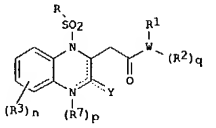
OS MARPAT 139:395948
IT **565460-54-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (quinoxalinyl)acetamides and related compds.
as bradykinin antagonists for treatment of pain, **inflammation**, and other disorders)
RN 565460-54-0 CAPLUS
CN D-Aspartic acid, N-(2-nitrophenyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

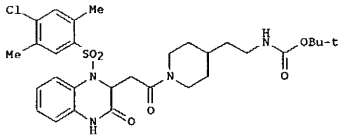


GI

L5 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB Title compds. I [wherein n = 0-4; p= 0-1; q = 0-1; Y = O, S, OR8, NHR8, NR8, or SR8; W = O, S, or N; when W = O or S, then q = 0; when W = N, then q = 1; R = (un)substituted (hetero)aryl or heterocyclyl; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, or heterocyclyl; or NR1R2 = (un)substituted (hetero)aryl or heterocyclyl; R3 = independently (un)substituted (cyclo)alkyl, alkenyl, alkynyl, amino, alkoxy, (hetero)aryl(oxy), heterocyclyl(oxy), acyl(oxy), halo, NO2, CN, OH, carboxy, or carbamoyl; R7 = H or (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); R8 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); with provisos; and pharmaceutically acceptable salts thereof] were prepared as bradykinin antagonists. For example, condensation of 2-[1-(4-chloro-2,5-dimethylbenzenesulfonyl)-3-oxo-1,2,3,4-tetrahydroquinoxalin-2-yl]acetic acid and 4-[2-(tert-butoxycarbonylamino)ethyl]piperidine in the presence of TEA and DPPA in DMF afforded II. Compds. of the invention inhibited the bradykinin B1 receptor in IMR-90 human lung fibroblast cells with IC50 values of 0.1 nM to 10,000 nM. Thus, I are useful for relieving symptoms associated with bradykinin, including pain, **inflammation**, bronchoconstriction, cerebral edema, etc. (no data).

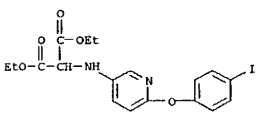
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:875294 CAPLUS
DN 139:364955
TI Preparation of triaryl-oxy-aryl-spiro-pyrimidinetrione metalloproteinase inhibitors selective towards MMP-13
IN Freeman-cook, Kevin Daniel; Noe, Mark Carl
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003091259	A1	20031106	WO 2003-IB1576	20030415
WO 2003091259	C1	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-376157PP	20020426
US 2003225056	A1	20031204	US 2003-423671	20030425
			US 2002-376157PP	20020426

OS MARPAT 139:364955
IT **620971-43-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triaryl-oxy-aryl-spiro-pyrimidinetrione metalloproteinase inhibitors selective towards MMP-13)
RN 620971-43-9 CAPLUS
CN Propanedioic acid, [[6-(4-iodophenoxy)-3-pyridinyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L5 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The present invention relates to triaryl-oxy-aryl-spiro-pyrimidinetrione metalloproteinase inhibitors (shown as I; variables defined below; e.g. II) and to pharmaceutical compns. and methods of treating **inflammation**, cancer and other disorders. For I: ; ring X is a 5-7 membered heterocyclic ring; A is (C6-C10)aryl or (C1-C10)heteroaryl; Y = a bond, -O-, -S-, >C:O, >SO2, >S:O, -CH2O-, -OCH2-, -CH2S-, -SCH2-, -CH2SO-, -CH2SO2-, -SOCH2-, -SO2CH2-, >NR14, -[N(R14)]CH2-, -CH2[N(R14)]-, -CH2-, -CH:CH-, -C.tplbond.C-, -[N(R14)]SO2- and -SO2[N(R14)]-; B is (C6-C10)aryl, (C3-C7)cycloalkyl, (C1-C10)heterocyclyl and (C1-C10)heteroaryl; G is -R15(CR16R17)p-; p = 0-4; W is (C1-C4)alkoxy(C1-C4)alkyl, (C3-C7)cycloalkyl, (C6-C10)aryl, (C1-C10)heteroaryl and (C1-C10)heterocyclyl; addnl. details including provisos are given in the claims. General semiquant. statements are made about inhibition of metalloproteinases by I; no data is presented for specific examples of I; some I exhibit selectivity towards MMP-13 relative to other metalloproteinases but they are not identified. Although the methods of preparation are not claimed, example prepns. of 5 I are included.

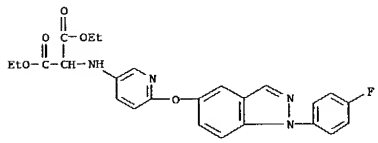
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:875293 CAPLUS
DN 139:364954
TI Preparation of N-substituted-heteroaryloxy-aryl-spiro-pyrimidinetrione metalloproteinase inhibitors selective towards MMP-13
IN Noe, Mark Carl; Freeman-cook, Kevin Daniel
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

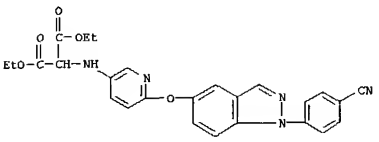
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003091258	A1	20031106	WO 2003-IB1508	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-376159PP	20020426
US 2004010141	A1	20040115	US 2003-423779	20030425
			US 2002-376159PP	20020426

OS MARPAT 139:364954
IT **620965-08-4P**, 2-[[6-[[1-(4-Fluorophenyl)-1H-indazol-5-yl]oxy]pyridin-3-yl]amino]malonic acid diethyl ester **620965-15-3P**, 2-[[6-[[1-(4-Cyanophenyl)-1H-indazol-5-yl]oxy]pyridin-3-yl]amino]malonic acid diethyl ester **620965-21-1P**, 2-[[6-[[1-(Pyridin-3-yl)-1H-indazol-5-yl]oxy]pyridin-3-yl]amino]malonic acid diethyl ester **620965-29-9P**, 2-[[6-[(1-Methyl-1H-indazol-5-yl)oxy]pyridin-3-yl]amino]malonic acid diethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-substituted-heteroaryloxy-aryl-spiro-pyrimidinetrione metalloproteinase inhibitors selective towards MMP-13)
RN 620965-08-4 CAPLUS
CN Propanedioic acid, [[6-[[1-(4-fluorophenyl)-1H-indazol-5-yl]oxy]-3-pyridinyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)

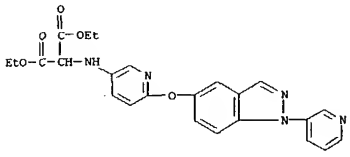


RN 620965-15-3 CAPLUS
CN Propanedioic acid, [[6-[[1-(4-cyanophenyl)-1H-indazol-5-yl]oxy]-3-pyridinyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)

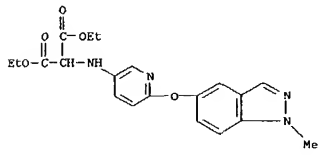
L5 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 620965-21-1 CAPLUS
CN Propanedioic acid, [[6-[[1-(3-pyridinyl)-1H-indazol-5-yl]oxy]-3-pyridinyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



RN 620965-29-9 CAPLUS
CN Propanedioic acid, [[6-[(1-methyl-1H-indazol-5-yl)oxy]-3-pyridinyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



GI

L5 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to N-substituted-heteroaryloxy-aryl-spiro-pyrimidine-2,4,6-trione metalloproteinase inhibitors (shown as I; variables defined below; e.g. II) and to pharmaceutical compns. and methods of treating **inflammation**, cancer and other disorders. For I: ; ring X is a 5-7 membered heterocyclic ring; A is (C6-C10)aryl or (C2-C10)heteroaryl; Y = a bond, -O-, -S-, >C:O, >SO2, >S:O, -CH2O-, -OCH2-, -CH2S-, -SCH2-, -CH2SO-, -CH2SO2-, -SOCH2-, -SO2CH2-, >NR14, -[N(R14)]CH2-, -CH2[N(R14)]-, -CH2-, -CH:CH-, -C.tplbond.C-, -[N(R14)]SO2- and -SO2[N(R14)]-; B is a heterocyclyl containing at least one N atom; wherein one ring N atom of B is bonded to one C atom of G; G is (C1-C6)alkyl or R15-(CR16R17)p-; p = 0-4; addnl. details including provisos are given in the claims. General semiquant. statements are made about inhibition of metalloproteinases by I; no data is presented for specific examples of I; some I exhibit selectivity towards MMP-13 relative to other metalloproteinases but they are not identified. Although the methods of preparation are not claimed, example prepns. of 4 I are included.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

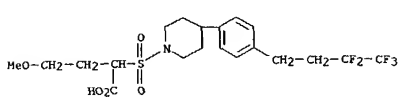
L5 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:875282 CAPLUS
DN 139:364961
TI Preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as protease inhibitors
IN Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Brown, David L.; Carroll, Jeffery N.; Chen, Yiyuan; Fobian, Yvette; Freskos, John N.; Gasiecki, Alan F.; Grapperhaus, Margaret; Heintz, Robert M.; Hockerman, Susan L.; Kassab, Darren J.; Khanna, Ish Kumar; Kolodziej, Stephen A.; Massa, Mark; Mcdonald, Joseph; Mischke, Brent V.; Mischke, Deborah A.; Mullins, Patrick B.; Nagy, Mark; Norton, Monica B.; Rico, Joseph G.; Schmidt, Michelle A.; Stehle, Nathan W.; Talley, John J.; Vernier, William F.; Villamill, Clara I.; Wang, Lijuan Jane; Wynn, Thomas A.
PA Pharmacia Corporation, USA; et al.
SO PCT Int. Appl., 819 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003091247	A2	20031106	WO 2003-US13123	20030425
WO 2003091247	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

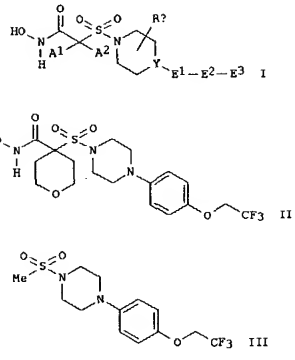
US 2002-375598PP 20020425
US 2002-380713PP 20020515
US 2002-392021PP 20020627

OS MARPAT 139:364961
IT **622391-90-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidinyl-and piperazinyl-sulfonylmethyl hydroxamic acids and their use as matrix metalloproteinase inhibitors)
RN 622391-90-6 CAPLUS
CN Butanoic acid, 4-methoxy-2-[[4-[4-(3,3,4,4,4-pentafluorobutyl)phenyl]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



GI

L5 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

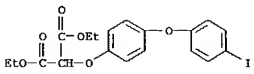


AB Title compds. I [A1 and A2 together with the C to which they are bonded join to form (un)substituted-heterocyclyl or -carbocyclyl, or A1 and A2 are independently selected from H, alkyl, alkoxyalkyl, alkenyl, alkynyl, etc.; Rx = H, halo, CN, OH, NO2, alkyl, alkenyl, alkoxy, alkoxyalkyl, heterocyclyl, etc.; Y = N, CH, or CRx; E1 = (un)substituted heteroaryl; E2 = O, CO, C(O)O, OC(O), bond, S, etc.; E3 = halo, CN, (un)substituted-alkyl, -alkenyl, -alkynyl, -heterocyclyl, heterocyclylalkyl, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as protease inhibitors. Thus, e.g., II·HCl was prepared with piperazine ring formation occurring via cyclization of 2,2,2-trifluoroethoxyaniline (preparation given) with N,N-di(2-chloroethyl)methylsulfonamide (preparation given) to provide piperazinyl intermediate III which was converted in five addnl. steps to the desired product. This invention is directed generally to proteinase (also known as 'protease') inhibitors, and more particularly, inhibitors of matrix metalloproteinase (also known as 'matrix metalloprotease' or 'MMP') activity and/or aggrecanase activity. In assays to determine inhibition consts. (Ki) against MMP-1, MMP-2, MMP-9, MMP-13 and MMP-14, I possessed values ranging from 0.13->10,000. This invention also is directed to compns. of such hydroxamic acids, intermediates for the syntheses of such hydroxamic acids, methods for making such hydroxamic acids, and methods for treating conditions (particularly pathol. conditions) associated with MMP activity and/or aggrecanase activity.

L5 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:875115 CAPLUS
DN 139:364949
TI Preparation of triaryl-oxy-aryloxy-pyrimidinetrione metalloproteinase inhibitors with selectivity towards MMP-13
IN Reiter, Lawrence Alan; Freeman-Cook, Kevin Daniel
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

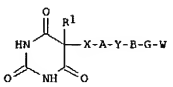
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003090752	A1	20031106	WO 2003-IB1560	20030415
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-375990PP	20020426
	US 2004006057	A1	20040108	US 2003-424614	20030428
				US 2002-375990PP	20020426

OS MARPAT 139:364949
IT **620633-00-3P**, Diethyl 2-[4-[4-(iodo)phenoxy]phenoxy]malonate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triaryl-oxy-aryloxy-pyrimidinetrione metalloproteinase inhibitors with selectivity towards MMP-13)
RN 620633-00-3 CAPLUS
CN Propanedioic acid, [4-(4-iodophenoxy)phenoxy]-, diethyl ester (9CI) (CA INDEX NAME)



GI

L5 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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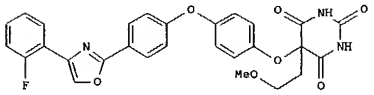


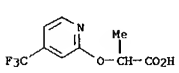
II

AB The present invention relates to triaryl-oxy-aryloxy-pyrimidine-2,4,6-triones (shown as I; variables defined below; e.g. II) that are metalloproteinase inhibitors and to pharmaceutical compns. and methods of treating **inflammation**, cancer and other disorders. For I: R1 = H, (R2)2n+1Cn- and (C3-C7)cycloalkyl; n = 1-5; each R2 = halo, (C1-C4)alkenyl, (C1-C4)alkynyl, R3-, R3O-, perfluoro(C1-C4)alkoxy, R3C(O)O-, (R3)2NC(O)O-, -NO2, (R3)2N-, R3SO2NR4-, (R3)2NC(O)-, R3C(O)(NR4)-, R3OC(O)(NR4)-, (R3)2NC(O)NR4-, R3S-, R3S(O)-, R3SO2-, (R3)2NSO2-, -CN, R3OC(O)-, and R3C(O). X = -O-, >C:O, -S-, >SO2, >S:O, >NR5, -CH2-, -CH2O-, -OCH2-, -CH2S-, -CH2S(O)-, -CH2SO2-, -SCH2-, -S(O)CH2-, -SO2CH2-, -[N(R5)]CH2-, -CH2[N(R5)]-, -[N(R5)]SO2- and -SO2[N(R5)]-; A = (C6-C10)aryl or (C1-C10)heteroaryl; Y = a bond, -O-, -S-, >C:O, >SO2, >S:O, -CH2O-, -OCH2-, -CH2S-, -SCH2-, -CH2SO-, -CH2SO2-, -SOCH2-, -SO2CH2-, >NR6, -[N(R6)]CH2-, -CH2[N(R6)]-, -CH2-, -CH:CH-, -C:C-, -[N(R6)]SO2- and -SO2[N(R6)]-; B = (C6-C10)aryl, (C3-C7)cycloalkyl, (C1-C10)heterocyclyl and (C1-C10)heteroaryl. G = -[R7(CR8R9)p]-; wherein the orientation of -B-G-W is -B-[R7-(CR8R9)p]-W or -B-[(CR8R9)p-R7]-W; p = 0-4; W = (C1-C4)alkoxy(C1-C4)alkyl, (C3-C7)cycloalkyl, (C6-C10)aryl, (C1-C10)heteroaryl and (C1-C10)heterocyclyl; addnl. details including provisos are given in the claims. General semiquant. statements are made about inhibition of metalloproteinases by I; no data is presented for specific examples of I. Although the methods of preparation are not claimed,
example prepns. of 8 intermediates and 76 I are included.
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:757469 CAPLUS
DN 139:276471
TI Preparation of substituted amides as antagonists and/or inverse agonists of the cannabinoid-1 receptor for therapy
IN Hagmann, William K.; Lin, Linus S.; Shah, Shrenik K.; Guthikonda, Ravindra N.; Qi, Hongbo; Chang, Linda L.; Liu, Ping; Armstrong, Helen M.; Jewell, James P.; Lanza, Thomas J., Jr.
PA Merck & Co., Inc., USA; et al.
SO PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

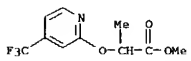
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003077847	A2	20030925	WO 2003-US7320	20030307
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-363597PP	20020312
				US 2002-428351PP	20021122
	US 2004058820	A1	20040325	US 2003-387265	20030312
				US 2002-363597PP	20020312
				US 2002-428351PP	20021122

OS MARPAT 139:276471
IT **605681-30-9P**, 2-[(4-Trifluoromethylpyridin-2-yl)oxy]propionic acid
605681-32-1P, Methyl 2-[(4-trifluoromethylpyridin-2-yl)oxy]propionate **605681-36-5P**, 2(R)-[(5-Trifluoromethylpyridin-2-yl)oxy]propionic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amides as antagonists and/or inverse agonists of
cannabinoid-1 receptor for therapy)
RN 605681-30-9 CAPLUS
CN Propanoic acid, 2-[[4-(trifluoromethyl)-2-pyridinyl]oxy]- (9CI) (CA INDEX NAME)



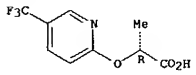
RN 605681-32-1 CAPLUS
CN Propanoic acid, 2-[[4-(trifluoromethyl)-2-pyridinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 605681-36-5 CAPLUS
CN Propanoic acid, 2-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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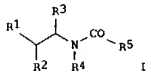

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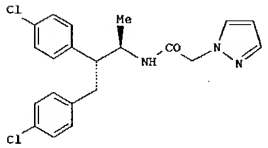


II

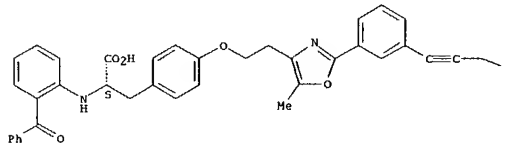
AB Novel compds. of the structural formula I (e.g. N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-(pyrazol-1-yl)acetamide trifluoroacetate (base shown as II with relative stereochem.); variables defined below) are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation,

L5 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of prepn. are not claimed, more than 120 example prepns. of intermediates and >480 example prepns./characterization data for a library of I are included. For I: R1 = C1-10-alkyl, C3-10cycloalkyl, C3-10-cycloalkyl-C1-4-alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4-alkyl, heteroaryl, heteroaryl-C1-4-alkyl, -ORd, -NRcRd, -NRcC(O)Rd, -CO2Rd, and -C(O)NRcRd. R2 = C1-10alkyl, C3-10cycloalkyl-C1-4alkyl, cycloheteroalkyl, cycloheteroalkyl-C1-4alkyl, aryl, aryl-C1-4alkyl, aryloxy, arylthio, heteroaryl, and heteroaryl-C1-4alkyl; R3 = H, and C1-4alkyl; R4 = H, and C1-4alkyl; R5 = C1-10alkyl, C2-10alkenyl, C3-10-cycloalkyl-C1-4alkyl, cycloheteroalkyl-C1-4-alkyl, aryl-C1-4-alkyl, diaryl-C1-4alkyl, aryl-C1-4alkenyl, heteroaryl-C1-4alkyl, -ORd, and -NRcRd; addnl. details including provisos are given in the claims.

L5 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:728098 CAPLUS
DN 140:334978
TI Synthesis of a high-affinity Fluorescent PPARγ ligand for high-throughput fluorescence polarization assays
AU DeGrazia, Michael J.; Thompson, Jerry; Vanden Heuvel, John P.; Peterson, Blake R.
CS Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA
SO Bioorganic & Medicinal Chemistry (2003), 11(20), 4325-4332
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
IT **679834-91-4P**
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of a high-affinity fluorescent PPARγ ligand for high-throughput fluorescence polarization assays)
RN 679834-91-4 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[2-[3-[3-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl]amino]thioxomethyl]amino]-1-propynyl]phenyl]-5-methyl-4-oxazolyl]ethyl]- (9CI) (CA INDEX NAME)

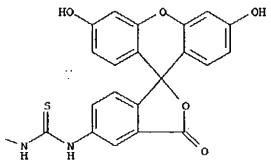
Absolute stereochemistry.

PAGE 1-A



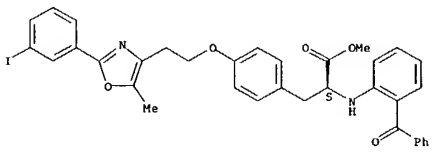
L5 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B



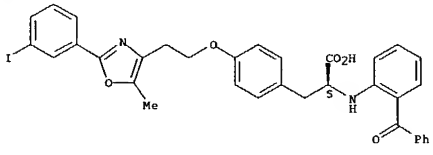
IT **679834-87-8P 679834-88-9P 679834-89-0P 679834-90-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of a high-affinity fluorescent PPARγ ligand for high-throughput fluorescence polarization assays)
RN 679834-87-8 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[2-(3-iodophenyl)-5-methyl-4-oxazolyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 679834-88-9 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[2-(3-iodophenyl)-5-methyl-4-oxazolyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

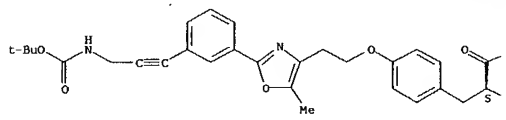


RN 679834-89-0 CAPLUS

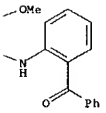
L5 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[2-[3-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-propynyl]phenyl]-5-methyl-4-oxazolyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



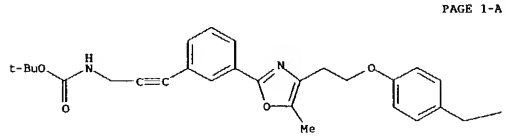
PAGE 1-B



RN 679834-90-3 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[2-[3-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-propynyl]phenyl]-5-methyl-4-oxazolyl]ethyl]- (9CI) (CA INDEX NAME)

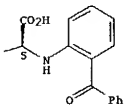
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B



AB Members of the peroxisome proliferator activated receptor (PPAR) family of transcription factors are under investigation as mol. targets for the treatment of numerous diseases including Alzheimer's, asthma, atherosclerosis, **inflammation**, multiple sclerosis, cancer, and diabetes. We employed the x-ray crystal structure of the PPARγ subtype complexed with the potent small mol. agonist GI262570 (farglitazar) to design and synthesize a novel fluorescent and high-affinity probe for homogeneous and high-throughput fluorescent polarization (FP) assays. Examination of this x-ray structure revealed that the Ph carbon atom meta to the oxazole moiety of GI262570 is exposed to solvent at the bottom of a narrow protein cavity. A derivative of GI262570 was synthesized bearing a linear phenylacetylene-derived side chain comprising propargylamine coupled to fluorescein. This fluorescent analog was designed to project the fluorophore into the adjacent protein cavity with minimal effects on receptor affinity and maximal effects on fluorescence polarization properties. The recombinant PPARγ ligand binding domain protein bound tightly and specifically to this probe with Kd=61±14 nM as determined by FP measurements. Competition binding assays with known PPARγ ligands provided Ki values that were highly correlated with analogous values obtained by scintillation proximity (SP) assays. This fluorescent PPARγ probe enables high-throughput and homogenous FP assays for the identification of novel endogenous and exogenous PPARγ ligands, and this rational ligand design approach may be applied to other therapeutically important members of the nuclear hormone receptor superfamily.

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:719457 CAPLUS
DN 139:245779
TI Preparation of phenoxyalkanoic acid derivatives as hPPAR activators for treatment of diabetes and cardiovascular diseases
IN Cadilla, Rodolfo; Henke, Brad Richard; Lambert, Millard H., III; Liu, Guangcheng Kevin; Smith, Jennifer Susan
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

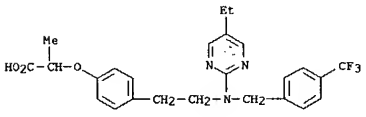
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003074495	A1	20030912	WO 2003-US5953	20030225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

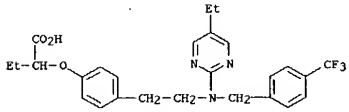
US 2002-360975PP 20020301

OS MARPAT 139:245779
IT **596114-96-4P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]propanoic acid **596114-97-5P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]butanoic acid **596115-82-1P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethoxy)benzyl]amino]ethyl]-2-methylphenoxy]propanoic acid **596115-84-3P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethyl)benzyl]amino]ethyl]-2-methylphenoxy]propanoic acid **596115-85-4P**, 2-[4-[2-[(4-Ethylbenzyl)(5-ethylpyrimidin-2-yl)amino]ethyl]-2-methylphenoxy]propanoic acid **596115-86-5P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethyl)benzyl]amino]ethyl]-2-fluorophenoxy]propanoic acid **596115-87-6P**, 2-[2-Chloro-4-[2-[(5-ethylpyrimidin-2-yl)[4-(trifluoromethoxy)benzyl]amino]ethyl]phenoxy]propanoic acid **596115-88-7P**, 2-[2-Bromo-4-[2-[(5-ethylpyrimidin-2-yl)[4-(trifluoromethoxy)benzyl]amino]ethyl]phenoxy]propanoic acid **596115-90-1P**, 2-[2-Bromo-4-[2-[(4-ethylbenzyl)(5-ethylpyrimidin-2-yl)amino]ethyl]phenoxy]propanoic acid **596115-91-2P**, 2-[2-Bromo-4-[2-[(5-ethylpyrimidin-2-yl)[4-(trifluoromethyl)benzyl]amino]ethyl]phenoxy]propanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR activator; preparation of phenoxyalkanoic acid derivs. as hPPAR activators for treatment of diabetes, cardiovascular diseases, and other disorders)

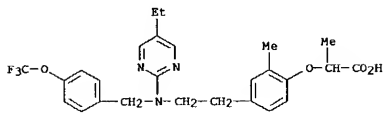
RN 596114-96-4 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



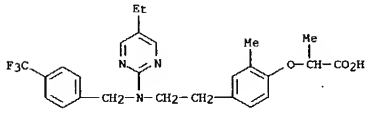
RN 596114-97-5 CAPLUS
CN Butanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



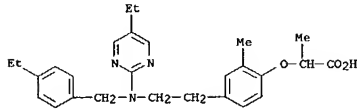
RN 596115-82-1 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethoxy)phenyl]methyl]amino]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



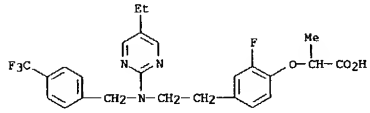
RN 596115-84-3 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



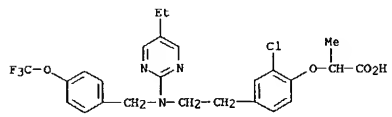
RN 596115-85-4 CAPLUS
CN Propanoic acid, 2-[4-[2-[[(4-ethylphenyl)methyl](5-ethyl-2-pyrimidinyl)amino]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 596115-86-5 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]-2-fluorophenoxy]- (9CI) (CA INDEX NAME)

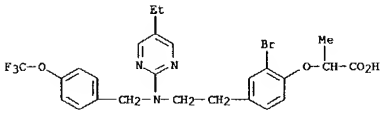


RN 596115-87-6 CAPLUS
CN Propanoic acid, 2-[2-chloro-4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethoxy)phenyl]methyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

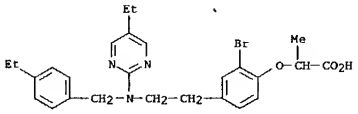


RN 596115-88-7 CAPLUS

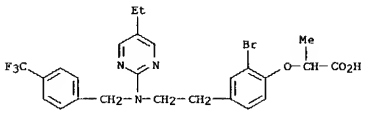
L5 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Propanoic acid, 2-[2-bromo-4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethoxy)phenyl]methyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 596115-90-1 CAPLUS
CN Propanoic acid, 2-[2-bromo-4-[2-[[(4-ethylphenyl)methyl](5-ethyl-2-pyrimidinyl)amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



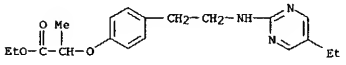
RN 596115-91-2 CAPLUS
CN Propanoic acid, 2-[2-bromo-4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethyl)phenyl]methyl]amino]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



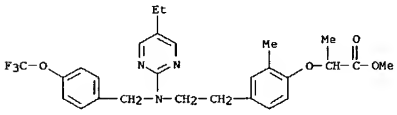
IT **596114-92-0P**, Ethyl 2-[4-[2-[(5-Ethylpyrimidin-2-yl)amino]ethyl]phenoxy]propanoate **596115-83-2P**, 2-[4-[2-[(5-Ethylpyrimidin-2-yl)[4-(trifluoromethoxy)benzyl]amino]ethyl]-2-methylphenoxy]propanoic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenoxyalkanoic acid derivs. as hPPAR activators for treatment of diabetes, cardiovascular diseases, and other disorders)

L5 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB Title compds. I [wherein R1 and R2 = independently H, F, CF3, or alkyl; or CR1R2 = cycloalkyl; R3 = (un)substituted heteroaryl; R4 and R5 = independently H, (perfluoro)alkyl, (perfluoro)alkoxy, halo, or CN; R6 = (un)substituted Ph or heteroaryl; R7 and R8 = independently H, F, CF3, or alkyl with the proviso that the C to which R7 and R8 are bonded is either meta or para to the depicted O; m and n = independently 1-2; or pharmaceutically acceptable salts, solvates, acid isosteres, or hydrolyzable esters thereof] were prepared as human peroxisome proliferator activated receptor (hPPAR) activators (no data). For example, Me 2-[4-[2-[[2,4-bis(trifluoromethyl)benzyl]amino]ethyl]phenoxy]-2-methylpropanoate was coupled with 2-chloro-5-ethylpyrimidine using DIEA in toluene to give the tertiary amine (38%). Hydrolysis of the ester with NaOH provided II (48%). Methods for treating diseases or conditions associated with hPPARα, hPPARγ, or hPPARδ, such as diabetes and cardiovascular diseases, comprising administration of a therapeutically effective amount of I or a pharmaceutical composition comprising I are also disclosed (no data).
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

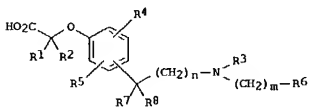
L5 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 596114-92-0 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



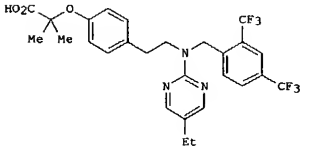
RN 596115-83-2 CAPLUS
CN Propanoic acid, 2-[4-[2-[(5-ethyl-2-pyrimidinyl)[[4-(trifluoromethoxy)phenyl]methyl]amino]ethyl]-2-methylphenoxy]-, methyl ester (9CI) (CA INDEX NAME)



GI



I



II

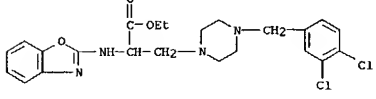
L5 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:656757 CAPLUS
DN 139:197507
TI Preparation of piperazine derivatives as anti-inflammatory agents
IN Dowle, Michael Dennis; Eldred, Colin David; Johnson, Martin Redpath; Redfern, Tracy Jane; Robinson, John Edward; Trivedi, Naimisha; Weller, Victoria
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068759	A1	20030821	WO 2003-GB583	20030210

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

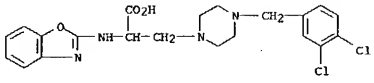
GB 2002-3299 A 20020212

OS MARPAT 139:197507
IT **583867-60-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine CCR-3 antagonists useful as anti-inflammatory agents)
RN 583867-60-1 CAPLUS
CN 1-Piperazinepropanoic acid, α-(2-benzoxazolylamino)-4-[(3,4-dichlorophenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

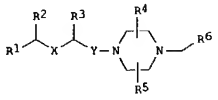


IT **583869-94-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine CCR-3 antagonists useful as anti-inflammatory agents)
RN 583869-94-7 CAPLUS
CN 1-Piperazinepropanoic acid, α-(2-benzoxazolylamino)-4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

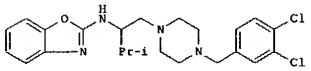
L5 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



GI



I



II

AB Title compds. I [R1 = (un)substituted (hetero)aryl; R2 = H, alkyl, alkenyl, cycloalkyl; X, Y = bond or (CH2)1-2 where X and Y do not both represent a bond; R3 = alkyl, alkenyl, (hetero)aryl, etc.; R4-5 = H, alkyl, carboxy, etc.; R6 = (hetero)aryl] are prepared For instance, 4-[(3,4-dichlorophenyl)methyl]-α-(1-methylethyl)-1-piperazineethaneamine is reacted with 2-chlorobenzoxazole (i-PrOH, i-Pr2NEt, reflux, 18 h),to give II. Compds. of the invention have functional pKi values in the range of 5.5-7.5 in the CCR-3 eosinophil chemotaxis assay. I are useful as anti-inflammatory agents.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

degenerative diseases. The invention further relates to methods for prepg. compds. of this invention.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:656594 CAPLUS

DN 139:191460

TI Phospholipids as caspase inhibitor prodrugs

IN Mortimore, Michael; Golec, Julian M. C.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 256 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003068242	A1	20030821	WO 2003-US4457	20030211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-355889PP	20020211
US 2004019017	A1	20040129	US 2003-366192	20030211
			US 2002-355889PP	20020211

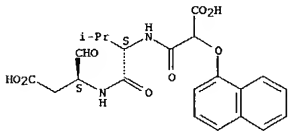
OS MARPAT 139:191460

IT **582318-74-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids as caspase inhibitor prodrugs)

RN 582318-74-9 CAPLUS

CN Butanoic acid, 3-[[(2S)-2-[[carboxy(1-naphthalenyloxy)acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The invention relates to compds. which are prodrugs of caspase inhibitors and pharmaceutically acceptable salts thereof. The invention further relates to the release of caspase inhibitors from these compds. through selective bond cleavage. The invention further relates to pharmaceutical compns. comprising these compds., which are particularly well-suited for treatment of caspase-mediated diseases, including inflammatory and

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:610204 CAPLUS

DN 139:164801

TI Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction

IN Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.

PA Rigel Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 648 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003063794	A2	20030807	WO 2003-US3022	20030131
WO 2003063794	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-353267PP	20020201
			US 2002-353333PP	20020201
			US 2002-399673PP	20020729
			US 2002-434277PP	20021217
US 2004029902	A1	20040212	US 2003-355543	20030131
			US 2002-353267PP	20020201
			US 2002-353333PP	20020201
			US 2002-399673PP	20020729
			US 2002-434277PP	20021217

PATENT FAMILY INFORMATION:

FAN 2004:142963

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014382	A1	20040219	WO 2003-US24087	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-399673PP	20020729
			US 2003-443949PP	20030131
			US 2003-452339PP	20030306
			US 2003-631029 A	20030729

OS MARPAT 139:164801

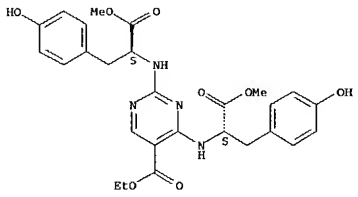
L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **575482-03-0P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(IgE and/or IgG receptor modulator; preparation of pyrimidinediamines as IgE
and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

RN 575482-03-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-bis[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-methoxy-2-oxoethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



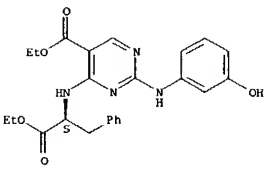
IT **575482-09-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(IgE and/or IgG receptor modulator; preparation of pyrimidinediamines as IgE
and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

RN 575482-09-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[(1S)-2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]-2-[(3-hydroxyphenyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

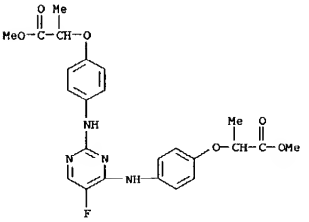
L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **575475-01-3P 575475-28-4P 575475-30-8P**
575475-80-8P 575475-81-9P 575482-04-1P
575482-07-4P 575482-08-5P 575484-53-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(IgE and/or IgG receptor modulator; preparation of pyrimidinediamines as IgE
and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

RN 575475-01-3 CAPLUS

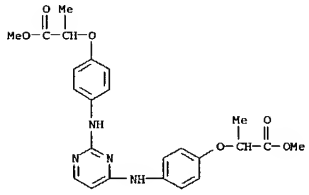
CN Propanoic acid, 2,2'-[(5-fluoro-2,4-pyrimidinediyl)bis(imino-4,1-phenyleneoxy)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



RN 575475-28-4 CAPLUS

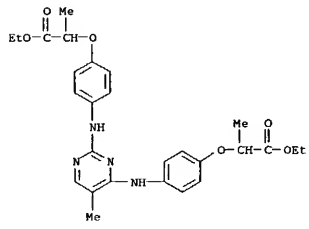
CN Propanoic acid, 2,2'-[2,4-pyrimidinediylbis(imino-4,1-phenyleneoxy)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 575475-30-8 CAPLUS

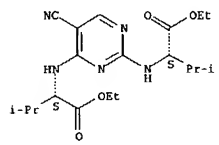
CN Propanoic acid, 2,2'-[(5-methyl-2,4-pyrimidinediyl)bis(imino-4,1-phenyleneoxy)]bis-, diethyl ester (9CI) (CA INDEX NAME)



RN 575475-80-8 CAPLUS

CN L-Valine, N,N'-(5-cyano-2,4-pyrimidinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

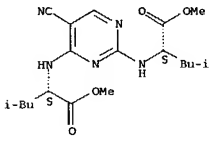


RN 575475-81-9 CAPLUS

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN L-Leucine, N,N'-(5-cyano-2,4-pyrimidinediyl)bis-, dimethyl ester (9CI) (CA INDEX NAME)

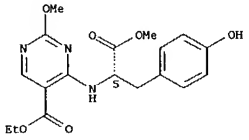
Absolute stereochemistry.



RN 575482-04-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-methoxy-2-oxoethyl]amino]-2-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

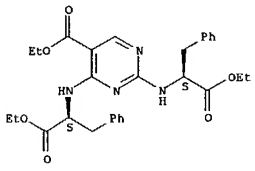
Absolute stereochemistry.



RN 575482-07-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-bis[[(1S)-2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

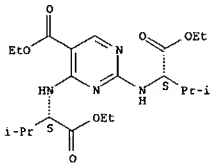
Absolute stereochemistry.



RN 575482-08-5 CAPLUS

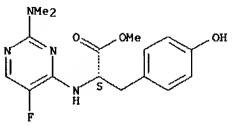
CN 5-Pyrimidinecarboxylic acid, 2,4-bis[[(1S)-1-(ethoxycarbonyl)-2-methylpropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 575484-53-6 CAPLUS
CN L-Tyrosine, N-[2-(dimethylamino)-5-fluoro-4-pyrimidinyl]-, methyl ester (9CI) (CA INDEX NAME)

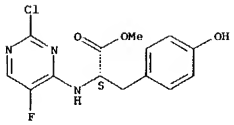
Absolute stereochemistry.



IT **575472-96-7P 575473-34-6P 575473-35-7P**
575473-36-8P 575473-37-9P 575473-38-0P
575473-39-1P 575473-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidinediamines as IgE and/or IgG receptor
modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)
RN 575472-96-7 CAPLUS
CN L-Tyrosine, N-(2-chloro-5-fluoro-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)

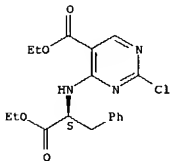
Absolute stereochemistry.

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



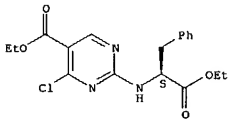
RN 575473-34-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 2-chloro-4-[[(1S)-2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 575473-35-7 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-[[(1S)-2-ethoxy-2-oxo-1-(phenylmethyl)ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

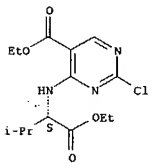
Absolute stereochemistry.



RN 575473-36-8 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 2-chloro-4-[[(1S)-1-(ethoxycarbonyl)-2-methylpropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

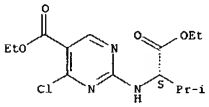
Absolute stereochemistry.

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



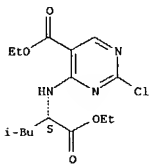
RN 575473-37-9 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-[[(1S)-1-(ethoxycarbonyl)-2-methylpropyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 575473-38-0 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 2-chloro-4-[[(1S)-1-(ethoxycarbonyl)-3-methylbutyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

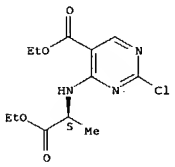
Absolute stereochemistry.



RN 575473-39-1 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 2-chloro-4-[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

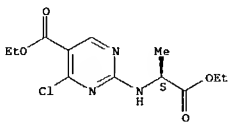
Absolute stereochemistry.

L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 575473-40-4 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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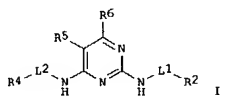
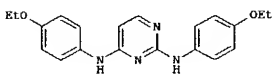


I



II

AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl),

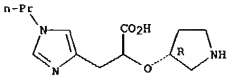
L5 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepd. as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chem. mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 μM and 4.4 μM, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or assocd. with the release of chem. mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases assocd. with tissue destruction, diseases assocd. with tissue **inflammation, inflammation**, and scarring are targeted uses (no data).

L5 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:591000 CAPLUS
DN 139:149631
TI Preparation of 3-(imidazolyl)-2-alkoxypropanoic acids as selective TAFIa inhibitors for treating thrombotic and other conditions associated with fibrin deposition
IN Allerton, Charlotte Moira Norfor; Bull, David John; Bunnage, Mark Edward; Maguire, Robert John; Steele, John
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003061652	A1	20030731	WO 2003-IB60	20030110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2002-1389	A 20020122
			GB 2002-2027	A 20020129
US 2003199522	A1	20031023	US 2003-348881	20030122
US 6713496	B2	20040330		
			GB 2002-1389	A 20020122
			GB 2002-2027	A 20020129
			US 2002-362377PP	20020306

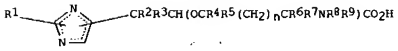
OS MARPAT 139:149631
IT **570397-68-1P**, 3-(1-Propyl-1H-imidazol-4-yl)-2-[((3R)-pyrrolidin-3-yl)oxy]propanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolyl alkoxy propanoic acids as selective TAFIa inhibitors for treating thrombotic and other conditions associated with fibrin deposition)
RN 570397-68-1 CAPLUS
CN 1H-Imidazole-4-propanoic acid, 1-propyl-α-[(3R)-3-pyrrolidinyloxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

GI



I

AB 3-(Imidazolyl)-2-alkoxypropanoic acids (shown as I; n is 0-3, R1 is (un)substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, heterocycle, aromatic heterocycle, aryl or H and R2, R3, R4, R5, R6, R7, R8 and R9 = H and (un)substituted C1-6 alkyl, or R5 and R8 are an alkylene chain; e.g. (2S)-(-)-2-(2-aminoethoxy)-3-(1-propyl-1H-imidazol-4-yl)propanoic acid) are novel. They are useful in the treatment of thrombotic conditions and other pathologies associated with fibrin deposition. The examples of I in the disclosure are potent and selective inhibitors of TAFIa. The Ki values are <20 μM, e.g. 9 nM for (2S)-2-[((1R)-2-amino-1-methylethyl)oxy]-3-[1-[4-(cyclohexyloxy)phenyl]-1H-imidazol-4-yl]propanoic acid. Those I tested exhibited a strong selectivity for TAFIa over carboxypeptidase N of the order of >50:1, e.g. >1000 for (2S)-(-)-2-(2-aminoethoxy)-3-[1-(2-cyclohexylethyl)-1H-imidazol-4-yl]propanoic acid. Fifty-four example prepns. of I and 158 of intermediates are included. For example, to prepare (2S)-(-)-2-(2-aminoethoxy)-3-(1-propyl-1H-imidazol-4-yl)propanoic acid a solution of (2S)-2-[(1-propyl-1H-imidazol-4-yl)methyl]-3-morpholinone (1.96 mmol) in 6 M HCl (35 mL) was heated at reflux for 72 h; workup gave 456 mg. To prepare the reactant, ammonium Ce(IV) nitrate (8.30 mmol) was added to a solution of (-)-(2S)-4-(4-Methoxybenzyl)-2-[(1-propyl-1H-imidazol-4-yl)methyl]-3-morpholinone (4.15 mmol) in MeCN (9 mL) and H2O (9 mL) and the mixture was stirred at room temperature for 18 h; workup gave 522 mg. To prepare this reactant, a mixture of 4-(4-methoxybenzyl)-2-[(1-propyl-1H-imidazol-4-yl)methylidene]-3-morpholinone (24.3 mmol) and 10% Pd/C (800 mg) in EtOH (240 mL) was hydrogenated at 100 psi and 50° for 18 h; workup gave 1.54 g. To prepare this reactant, triethylamine (65.9 mmol) was added to a solution of 2-[(hydroxy)(1-propyl-1H-imidazol-4-yl)methyl]-4-(4-methoxybenzyl)-3-morpholinone (44.0 mmol) in dichloromethane (300 mL); the solution was cooled in ice, methanesulfonyl chloride (65.9 mmol) was added, and the solution was stirred for 2 h at room temperature; addnl. triethylamine (22 mmol) was added, and the mixture was stirred at 40 °C for 18 h then cooled; workup gave 8.3 g. To prepare this reactant, a solution of 4-(4-methoxybenzyl)-3-morpholinone (58.7 mmol) in THF (100 mL) was added dropwise to a solution of lithium diisopropylamide (70.5 mmol) at -78°C, and the solution was stirred at -78°C for 20 min; 1-n-propyl-1H-imidazole-4-carboxaldehyde (70.5 mmol) was added dropwise and the mixture was allowed to warm to room temperature, then stirred for 1.5 h; workup gave 14 g.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

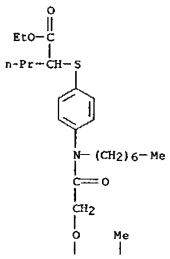
L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:511336 CAPLUS
DN 139:85372
TI Preparation of pyrazolopyrimidines and related compounds as hPPARα and hPPARγ ligands
IN Das, Saibal Kumar; Bhuniya, Debnath; Madhavan, Gurram Ranga; Iqbal, Javed; Chakrabarti, Ranjan
PA Reddy's Laboratories Ltd., India
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003053974	A1	20030703	WO 2002-IB5442	20021217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			IN 2001-MA1029	A 20011221

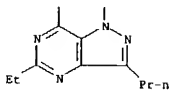
OS CASREACT 139:85372; MARPAT 139:85372
IT **552329-78-9P 552330-04-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidines and related compds. as hPPARα and hPPARγ ligands)
RN 552329-78-9 CAPLUS
CN Pentanoic acid, 2-[[4-[[[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]heptylamino]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

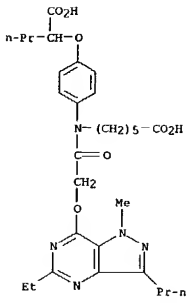


PAGE 2-A



RN 552330-04-8 CAPLUS
CN Hexanoic acid, 6-[[4-(1-carboxybutoxy)phenyl][[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

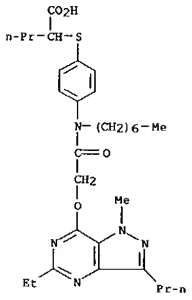
L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **552329-79-0P 552330-02-6P 552330-10-6P**
552330-11-7P 552330-24-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidines and related compds. as
hPPARα and hPPARγ ligands)

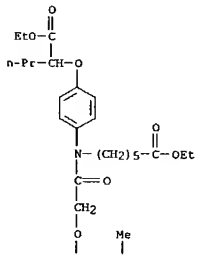
RN 552329-79-0 CAPLUS
CN Pentanoic acid, 2-[[4-[[[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]heptylamino]phenyl]thio]- (9CI) (CA INDEX NAME)

L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



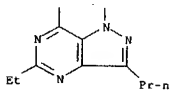
RN 552330-02-6 CAPLUS
CN Hexanoic acid, 6-[[4-[1-(ethoxycarbonyl)butoxy]phenyl][[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



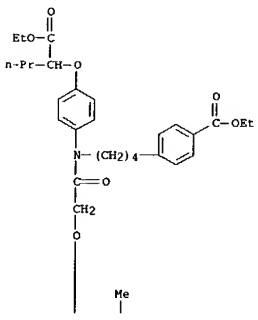
L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

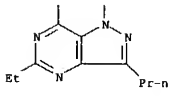


RN 552330-10-6 CAPLUS
CN Benzoic acid, 4-[4-[[4-[1-(ethoxycarbonyl)butoxy]phenyl][[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]amino]butyl]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

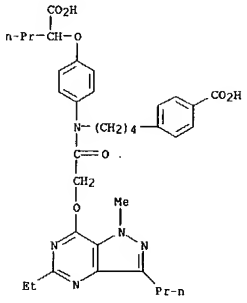


PAGE 2-A



RN 552330-11-7 CAPLUS
CN Benzoic acid, 4-[4-[[4-(1-carboxybutoxy)phenyl][[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

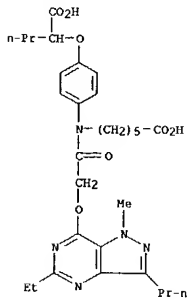


RN 552330-24-2 CAPLUS
CN L-Arginine, 6-[[4-(1-carboxybutoxy)phenyl][[(5-ethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-7-yl)oxy]acetyl]amino]hexanoate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 552330-04-8
CMF C30 H41 N5 O7

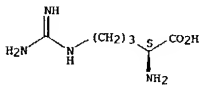
L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

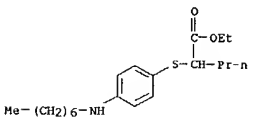
Absolute stereochemistry.



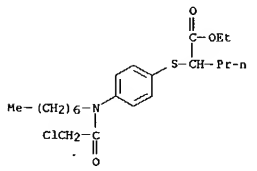
IT **552330-27-5P**, Ethyl 2-(4-heptylaminophenylsulfanyl)pentanoate
552330-29-7P 552330-58-2P 552330-60-6P
552330-69-5P 552330-72-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazolopyrimidines and related compds. as hPPARα and hPPARγ ligands)

RN 552330-27-5 CAPLUS
CN Pentanoic acid, 2-[[4-(heptylamino)phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

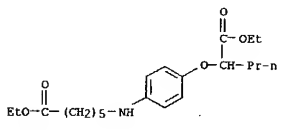
L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



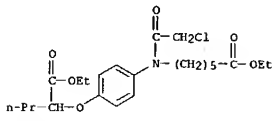
RN 552330-29-7 CAPLUS
CN Pentanoic acid, 2-[[4-[(chloroacetyl)heptylamino]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 552330-58-2 CAPLUS
CN Hexanoic acid, 6-[[4-[1-(ethoxycarbonyl)butoxy]phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

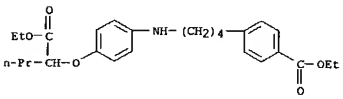


RN 552330-60-6 CAPLUS
CN Hexanoic acid, 6-[(chloroacetyl)[4-[1-(ethoxycarbonyl)butoxy]phenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

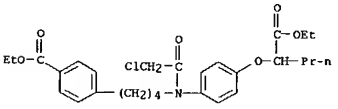


L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 552330-69-5 CAPLUS
CN Benzoic acid, 4-[4-[[4-[1-(ethoxycarbonyl)butoxy]phenyl]amino]butyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 552330-72-0 CAPLUS
CN Benzoic acid, 4-[4-[(chloroacetyl)[4-[1-(ethoxycarbonyl)butoxy]phenyl]amino]butyl]-, ethyl ester (9CI) (CA INDEX NAME)



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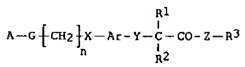

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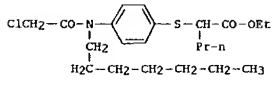

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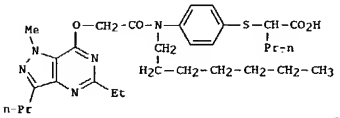


III

L5 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. I [R1 = H, halo, OH, etc.; R2 = H, OH, halo, etc.; R3 = H, (un)substituted alkyl, cycloalkyl, etc.; Z = O, NR4; R4 = H, (un)substituted alkyl, aryl, etc.; Y = O, S, NR6, etc.; R6 = H, (un)substituted alkyl, aryl, etc.; Ar = (un)substituted aromatic, heteroarom., heterocyclic; G = O, S; X = O, NHR5, (CH2)pO, etc.; R5 = H, (un)substituted alkyl, aryl, etc.; n = 1-4; p = 0-4; A = (un)substituted pyrazolopyrimidine, imidazolopyrimidine] and their pharmaceutically acceptable salts and formulations were prepared For example, O-alkylation of 5-ethyl-1,4-dihydro-1-methyl-3-propyl-7H-pyrazolo[4,3-d]pyrimidin-7-one by chloroacetyl II, e.g., prepared from 4-aminothiophenol in 3-steps, followed by ester hydrolysis, afforded claimed pyrazolopyrimidine III in 5% yield. In hPPARα and hPPARγ Luciferase ligand binding assays, 2-examples of compds. I, e.g., pyrazolopyrimidine III, exhibited activity at 50 and 1 μM, resp. The test compds. also inhibited HMG CoA reductase (no data provided). Compds. I are claimed useful as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:418208 CAPLUS

DN 139:127923

TI Discovery of a Potent, Non-peptide Bradykinin B1 Receptor Antagonist

AU Su, Dai-Shi; Markowitz, M. Kristine; DiPardo, Robert M.; Murphy, Kathy L.; Harrell, C. Meacham; O'Malley, Stacy S.; Ransom, Richard W.; Chang, Raymond S. L.; Ha, Sookhee; Hess, Fred J.; Pettibone, Douglas J.; Mason, Glenn S.; Boyce, Susan; Freidinger, Roger M.; Bock, Mark G.

CS Departments of Medicinal Chemistry and Neuroscience, Merck Research Laboratories, West Point, PA, 19486, USA

SO Journal of the American Chemical Society (2003), 125(25), 7516-7517
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

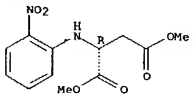
LA English

IT **565460-54-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(non-peptide bradykinin B1 receptor antagonist)

RN 565460-54-0 CAPLUS

CN D-Aspartic acid, N-(2-nitrophenyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Bradykinin (BK) plays an important role in the pathophysiol. processes accompanying pain and **inflammation**. Selective bradykinin B1 receptor antagonists have been shown to be anti-nociceptive in animal models and could be novel therapeutic agents for the treatment of pain and **inflammation**. We have explored chemical modifications in a series of dihydroquinoxalinone sulfonamides to evaluate the effects of various structural changes on biol. activity. The optimization of a screening lead compound, facilitated by a homol. model of the BK B1 receptor, culminated in the discovery of a potent human BK B1 receptor antagonist. Results from site-directed mutagenesis studies and expts. in an animal pain model are presented.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:356199 CAPLUS

DN 138:368921

TI Preparation of compounds as C-C chemokine receptor 8 antagonists, pharmaceutical compositions and use against inflammatory or viral disorders

IN Ghosh, Shomir; Patane, Michael A.; Carson, Kenneth G.; Chi, I-Cheng Shannon; Ye, Qing; Elder, Amy M.; Jenkins, Tracy J.

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 204 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037271	A2	20030508	WO 2002-US34845	20021030
WO 2003037271	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-340663PP 20011030

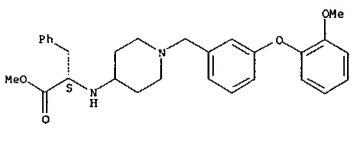
OS MARPAT 138:368921

IT **521977-73-1P**, (S)-2-[[1-[3-(2-Methoxyphenoxy)benzyl]piperidin-4-yl]amino]-3-phenylpropionic acid methyl ester **521977-76-4P**, 3-(4-Chlorophenyl)-2-[[1-[3-(2-methoxyphenoxy)benzyl]piperidin-4-yl]amino]propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of compds. as C-C chemokine receptor 8 antagonists, pharmaceutical compns. and use against inflammatory or viral disorders)

RN 521977-73-1 CAPLUS

CN L-Phenylalanine, N-[1-[[3-(2-methoxyphenoxy)phenyl]methyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

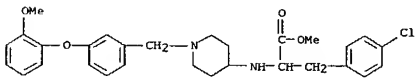
Absolute stereochemistry.



RN 521977-76-4 CAPLUS

CN Phenylalanine, 4-chloro-N-[1-[[3-(2-methoxyphenoxy)phenyl]methyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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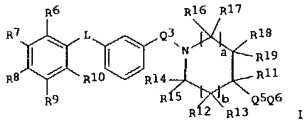

I

AB The invention relates to (shown as I; variables defined below; e.g. 1-[1-(2',6'-dichlorobiphenyl-3-ylmethyl)piperidin-4-yl]-1,3-dihydrobenzimidazol-2-one and 3-(3-phenoxybenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine). Preferred compds. are antagonists of C-C chemokine receptor 8 (no data). The invention also relates to a method for treating a subject having an inflammatory disorder or viral disorder comprising administering to a subject in need thereof an effective amount of a compound of the invention. Although the methods of preparation are not claimed, hundreds of example prepns. are included. For I: L = O, S, NRa, a bond, SO2, C(O), and (CR'R'')m; Ra = H, (un)substituted alkyl, alkylaryl, and cycloalkyl; a is 0 to 3; b is 0 to 3; m is 1 to 8; R' and R'' = H, (un)substituted alkyl, cyano and (un)substituted alkenyl. R6, R7, R8, R9 and R10 = H, hydroxy, halogen, (un)substituted C1-C10 alkyl, (un)substituted C2-C10 alkenyl, (un)substituted C2-C10 alkynyl, (un)substituted C3-C10 cycloalkyl, (un)substituted C3-C10 cycloalkenyl, (un)substituted C3-C10 cycloalkynyl, (un)substituted C3-C10 cycloalkoxy, cyano, C1-C10 alkoxy, C2-C10 alkenyloxy, C2-C10 alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF3), C(O)O(R1), C(O)(R1), -SO2NR1R2, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl. R1 and R2 = H and (un)substituted alkyl; Q3 is (un)substituted alkyl; R11-R19 = H, hydroxy, halogen, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted cycloalkynyl, cyano, alkoxy, alkenyloxy, alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF3), C(O)O(R41), -C(O)(R41), -SO2NR41R42, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; R41 and R42 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted cycloalkynyl, (un)substituted amino, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; or R41 and R42 may be linked via a C2-C8 (un)substituted alkyl or alkenyl bridge where ≥1 carbons may be replaced by O, S or NR46. Q5 = -N(R20)C(O)(CR41R42)1-3-, 1-N(R20)C(O)cycloalkyl (ring size = 3-9), N(R20)C(O)-substituted azacycloalkyl; R20 and R46 = H, hydroxy, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, optionally cycloalkenyl, (un)substituted cycloalkynyl, (un)substituted amino, (un)substituted amido, -C(O)O(R41),

L5 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
-C(O)(R41), -SO2NR4R42, trifluoromethyl, aryl, aralkyl, heteroaryl or heteroaralkyl; and Q6 = (un)substituted arom. ring, (un)substituted nonarom. heterocycle, and (un)substituted heteroarom. ring; or R18 or R19 together with Q5Q6 and the atoms to which they are bonded form an (un)substituted nonarom. carbocyclic group, (un)substituted nonarom. heterocyclic group, (un)substituted aryl ring or (un)substituted heteroaryl ring. Addnl. details are given in the claims.

L5 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:335088 CAPLUS
DN 138:354006
TI Preparation of piperazine derivatives with CCR1 receptor antagonist activity
IN Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley; Lundquist, Gregory Dean, Jr.; Shavnya, Andrei
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003035627	A1	20030501	WO 2002-IB3989	20020926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-338601PP	20011022
EP 1438298	A1	20040721	EP 2002-772651	20020926
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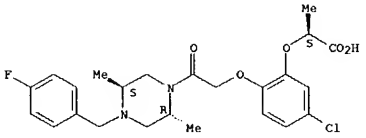
			US 2001-338601PP	20011022
			WO 2002-IB3989 W	20020926
US 2004034034	A1	20040219	US 2002-273658	20021018
			US 2001-338601PP	20011022

OS MARPAT 138:354006
IT **519171-85-8P**, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
519173-58-1P, (2R)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
519173-60-5P, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazine derivs. with CCR1 receptor antagonist activity)
RN 519171-85-8 CAPLUS
CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

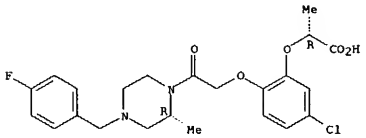
Absolute stereochemistry.

L5 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



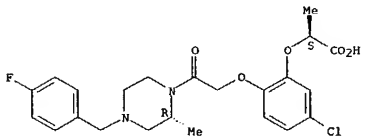
RN 519173-58-1 CAPLUS
CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519173-60-5 CAPLUS
CN Propanoic acid, 2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

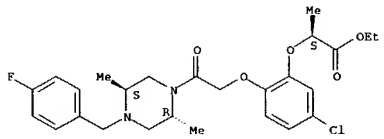
Absolute stereochemistry.



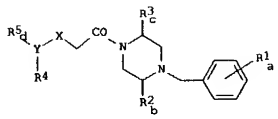
IT **519171-86-9P**, (2S)-2-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenoxy]propionic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine derivs. with CCR1 receptor antagonist activity)
RN 519171-86-9 CAPLUS
CN Propanoic acid, 2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenoxy]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

L5 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

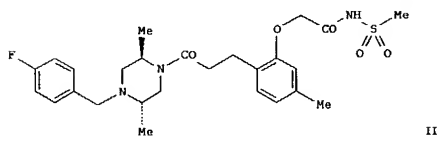
Absolute stereochemistry.



GI



I



II

AB The present invention relates to piperazine derivs. (shown as I; variables defined below; e.g. N-[[2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetyl]methanesulfonamide (shown as II)) and the pharmaceutically acceptable forms thereof. Moreover, the present invention is also directed at pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the 15 CCR1 receptor in a mammal. For I: a = 0-5; b = 0-2; c = 0-2; d = 0-4; X = O, S, CH2, or NR6; Y = (C6-C10)aryl or (C2-C9)heteroaryl; each R1 = H, HO, halo, (C1-C8)alkyl, (C1-C8)alkylO, HO(C1-C8)alkyl, NC, H2N, H2N(C1-C8)alkyl, HO2C, (C1-C8)alkylC(O), (C1-C8)alkylC(O)(C1-C8)alkyl, H2NC(O), or H2NC(O)(C1-C8)alkyl. Each R2 and R3 = H, oxo, (C1-C8)alkyl, (C3-C8)cycloalkyl(C1-C8)alkyl, (C6-C10)aryl, etc. R4 = (HO2C)(H2N)(C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]NH](C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]2N](C1-C8)alkyl, etc.; R5 = H, HO, halo, NC, HO2C,

L5 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
H2N, (C1-C8)alkylNH, [(C1-C8)alkyl]2N, etc.; R6 = H, (C1-C8)alkyl, (C1-C8)alkylC(O), (C6-C10)arylC(O), (C2-C9)heteroarylC(O), H2NC(O), (C1-C8)alkylNHC(O), [(C1-C8)alkyl]2NC(O), (C1-C8)alkyloC(O), or (C1-C8)alkylSO2; addnl. details are given in the claims. Although the methods of prepn. are not claimed, 47 example prepns. and characterization data (mass spectral parent ion mass) for 259 examples of I are included. I are potent and selective inhibitors of MIP-1α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). These compds. also inhibit MIP-1α (and the related chemokines shown to interact with CCR1)-induced chemotaxis of THP-1 cells and human leukocytes. All I in the examples had IC50 of <10 μM in the MIP-1α-induced chemotaxis assay.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:221804 CAPLUS
DN 138:231731
TI 3-Deoxyflavonoids that inhibit T-lymphocyte activation and use in treating immune disorders and inflammatory disorders
IN Lahey, Thomas P.; Rajadhyaksha, V. J.
PA Synorx, Inc., USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

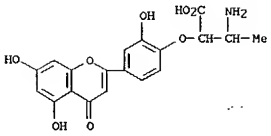
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022994	A2	20030320	WO 2002-US28348	20020906
	WO 2003022994	A3	20031009		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-317666PP	20010906
				US 2002-407125PP	20020830
	EP 1429750	A2	20040623	EP 2002-798140	20020906
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				US 2001-317666PP	20010906
				US 2002-407125PP	20020830
				WO 2002-US28348W	20020906

PATENT FAMILY INFORMATION:
FAN 2004:433756

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004102386	A1	20040527	US 2003-652624	20030829
				US 2001-317666PP	20010906
				US 2002-407125PP	20020830
				US 2002-236861 A2	20020906
	US 2003069192	A1	20030410	US 2002-236861	20020906
				US 2001-317666PP	20010906

OS MARPAT 138:231731
IT **501445-19-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3-deoxyflavonoids that inhibit T-lymphocyte activation and use in treating immune disorders and inflammatory disorders)
RN 501445-19-8 CAPLUS
CN Butanoic acid, 3-amino-2-[4-(5,7-dihydroxy-4-oxo-4H-1-benzopyran-2-yl)-2-hydroxyphenoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



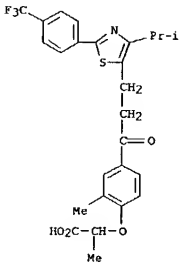
AB 3-Deoxyflavonoid compds. and methods for inhibiting T-cell activity and treating diseases and disorders (e.g., autoimmune disorders, inflammatory disorders, diabetes, ALS, MS, rheumatoid arthritis, etc.). In some cases the efficacy and/or duration of action of luteolin and/or other 3-deoxyflavonoid compds. may be increased by administering such compds. along with Rutin, a Rutin congener and/or a Rutin derivative. Also, in some cases, first pass metabolism of luteolin or other 3-deoxyflavonoids may be avoided by administering such compds. by parenteral routes (e.g., sublingual, buccal, intranasal, injection, etc.).

L5 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:154414 CAPLUS
DN 138:205049
TI Preparation of [(azolylalkanoyl or azoylalkenyl)phenoxy or -phenylthio]alkanoic acid derivatives as activators for peroxisome proliferator-responsive receptor δ
IN Sakuma, Shogo; Yamakawa, Tomio; Kanda, Takashi; Masui, Seiichiro
PA Nippon Chemiphar Co., Ltd., Japan
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

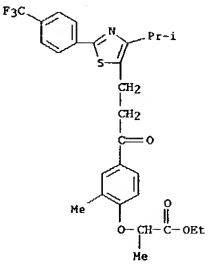
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003016291	A1	20030227	WO 2002-JP7897	20020802
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2001-243734 A	20010810
	EP 1424330	A1	20040602	EP 2002-755779	20020802
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				JP 2001-243734 A	20010810
				WO 2002-JP7897 W	20020802

OS MARPAT 138:205049
IT **500581-64-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(azolylalkanoyl or azoylalkenyl)phenoxy or -phenylthio]alkanoic acid derivs. as activators for peroxisome proliferator-responsive receptor δ and hypoglycemics and hypolipidemics)
RN 500581-64-6 CAPLUS
CN Propanoic acid, 2-[2-methyl-4-[3-[4-(1-methylethyl)-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-1-oxopropyl]phenoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **500582-30-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(azolylalkanoyl or azoylalkenyl)phenoxy or -phenylthio]alkanoic acid derivs. as activators for peroxisome proliferator-responsive receptor δ and hypoglycemics and hypolipidemics)
RN 500582-30-9 CAPLUS
CN Propanoic acid, 2-[2-methyl-4-[3-[4-(1-methylethyl)-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-1-oxopropyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methylphenoxy]acetic acid (III). III at 10-7 M promoted the expression of peroxisome proliferator-responsive receptor δ by 101% in CV-1 cell transfected with peroxisome proliferator-responsive receptor δ-expression plasmid (GAL4-hPPARδ) comparable to L-165041 (100%) vs. 0 and 5% for PPAR-α and PPAR-γ.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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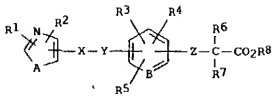
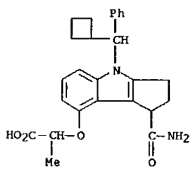


AB The title compds. such as 2-[4-[3-[2-(4-oxazolyl)propionyl]phenoxy]propionic acid, [4-[3-(4-oxazolyl)propionyl]phenoxy]acetic acid, [4-[3-(5-thiazolyl)propionyl]phenoxy]acetic acid, [4-[3-(4-oxazolyl)propionyl]phenylthio]acetic acid, [4-[3-(4-oxazolyl)-1-propenyl]phenoxy]acetic acid, and [4-[3-(5-thiazolyl)-1-propenyl]phenoxy]acetic acid derivs. represented by the following general formula (I) [wherein R1 = (un)substituted Ph, naphthyl, pyridyl, thienyl, furyl, quinolyl, benzothienyl; R2 = C1-8 alkyl, halo-C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, 3- to 7-membered cycloalkyl, 3- to 7-membered cycloalkyl-C1-8 alkyl, (un)substituted Ph, naphthyl-C1-6 alkyl, pyridyl-C1-6 alkyl; A = O, S, NH, C1-8 alkylimino; X = (un)substituted C1-8 alkylene optionally containing a double bond; Y = CO, C(:NOR10), CH(OR11), CH:CH, C.tplbond.C, C(:CH2); R10, R11 = H, C1-8 alkyl; R3, R4, R5 = H, C1-8 alkyl, halo-C1-8 alkyl, C1-8 alkoxy, halo-C1-8 alkoxy, C2-8 alkenyl, C2-8 alkynyl, halo, C2-7 acyl, benzoyl, HO, NO2, NH2, Ph, pyridyl; B = CH, N; Z = O, S; R6, R7 = H, C1-8 alkyl, halo-C1-8 alkyl; provided that at least one of R3-R5 is not H] are prepared Also claimed is a PPAR-δ activator which contains the compound I or salt as the active ingredient. These compds. I are useful as hypoglycemics and hypolipidemics for the treatment or prevention of obesity, syndrome X, hypercholesteremia, hyperproteinemia, hyperlipidemia, arteriosclerosis, circulatory diseases, overeating, ischemia, malignant tumors, Alzheimer's disease, inflammatory diseases, and osteoporosis. Thus, a solution of 190 mg 2-[(3-methyl-4-benzyloxybenzoyl)]acetic acid Et ester in 3 mL THF was added dropwise to 27 mg 60% NaH in 5 mL THF over 30 min under ice-cooling, stirred at room temperature for 30 min, treated with 250 mg 5-iodomethyl-4-isopropyl-2-(4-trifluoromethylphenyl)thiazole, and refluxed for 20 h to give 73%, after workup and silica gel chromatog., 3-[2-(4-trifluoromethylphenyl)-4-isopropyl-5-thiazolyl]-1-(3-methyl-4-hydroxyphenyl)propan-1-one (II). II (0.25 mmol) and 0.75 mmol K2CO3 were suspended in 5.0 mL acetone, treated with 0.75 mmol Et bromoacetate under ice-cooling, warmed to room temperature, and refluxed for 6 h to give 80% Et [4-[3-[2-(4-trifluoromethylphenyl)-4-isopropyl-5-thiazolyl]propionyl]-2-methylphenoxy]acetate which (0.22 mmol) was suspended in a mixture of 6 mL EtOH and 3 mL H2O, treated with 25 mg LiOH monohydrate, refluxed for 6 h, neutralized with 3 N aqueous HCl, and filtered to give, after washing the precipitated crystals with water and drying, 82% [4-[3-[2-(4-trifluoromethylphenyl)-4-isopropyl-5-thiazolyl]propionyl]-2-

L5 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:133238 CAPLUS
DN 138:170076
TI Preparation of cyclopenta[b]indole derivatives as sPLA2 inhibitors
IN Kinnick, Michael Dean; Mihelich, Edward David; Morin, John Michael, Jr.; Sall, Daniel Jon; Sawyer, Jason Scott
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

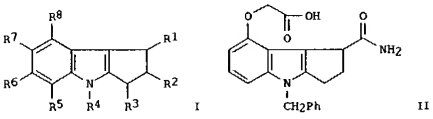
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003014082	A1	20030220	WO 2002-US21298	20020729
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG			
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-311250PP	20010809
	EP 1423366	A1	20040602	EP 2002-761038	20020729
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				US 2001-311250PP	20010809
				WO 2002-US21298W	20020729

OS MARPAT 138:170076
IT **497857-73-5P**, [4-[(Cyclobutyl)(phenyl)methyl]-1-carbamoyl-1,2,3,4-tetrahydrocyclopenta[b]indol-8-yloxy]acetic acid methylester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamoyl-carboxyalkoxy-substituted cyclopenta[b]indole derivs. as sPLA2 inhibitors)
RN 497857-73-5 CAPLUS
CN Propanoic acid, 2-[[1-(aminocarbonyl)-4-(cyclobutylphenylmethyl)-1,2,3,4-tetrahydrocyclopent[b]indol-8-yl]oxy]- (9CI) (CA INDEX NAME)



GI

L5 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

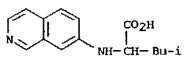


I II

AB Title compds. I [R1 = (thio)amide, hydrazone; R2-3 = H, etc.; R4 = (halo)alkyl, alkenyl, alkynyl, etc.; R5-7 = H, etc.; R8 = acidic linker group] are prepared For instance, 3-[Benzyl(2-chloro-5-methoxyphenyl)amino]-2-hydroxycyclopentene-1-carboxylic acid Me ester (preparation given) is cyclized (PhMe, ZnCl2, reflux, 48 h), dechlorinated (EtOH, Et3N, H2-Pd/C, 16 h), converted to the amide (PhMe, NH4Cl, Me3Al), demethylated (CH2Cl2, BBr3), O-alkylated (DMF, Triton-B, BrCH2COOMe) and saponified to afford II as a white solid. II had IC50 = 0.046 μM for secreted phospholipase A2 (sPLA2). I inhibit sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock.

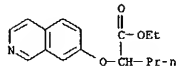
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
sodium salt
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of, by 1-(4-aminophenyl)pyridin-2-one; prepn. of bicyclic benzene derivs. as coagulation factor Xa inhibitors)
RN 498541-53-0 CAPLUS
CN Leucine, N-7-isoquinolinyl-, monosodium salt (9CI) (CA INDEX NAME)

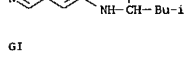


● Na

IT **498541-42-7P**, Ethyl 2-[(isoquinolin-7-yl)oxy]pentanoate
498541-51-8P, Methyl 2-[(isoquinolin-7-yl)amino]-4-methylpentanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of; preparation of bicyclic benzene derivs. as coagulation factor Xa inhibitors)
RN 498541-42-7 CAPLUS
CN Pentanoic acid, 2-(7-isoquinolinyloxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 498541-51-8 CAPLUS
CN Leucine, N-7-isoquinolinyl-, methyl ester (9CI) (CA INDEX NAME)

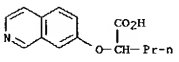


GI

L5 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:133044 CAPLUS
DN 138:187647
TI Preparation of phenyl derivatives as coagulation factor Xa inhibitors
IN Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PA Merck Patent GmbH, Germany
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003013531	A1	20030220	WO 2002-EP7798	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			DE 2001-10139060A	20010808
DE 10139060	A1	20030220	DE 2001-10139060	20010808
EP 1414456	A1	20040506	EP 2002-760242	20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
			DE 2001-10139060A	20010808
			WO 2002-EP7798 W	20020712

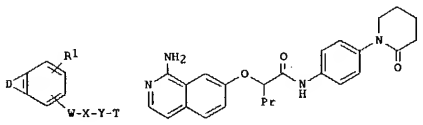
OS CASREACT 138:187647; MARPAT 138:187647
IT **498541-44-9P**, 2-[(Isoquinolin-7-yl)oxy]pentanoic acid sodium salt
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, by 1-(4-aminophenyl)piperidin-2-one; preparation of bicyclic benzene derivs. as coagulation factor Xa inhibitors)
RN 498541-44-9 CAPLUS
CN Pentanoic acid, 2-(7-isoquinolinyloxy)-, sodium salt (9CI) (CA INDEX NAME)



● Na

IT **498541-53-0P**, 2-[(Isoquinolin-7-yl)amino]-4-methylpentanoic acid

L5 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



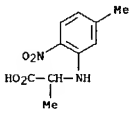
I II

AB Novel Ph compds. I [D = (un)saturated 3 - 4 alkylene chain, containing 1 - 2 N, O and/or S (may be substituted with halogen, A, {C(R3)2}n-Ar, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA); W = C(R2)2, {C(R2)2}2, OC(R2)2, NR2C(R2)2; X = CONR2, CONR2C(R3)2, C(R3)2NR2, C(R3)2NR2C(R3)2; Y = alkylene, cycloalklylene, Het-diyl, Ar-diyl; T = (un)substituted heterocycle containing 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl (may contain O, S, CH:CH or substituted with 1 - 7 F); R1 = H, halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R2 = H, A, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R3 = H, A; Ar = (un)substituted Ph, naphthyl, biphenyl (may be substituted with halogen, A, OR3, N{R3)2, NO2, CN, CO2R3, CON(R3)2, NR3COA, NR3CON(R3)2, NR3SO2A, COR3, SO2N(R3)2, SOmA); Het = (un)saturated or aromatic heterocycle (containing 1 - 4 N, O and/or S and may be substituted with halogen, A, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)saturated or aromatic heterocycle (containing 1 - 2 N, O and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); halogen = Cl Br, F, I; n = 0 - 2; m = 0 - 2] are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors. Thus isoquinoline II was prepared from 7-hydroxyisoquinoline via O-alkylation with Me(CH2)2CHBrCO2Et, saponification, amidation with 1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidation, isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10-7 M vs. FXa; IC50 = 2.2 x 10-7 M vs. TF]. I was used in the preparation of drug formulations (injections, suppositories, solns., solvates, tablets, etc.).

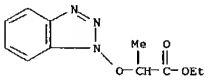
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:126821 CAPLUS
DN 140:70560
TI Antiinflammatory and antinociceptive activities of some benzotriazolylalkanoic acids
AU Boido, Alessandro; Vazzana, Iana; Mattioli, Francesca; Sparatore, Fabio
CS Dipartimento di Scienze Farmaceutiche, Universita di Genova, Genoa, I-16132, Italy
SO Farmaco (2003), 58(1), 33-44
CODEN: FRMCE8; ISSN: 0014-827X
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
IT **639474-96-7P 639475-13-1P 639475-14-2P 639475-15-3P 639475-16-4P 639475-17-5P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(benzotriazolylalkanoic acids preparation and antiinflammatory and analgesic action)

RN 639474-96-7 CAPLUS
CN Alanine, N-(5-methyl-2-nitrophenyl)- (9CI) (CA INDEX NAME)



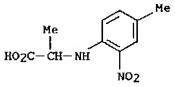
RN 639475-13-1 CAPLUS
CN Propanoic acid, 2-(1H-benzotriazol-1-yloxy)-, ethyl ester (9CI) (CA INDEX NAME)



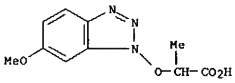
RN 639475-14-2 CAPLUS
CN Propanoic acid, 2-[(6-methoxy-1H-benzotriazol-1-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzotriazolylalkanoic acids prepn. and antiinflammatory and analgesic action)

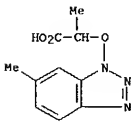
RN 639474-99-0 CAPLUS
CN Alanine, N-(4-methyl-2-nitrophenyl)- (9CI) (CA INDEX NAME)



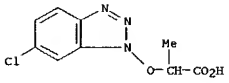
RN 639475-18-6 CAPLUS
CN Propanoic acid, 2-[(6-methoxy-1H-benzotriazol-1-yl)oxy]- (9CI) (CA INDEX NAME)



RN 639475-19-7 CAPLUS
CN Propanoic acid, 2-[(6-methyl-1H-benzotriazol-1-yl)oxy]- (9CI) (CA INDEX NAME)

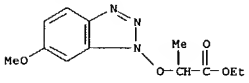


RN 639475-20-0 CAPLUS
CN Propanoic acid, 2-[(6-chloro-1H-benzotriazol-1-yl)oxy]- (9CI) (CA INDEX NAME)

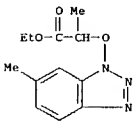


RN 639475-21-1 CAPLUS

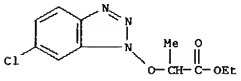
L5 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



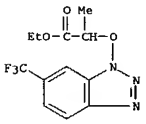
RN 639475-15-3 CAPLUS
CN Propanoic acid, 2-[(6-methyl-1H-benzotriazol-1-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 639475-16-4 CAPLUS
CN Propanoic acid, 2-[(6-chloro-1H-benzotriazol-1-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

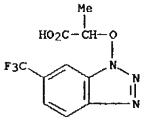


RN 639475-17-5 CAPLUS
CN Propanoic acid, 2-[[6-(trifluoromethyl)-1H-benzotriazol-1-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



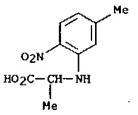
IT **639474-99-0P 639475-18-6P 639475-19-7P 639475-20-0P 639475-21-1P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

L5 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Propanoic acid, 2-[(6-(trifluoromethyl)-1H-benzotriazol-1-yl]oxy]- (9CI) (CA INDEX NAME)



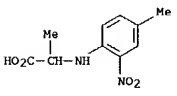
IT **639474-97-8P 639475-00-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzotriazolylalkanoic acids preparation and antiinflammatory and analgesic action)

RN 639474-97-8 CAPLUS
CN Alanine, N-(5-methyl-2-nitrophenyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 639475-00-6 CAPLUS
CN Alanine, N-(4-methyl-2-nitrophenyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

AB Sets of benzotriazol-1/2-yl-alkanoic acids (1, 2, 3) and benzotriazol-1-yloxyalkanoic acids (4, 5) were prepared and tested for

L5 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
antiinflammatory activity; when significant activity was obsd. also the antinociceptive activity was explored. While the acids of structure 1, 4 and 5 were devoid of antiinflammatory action, most 2-(benzotriazol-1/2-yl)propionic acids (2, 3) exhibited significant activity as antiinflammatory and antinociceptive agents, with compd. 2c and 3a being the most active in the two assays, resp. The dextro-rotatory enantiomer of 2c ((+)-2c) was also prepd. and found to be practically as active as the racemic mixt., though some differences in the steepness of the dose-response curves were obsd.
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:117787 CAPLUS
DN 138:137592
TI Preparation of bicyclic heteroaromatic alanines as α4-integrin inhibitors
IN Aujla, Pavandeep; Norman, Timothy John; Porter, John Robert; Bailey, Stuart; Brand, Stephen
PA Celltech R & D Limited, UK
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

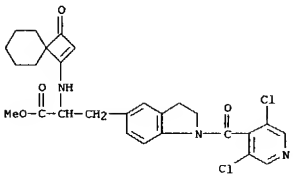
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003011815	A1	20030213	WO 2002-GB3400	20020725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

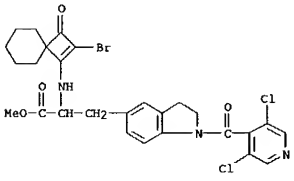
GB 2001-18241 A 20010726
GB 2001-26653 A 20011106

OS MARPAT 138:137592
IT **494227-57-5P 494227-59-7P 494227-61-1P**
494227-63-3P 494227-64-4P 494227-66-6P
494227-67-7P 494227-68-8P 494227-69-9P
494227-70-2P 494227-75-7P 494227-76-8P
494227-79-1P 494227-81-5P 494227-82-6P
494227-85-9P 494227-86-0P 494227-89-3P
494227-90-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclic heteroarom. alanines as α4-integrin inhibitors)
RN 494227-57-5 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

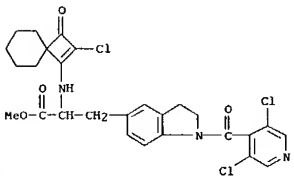
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-59-7 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

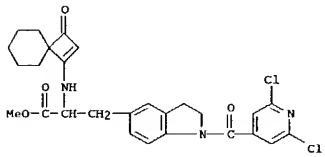


RN 494227-61-1 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

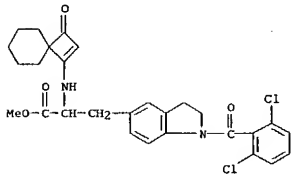


RN 494227-63-3 CAPLUS

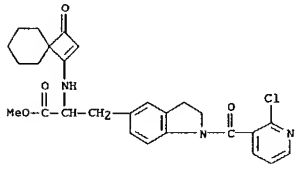
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1H-Indole-5-propanoic acid, 1-[(2,6-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



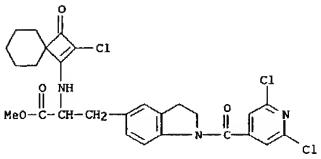
RN 494227-64-4 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-(2,6-dichlorobenzoyl)-2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



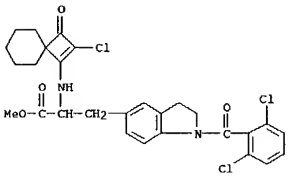
RN 494227-66-6 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(2-chloro-3-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 494227-67-7 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(2,6-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

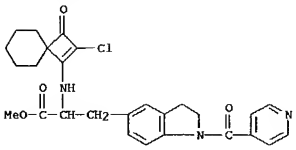


RN 494227-68-8 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-(2,6-dichlorobenzoyl)-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

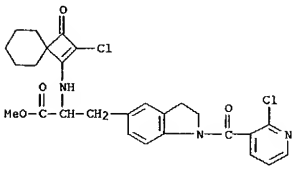


RN 494227-69-9 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-2,3-dihydro-1-(4-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

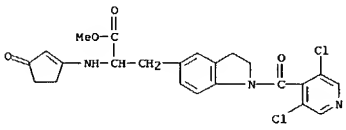
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-70-2 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(2-chloro-3-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

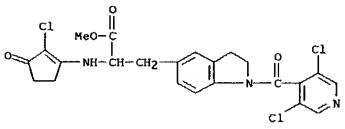


RN 494227-75-7 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-1-cyclopenten-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

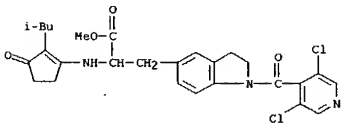


RN 494227-76-8 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-1-cyclopenten-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

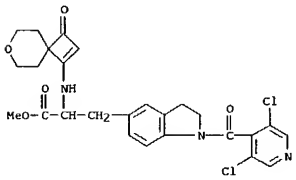
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-79-1 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[[2-(2-methylpropyl)-3-oxo-1-cyclopenten-1-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

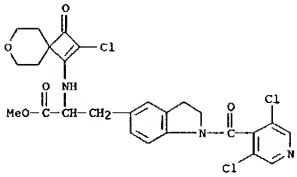


RN 494227-81-5 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-7-oxaspiro[3.5]non-1-en-1-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

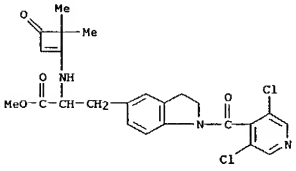


RN 494227-82-6 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-7-oxaspiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

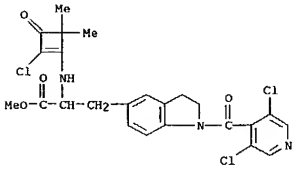
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-85-9 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-α-[(4,4-dimethyl-3-oxo-1-cyclobuten-1-yl)amino]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

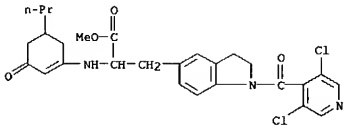


RN 494227-86-0 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-4,4-dimethyl-3-oxo-1-cyclobuten-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)

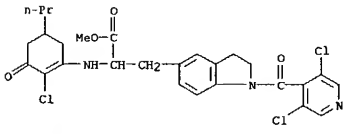


RN 494227-89-3 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-5-propyl-1-cyclohexen-1-yl)amino]-, methyl ester

L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



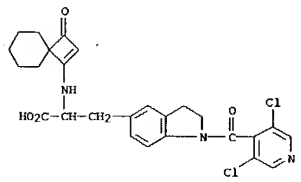
RN 494227-90-6 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-5-propyl-1-cyclohexen-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-, methyl ester (9CI) (CA INDEX NAME)



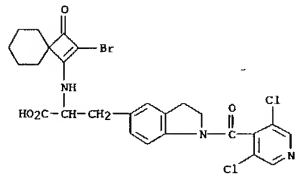
IT **494227-58-6P 494227-60-0P 494227-62-2P**
494227-65-5P 494227-71-3P 494227-72-4P
494227-73-5P 494227-74-6P 494227-77-9P
494227-78-0P 494227-80-4P 494227-83-7P
494227-84-8P 494227-87-1P 494227-88-2P
494227-91-7P 494227-92-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic heteroarom. alanines as α4-integrin inhibitors)

RN 494227-58-6 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

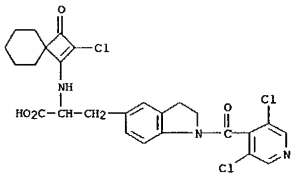


RN 494227-60-0 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

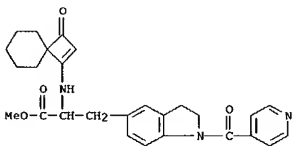


RN 494227-62-2 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

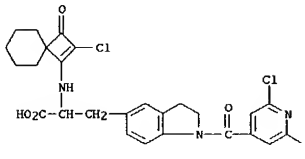
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-65-5 CAPLUS
CN 1H-Indole-5-propanoic acid, 2,3-dihydro-α-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-1-(4-pyridinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

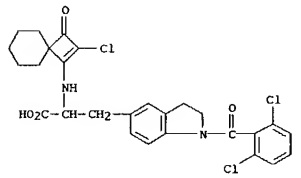


RN 494227-71-3 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(2,6-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

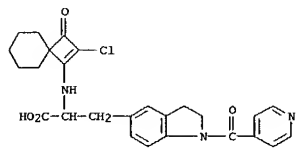


RN 494227-72-4 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-(2,6-dichlorobenzoyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

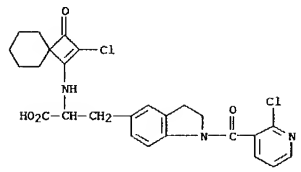
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-73-5 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-2,3-dihydro-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

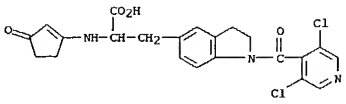


RN 494227-74-6 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxospiro[3.5]non-1-en-1-yl)amino]-1-[(2-chloro-3-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

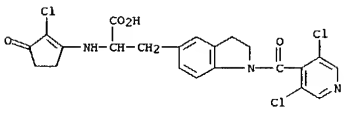


RN 494227-77-9 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-1-cyclopenten-1-yl)amino]- (9CI) (CA INDEX NAME)

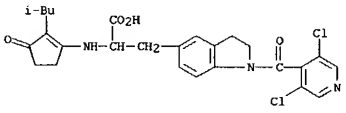
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-78-0 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-1-cyclopenten-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

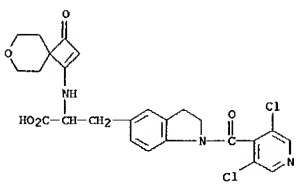


RN 494227-80-4 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[[2-(2-methylpropyl)-3-oxo-1-cyclopenten-1-yl]amino]- (9CI) (CA INDEX NAME)

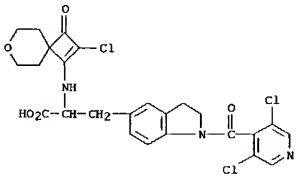


RN 494227-83-7 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-7-oxaspiro[3.5]non-1-en-1-yl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

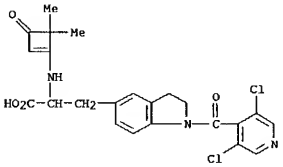


RN 494227-84-8 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-7-oxaspiro[3.5]non-1-en-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

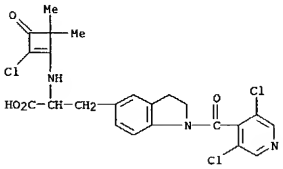


RN 494227-87-1 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-α-[(4,4-dimethyl-3-oxo-1-cyclobuten-1-yl)amino]-2,3-dihydro- (9CI) (CA INDEX NAME)

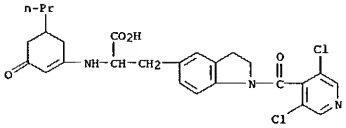
L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 494227-88-2 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-4,4-dimethyl-3-oxo-1-cyclobuten-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

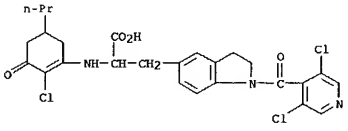


RN 494227-91-7 CAPLUS
CN 1H-Indole-5-propanoic acid, 1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro-α-[(3-oxo-5-propyl-1-cyclohexen-1-yl)amino]- (9CI) (CA INDEX NAME)



RN 494227-92-8 CAPLUS
CN 1H-Indole-5-propanoic acid, α-[(2-chloro-3-oxo-5-propyl-1-cyclohexen-1-yl)amino]-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

L5 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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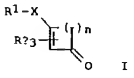


I

AB Compds. I [n = 1-4; X is O, S, NH, or alkylimino; R1 is a group Ar1-L2-Ar2-Alk-, in which Ar1 is an optionally-substituted (hetero)aromatic group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted bicyclic heteroarylene group, and Alk is a chain CH2CHR, CH=CR, or CH(CH2R) (R is CO2H or a derivative or biostere); Rx are independently groups L1-Alk10-1-R31-3, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliphatic chain, R3 is H, halo, OH, (cyclo)alkoxy, SH, (cyclo)alkylthio, CN, or an optionally substituted, (hetero)cycloaliph., (hetero)polycycloaliph., or (hetero)aromatic group; or two Rx are joined together to form an optionally-substituted spiro-linked (hetero)cycloaliph. group] were prepared as selective inhibitors of α4 integrins such as α4β1 and are of use in modulating cell adhesion for the prophylaxis or treatment of inflammatory diseases or disorders, such as rheumatoid arthritis, in which the extravasculation of leukocytes plays a role. Thus, Me 3-[1-(3,5-dichloroisonicotinoyl)-2,3-dihydro-1H-indol-5-yl]-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]propanoate was prepared by condensing Me 2-amino-3-[1-(3,5-dichloroisonicotinoyl)-2,3-dihydro-1H-indol-5-yl]propanoate (preparation given) with spiro[3.5]nonane-1,3-dione. Compds. of the examples generally have IC50 values in the α4β1 and α4β7 assays of ≤ 1 and ≤ 5 μM, resp. IC50 values for α integrins of other subgroups were 50 μM, thus demonstrating the potency and selectivity of compds. of the infection against α4 integrins.

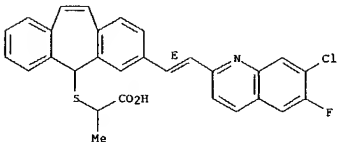
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:22856 CAPLUS
DN 138:89691
TI Preparation of dibenzocycloheptene derivatives as leukotriene D4 antagonists
IN Kuroki, Yoshiaki; Ueno, Hitoshi; Katsube, Tetsushi; Kawaguchi, Tetsuo; Okanari, Eiji; Tanaka, Ichiro; Tanaka, Masayuki; Hagihara, Masahiko
PA Ube Industries, Ltd., Japan
SO PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003002539	A1	20030109	WO 2002-JP6469	20020627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			JP 2001-193859 A	20010627
EP 1408033	A1	20040414	EP 2002-738813	20020627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			JP 2001-193859 A	20010627
			WO 2002-JP6469 W	20020627

OS MARPAT 138:89691
IT **482577-90-2P 482577-91-3P 482578-04-1P 482578-13-2P 482580-28-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dibenzocycloheptene derivs. as leukotriene D4 antagonists with leukotriene C4 and E4 antagonism and antiasthmatic, antiallergic, or antiphlogistic agents.)
RN 482577-90-2 CAPLUS
CN Propanoic acid, 2-[[3-[(1E)-2-(7-chloro-6-fluoro-2-quinolinyl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]oxy]-, sodium salt, (2R)- (9CI) (CA INDEX NAME)

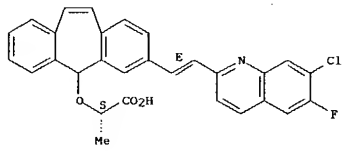
Absolute stereochemistry.
Double bond geometry as shown.



● Na

RN 482577-91-3 CAPLUS
CN Propanoic acid, 2-[[3-[(1E)-2-(7-chloro-6-fluoro-2-quinolinyl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]oxy]-, sodium salt, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



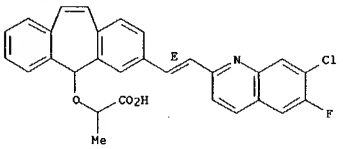
● Na

RN 482578-04-1 CAPLUS
CN Propanoic acid, 2-[[3-[(1E)-2-(7-chloro-6-fluoro-2-quinolinyl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

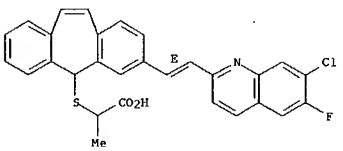
RN 482578-13-2 CAPLUS
CN Propanoic acid, 2-[[3-[(1E)-2-(7-chloro-6-fluoro-2-quinolinyl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



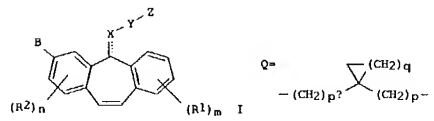
RN 482580-28-9 CAPLUS
CN Propanoic acid, 2-[[3-[(1E)-2-(7-chloro-6-fluoro-2-quinolinyl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]thio]-, sodium salt (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● Na

GI



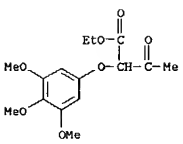
AB Dibenzocycloheptene compds. represented by the general formula (I) [wherein R1 = H, halo, HO, NO2, cyano, CONH2, CHO, CO2H, 1H-tetrazol-5-yl, C1-4 alkyl, fluoro-C1-4 alkyl, hydroxy-C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, fluoro-C1-4 alkoxy, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl; R2 = H, halo, NO2, cyano, C1-4 alkyl, C1-4 alkoxy; A = (un)substituted and optionally benzo-fused 5- or 6-membered heterocyclic aromatic group containing one to three heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur; B = CH:CH, CH2O, CH2CH2, CH2S, OCH2, SCH2; Y = (un)substituted C1-10 alkylene, Q (wherein p, p1 = an integer of 0-2; q = an integer of 1-4); Z = (un)protected CO2H, 1H-tetrazol-5-yl, NHSO2R3, CONHSO2R3 [wherein R3 = C1-4 alkyl, fluoro-C1-4 alkyl, (un)substituted Ph]; m = an integer of 1 to 4; n = an integer of 1 to 3; and a solid line accompanied by a dotted line indicates a single bond or double bond] or pharmacol. acceptable salts thereof are prepared A medicinal composition which contains the compound I or salt
thereof as the active ingredient is also disclosed. These compds. have not only strong leukotriene D4 (LTD4) antagonism but also leukotriene C4 and E4 antagonism and exhibit high safety, excellent oral absorbability, and long lasting effect. They are useful as antiasthmatic, antiallergic, or antiphlogistic (anti-inflammatory) agents. Thus, a solution of 1.19 g 3-[(E)-2-(6,7-difluoroquinolin-2-yl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-ol in 10 mL THF was cooled to 0°, treated with 0.85 mL Et3N and 0.30 mL methanesulfonyl chloride, stirred at 0° for 1 h and at room temperature for 3 h, followed by distilling off the solvent under reduced pressure,
and the residue was dissolved in 15 mL DMF, treated with 0.54 g Me glycolate, and stirred overnight to give 0.38 g [[3-[(E)-2-(6,7-difluoroquinolin-2-yl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]oxy]acetic acid Me ester (II). II (0.38 g) was dissolved in 15 MeOH and 5 mL THF, treated with 2.4 mL 1 N aqueous NaOH, stirred at room temperature for 5 h, and
adjusted to pH 6.5 with dilute aqueous AcOH to give 0.21 g [[3-[(E)-2-(6,7-difluoroquinolin-2-yl)ethenyl]-5H-dibenzo[a,d]cyclohepten-5-yl]oxy]acetic acid (III). III.Na inhibited the binding of [3H]LTD4 (0.2 nM) to the LTD4 receptor prepared from guinea pig's lung cell membrane with pKi of 9.7. A tablet formulation containing II.Na was described.
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:22849 CAPLUS
DN 138:73280
TI Preparation of cyclic diamine compounds having fused-ring groups as cell adhesion inhibitors
IN Kodama, Tatsuhiko; Tamura, Masahiro; Oda, Toshiaki; Yamazaki, Yukiyoshi; Nishikawa, Masahiro; Doi, Takeshi; Kyotani, Yoshinori
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

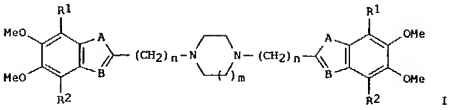
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003002532	A1	20030109	WO 2002-JP6487	20020627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-893696 A	20010629
US 2003060461	A1	20030327	US 2001-893696	20010629
US 6632810	B2	20031014		
EP 1400510	A1	20040324	EP 2002-736186	20020627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2001-893696 A	20010629
			WO 2002-JP6487 W	20020627
US 2004058913	A1	20040325	US 2003-639457	20030813
			US 2001-893696 A	320010629

OS MARPAT 138:73280
IT **481075-06-3P**, 2-(3,4,5-Trimethoxyphenoxy)acetoacetic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic diamine compds. having fused-ring groups as cell adhesion inhibitors for prevention or treatment of allergy, asthma, rheumatic diseases, arteriosclerosis, and **inflammation**)
RN 481075-06-3 CAPLUS
CN Butanoic acid, 3-oxo-2-(3,4,5-trimethoxyphenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



GI

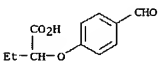


AB Cyclic diamine compds. such as N,N'-bis(naphthylalkyl)-, N,N'-bis(quinolylmethyl)-, N,N'-bis(quinazolinylmethyl)-, N,N'-bis(indolinylmethyl)-, N,N'-bis(benzimidazolylmethyl)-, N,N'-bis(benzothiazolylmethyl)piperazine, and -homopiperazine derivs. represented by the general formula (I), acid-addition salts thereof, or hydrates of both [wherein R1 and R2 are each hydrogen or methoxy, with the proviso that when R2 is hydrogen, R1 is methoxy, while when R2 is methoxy, R1 is hydrogen; A is oxygen, sulfur, CH:CH, CH:N, or NR3 (wherein R3 is hydrogen, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, aryl, or aryl-lower alkyl); B is nitrogen, CH, or CR4 (wherein R4 is hydrogen, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, aryl, or aryl-lower alkyl); m is 1 or 2; and n is a number of 1 to 5] are prepared The above compds., salts, and hydrates exhibit inhibitory activity against cell adhesion and are useful as drugs for the prevention or treatment of diseases caused by cell adhesion and/or cell infiltration which are selected from allergy, asthma, rheumatic diseases, arteriosclerosis, and **inflammation**. Thus, 200 mg 2-chloromethyl-5,6,7-trimethoxybenzothiazole and 37 mg homopiperazine were dissolved in DMF and stirred with K2CO3 at room temperature for 5 h to give N,N'-bis[(5,6,7-trimethoxybenzothiazol-2-yl)methyl]homopiperazine (II). II at 1 μM in vitro inhibited the binding of human monocyte/histiocyte-derived U937 cell to human umbilical venous endothelial cells (HUVEC) stimulated by IL-1β and TNFα by 79 and 64%, resp. A capsule, tablet, and injection formulation containing N,N'-[(5,6,7-trimethoxynaphthalene-2-yl)]piperazine were described.
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:5963 CAPLUS
DN 138:73267
TI Preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors
IN Vidal Juan, Bernat; Esteve Trias, Cristina; Segarra Matamoros, Victor; Ravina Rubira, Enrique; Fernandez Gonzalez, Franco; Loza Garcia, Maria Isabel; Sanz Carreras, Ferran
PA Almirall Prodesfarma S.A., Spain
SO PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

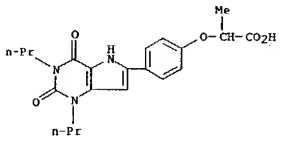
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003000694	A1	20030103	WO 2002-EP6727	20020618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			ES 2001-1452 A	20010622
ES 2193839	A1	20031101	ES 2001-1452	20010622
EP 1409489	A1	20040421	EP 2002-780834	20020618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			ES 2001-1452 A	20010622
			WO 2002-EP6727 W	20020618

OS MARPAT 138:73267
IT **480994-55-6**, 2-(4-Formylphenoxy)butyric acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)
RN 480994-55-6 CAPLUS
CN Butanoic acid, 2-(4-formylphenoxy)- (9CI) (CA INDEX NAME)

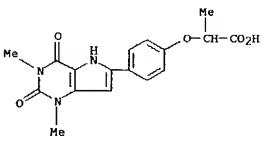


IT **480994-27-2P 480994-28-3P 480994-29-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)
RN 480994-27-2 CAPLUS
CN Propanoic acid, 2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (9CI) (CA INDEX NAME)

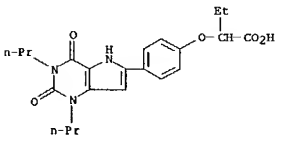
L5 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 480994-28-3 CAPLUS
CN Propanoic acid, 2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 480994-29-4 CAPLUS
CN Butanoic acid, 2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (9CI) (CA INDEX NAME)



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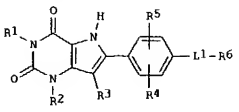
L5 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

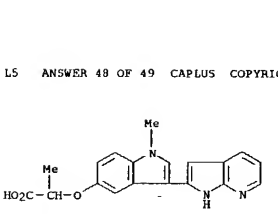
AB The title compds. [I; R1, R2 = H, (CH2)nR7, (un)substituted alkyl (wherein n = 0-4; R7 = cycloalkyl, (un)substituted Ph, 3-7 membered (non)aromatic ring containing 1-4 heteroatoms and which is optionally fused to (hetero)aromatic ring); R3 = H, halo, NO2, etc.; R4, R5 = H, halo, alkyl, etc.; L1 = a direct bond, O, S, etc.; R6 = CONR10R11, SO2NR10R11, ON:CR12R13, acyl, etc.; R10, R11 = H, alkyl, cycloalkyl, etc.; R12, R13 = defined as R10 and R11, except that either or both of R12 and R13 can be an amino, alkylamino or dialkylamino] which have therapeutic potential as A2 adenosine receptor inhibitors (biol. data given), were prepared and formulated. Thus, coupling {4-[2-(5-nitro-2,6-dioxo-1,3-dipropyl-1,2,3,6-tetrahydropyrimidin-4-yl)vinyl]phenoxy}acetic acid (preparation given) with aniline (yield 42%) followed by reductive cyclization of the resulting intermediate mediated by triethylphosphite (46%) afforded I [R1, R2 = Pr; R3-R5 = H; L1 = OCH2; R6 = CONHPh].
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:5957 CAPLUS
DN 138:55984
TI Preparation of azaindoles as protein kinase inhibitors
IN Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Depreta, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal
PA Aventis Pharma Limited, UK
SO PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

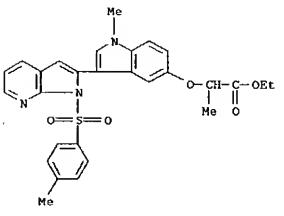
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2001-15109 A	20010621
			US 2001-300257PP	20010622
EP 1397360	A1	20040317	EP 2002-730531	20020620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2001-15109 A	20010621
			US 2001-300257PP	20010622
			WO 2002-GB2799 W	20020620
EE 200400015	A	20040415	EE 2004-15	20020620
			GB 2001-15109 A	20010621
			US 2001-300257PP	20010622
			WO 2002-GB2799 W	20020620
BR 2002010507	A	20040615	BR 2002-10507	20020620
			GB 2001-15109 A	20010621
			US 2001-300257PP	20010622
			WO 2002-GB2799 W	20020620
US 2004053931	A1	20040318	US 2002-177804	20020621
			GB 2001-15109 A	20010621
			US 2001-300257PP	20010622

OS MARPAT 138:55984
IT **479551-77-4P**, 2-{[1-Methyl-3-(1H-pyrrolo[2,3-b]pyridin-2-yl)-1H-indol-5-yl]oxy}propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of azaindoles as protein kinase inhibitors with therapeutic uses)
RN 479551-77-4 CAPLUS
CN Propanoic acid, 2-[[1-methyl-3-(1H-pyrrolo[2,3-b]pyridin-2-yl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **479551-78-5P**, 2-{[1-Methyl-3-[1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-indol-5-yl]oxy}propionic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)
RN 479551-78-5 CAPLUS
CN Propanoic acid, 2-[[1-methyl-3-[1-[(4-methylphenyl)sulfonyl]-1H-pyrrolo[2,3-b]pyridin-2-yl]-1H-indol-5-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



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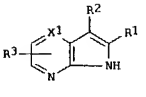


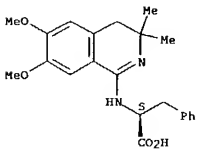
I

AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable

L5 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
pharmaceutical properties, in particular the ability to inhibit kinases, esp. Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of prepn. are not claimed, >100 example prepns. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by ≥1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and ≥1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by ≥1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroacyl or alkyl optionally substituted by ≥1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, acylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

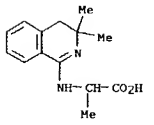
L5 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:492992 CAPLUS
DN 139:17084
TI Antiinflammatory and analgesic activity of N-(3,3-dimethyl-3,4-dihydroisoquinol-1-yl)amino acids
AU Anikina, L. V.; Vikharev, Yu. B.; Safin, V. A.; Gorbunov, A. A.; Shklyaev, Yu. V.; Karmanov, V. I.
CS Institute of Natural Sciences, Ural Division, Perm State University, Perm, Russia
SO Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2002), 36(2), 72-76
CODEN: PCJOAU; ISSN: 0091-150X
PB Kluwer Academic/Consultants Bureau
DT Journal
LA English
OS CASREACT 139:17084
IT **496941-61-8P 537049-19-7P 537049-20-0P 537049-21-1P 537049-23-3P 537049-24-4P 537049-25-5P 537049-26-6P 537049-27-7P 537049-28-8P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiinflammatory and analgesic activity of dimethyldihydroisoquinolyl amino acids)
RN 496941-61-8 CAPLUS
CN L-Phenylalanine, N-(3,4-dihydro-6,7-dimethoxy-3,3-dimethyl-1-isoquinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

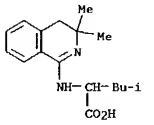


RN 537049-19-7 CAPLUS
CN Alanine, N-(3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

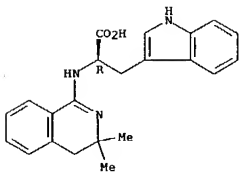


RN 537049-20-0 CAPLUS
CN Leucine, N-(3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (9CI) (CA INDEX NAME)



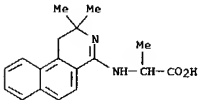
RN 537049-21-1 CAPLUS
CN D-Tryptophan, N-(3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

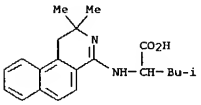


RN 537049-23-3 CAPLUS
CN Alanine, N-(1,2-dihydro-2,2-dimethylbenz[f]isoquinolin-4-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

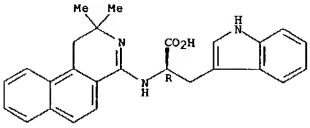


RN 537049-24-4 CAPLUS
CN Leucine, N-(1,2-dihydro-2,2-dimethylbenz[f]isoquinolin-4-yl)- (9CI) (CA INDEX NAME)

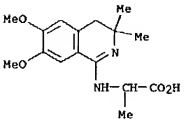


RN 537049-25-5 CAPLUS
CN D-Tryptophan, N-(1,2-dihydro-2,2-dimethylbenz[f]isoquinolin-4-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

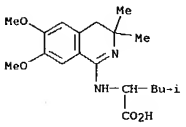


RN 537049-26-6 CAPLUS
CN Alanine, N-(3,4-dihydro-6,7-dimethoxy-3,3-dimethyl-1-isoquinolinyl)- (9CI) (CA INDEX NAME)



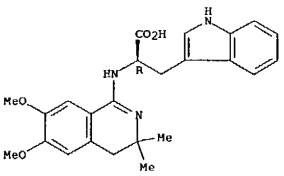
RN 537049-27-7 CAPLUS
CN Leucine, N-(3,4-dihydro-6,7-dimethoxy-3,3-dimethyl-1-isoquinolinyl)- (9CI)

L5 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)



RN 537049-28-8 CAPLUS
CN D-Tryptophan, N-(3,4-dihydro-6,7-dimethoxy-3,3-dimethyl-1-isoquinolinyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The effect of various amino acid residues on the antiinflammatory and analgesic activity of a series of compds. containing the 3,4-dihydroisoquinoline matrix was evaluated. 3,4-Dihydroisoquinolones displayed a moderate antiinflammatory activity. Introduction of the amino acid residues to the synthesized compds. increased the antiinflammatory activity compared to the 3,4-dihydroisoquinolone. A less pronounced tendency to increase in the antiinflammatory activity was also noted for some other compds. with glycine, methionine, and tryptophan residues. A tendency to decrease in this activity type was observed for all compds. with α-alanine and phenylalanine residues. The pharmacol. activity of amino acids is determined predominantly by the character of the isoquinoline fragment and only some of the amino acid residues modified the activity of initial structures.
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	327.81	484.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-40.43	-40.43

STN INTERNATIONAL LOGOFF AT 09:58:20 ON 26 JUL 2004